

**Title: Active Substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT<sub>6</sub> receptor affinity**

**Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT<sub>6</sub> receptor affinity**

The present invention relates to an active substance combination comprising at least one compound with neuropeptide Y-receptor affinity, preferably neuropeptide Y<sub>5</sub>-receptor affinity, and at least one compound with 5-HT<sub>6</sub> receptor affinity, a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament.

The superfamily of serotonin receptors (5-HT) includes 7 classes (5-HT<sub>1</sub>-5-HT<sub>7</sub>) encompassing 14 human subclasses [D. Hoyer, et al., *Neuropharmacology*, 1997, 36, 419]. The 5-HT<sub>6</sub> receptor is the latest serotonin receptor identified by molecular cloning both in rats [F.J. Monsma, et al., *Mol. Pharmacol.*, 1993, 43, 320; M. Ruat, et al., *Biochem. Biophys. Res. Commun.*, 1993, 193, 268] and in humans [R. Kohen, et al., *J. Neurochem.*, 1996, 66, 47]. Compounds with 5-HT<sub>6</sub> receptor affinity are useful for the treatment of various disorders of the Central Nervous System and of the gastrointestinal tract, such as irritable intestine syndrome. Compounds with 5-HT<sub>6</sub> receptor affinity are also useful in the treatment of anxiety, depression and cognitive memory disorders [M. Yoshioka, et al., *Ann. NY Acad. Sci.*, 1998, 861, 244; A. Bourson, et al., *Br. J. Pharmacol.*, 1998, 125, 1562; D.C. Rogers, et al., *Br. J. Pharmacol. Suppl.*, 1999, 127, 22P; A. Bourson, et al., *J. Pharmacol. Exp. Ther.*, 1995, 274, 173; A.J. Sleight, et al., *Behav. Brain Res.*, 1996, 73, 245; T.A. Branchek, et al., *Annu. Rev. Pharmacol. Toxicol.*, 2000, 40, 319; C. Routledge, et al., *Br. J. Pharmacol.*, 2000, 130, 1606]. It has been shown that typical and atypical antipsychotic drugs for treating schizophrenia have a high affinity for 5-HT<sub>6</sub> receptors [B.L. Roth, et al., *J. Pharmacol. Exp. Ther.*, 1994, 268, 1403; C.E. Glatt, et al., *Mol. Med.*, 1995, 1, 398; F.J. Mosma, et al., *Mol. Pharmacol.*, 1993, 43, 320; T. Shinkai, et al., *Am. J. Med. Genet.*, 1999, 88, 120]. Compounds with 5-HT<sub>6</sub> receptor affinity are useful for treating infant hyperkinesia (ADHD, attention deficit / hyperactivity disorder) [W.D. Hirst, et al., *Br. J. Pharmacol.*, 2000, 130,

1597; C. Gérard, et al., Brain Research , 1997, 746, 207; M.R. Pranzatelli, Drugs of Today , 1997, 33, 379].

Moreover, it has been shown that the 5-HT<sub>6</sub> receptor also plays a role in food ingestion [Neuropharmacology, 41, 2001, 210-219].

Food ingestion disorders, particularly obesity, are a serious, fast growing threat to the health of humans of all age groups, since they increase the risk of developing other serious, even life-threatening diseases such as diabetes or coronary diseases.

Neuropeptide Y (NPY), first isolated in porcine brain extracts (Tatemoto et. al. Nature 1982, 296, 659), is a 36-aminoacid peptide belonging to the family of pancreatic polypeptides, and is one of the most abundant peptides in the brain and in the central nervous system. In addition, NPY is also distributed in several parts of the peripheral nervous system.

Several studies suggest a significant role of NPY in food ingestion regulation and particularly in food dysfunctions like obesity, anorexia and bulimia.

Specifically, NPY is a powerful stimulant of food ingestion. Thus, appetite is significantly increased when NPY is injected directly into the CNS of satiated mice (Clark J. T. et. al. Endocrinology 1984, 115, 427; Levine A. S. et. al. Peptides 1984, 5, 1025; Stanley B. G. et. al. Life Sci. 1984, 35, 2635; Stanley B. G. et. al. Proc. Nat. Acad. Sci. USA 1985, 82, 3940). On the other hand, NPY may play a role in cognitive function regulation, e. g. memory (Flood J. F. et. al. Brain Res. 1987, 421, 280; Redrobe J. P. et. Al. Brain Res. 1999, 848, 153), and be active in anxiety (Heilig M. et. al. Reg. Peptides 1992, 41, 61) and depression (Heilig M. et. al. Eur. J. Pharmacol. 1988, 147, 465) processes.

NPY is also distributed in the peripheral system. Some studies suggest that it might be involved in hypertensive (Michel M. C: et. al. J. Hypertens. 1995, 13, 385), and analgesic (Gehlert D. R. Life Sci. 1994, 55, 551) processes, among others.

The endogenous proteins that constitute NPY-binding receptors have been widely studied. Several have been cloned and expressed. At present, six different receptor subtypes, named Y1 to Y6, are recognized (Hisplkind P. A. et. al. Annu. Rep. Med. Chem. 1996, 31, 1; Grundemar L. et. al. TIPS Reviews., 15, 153, 1994). Each NPY receptor subtype is generally associated to a different biological activity. For example, Y2 receptor is involved in the induction of convulsions in rats (Dumont Y. et. al. Brit. J. Pharmacol. 2000, 129, 1075).

The most recently identified receptor is Y5 (Hu et. al. J. Biol. Chem. 1996, 271, 26315). There is evidence that Y5 receptor has a unique pharmacological profile related to food ingestion as compared to the other receptor subtypes. The fact that [D-Trp<sup>32</sup>]NPY peptide, a selective Y5-receptor agonist with no affinity for Y1 receptor, stimulates food ingestion in rats (Gerald C. et. al. Nature, 1996, 382, 168), supports the hypothesis that Y5 receptor is related to exaggerated food consumption. Consequently, compounds having an affinity to the Y5 receptor should be effective to inhibit food ingestion and very useful to control diseases like obesity or other disorders of food ingestion (food intake), such as anorexia, bulimia, cachexia or type II diabetes. Moreover, it has been suggested that such compounds are useful to control diseases such as arthritis or epilepsy.

Whereas known compounds with NPY-receptor affinity and known compounds with 5-HT<sub>6</sub> receptor affinity are generally effective for treating disorders related to NPY-receptors and to 5-HT<sub>6</sub> receptors respectively, in some instances they show undesirable side effects.

It was therefore an object of the present invention to provide a medicament suitable for the prophylaxis and/or treatment of disorders related to NPY-receptors, preferably NPY5-receptors, and to 5-HT<sub>6</sub> receptors, which preferably does not show the undesired side effects of the conventional compounds with NPY-receptor affinity or 5-HT<sub>6</sub> receptor affinity, or at least less frequent and/or less pronounced.



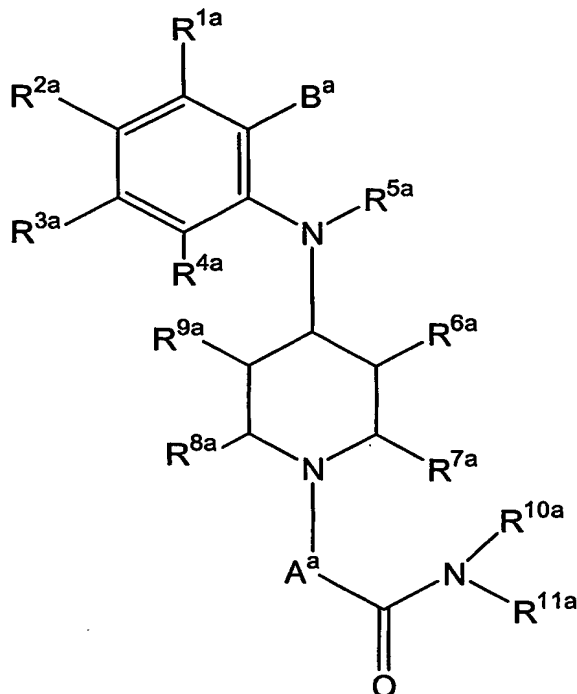
Said object has been achieved by providing an active substance combination comprising

- 5 (A) at least one compound with neuropeptide Y (NPY)-receptor affinity and  
(B) at least one compound with 5-HT<sub>6</sub> receptor affinity.

10 It has surprisingly been found that the compounds with NPY-receptor affinity and the compounds with 5-HT<sub>6</sub> receptor affinity show a synergic effect in their pharmacological activities. Consequently, the dose of the corresponding compounds may be reduced in comparison to the dose necessary for an individual administration of said compounds.

15 Preferably, the active substance combination of the present invention may comprise as a component (A) at least one compound with neuropeptide Y5 (NPY<sub>5</sub>)-receptor affinity.

20 Preferably, the active substance combination of the present invention may comprise as a component (A) at least one compound with neuropeptide Y (NPY)-receptor affinity, preferably with neuropeptide Y5 (NPY<sub>5</sub>)-receptor affinity, which is selected from the group consisting of the 1,4-disubstituted piperidine compounds of general formula (Ia),



(Ia)

5 wherein

R<sup>1a</sup>, R<sup>2a</sup>, R<sup>3a</sup>, R<sup>4a</sup> are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro group, a cyano group, -OR<sup>12a</sup>, -O-(C=O)R<sup>13a</sup>, (C=O)-OR<sup>13a</sup>, -SR<sup>14a</sup>, -SOR<sup>14a</sup>, -SO<sub>2</sub>R<sup>14a</sup>, -NH-SO<sub>2</sub>R<sup>14a</sup>, -SO<sub>2</sub>NH<sub>2</sub> and -NR<sup>15a</sup>R<sup>16a</sup> moiety,

$R^{5a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical,

$R^{6a}$ ,  $R^{7a}$ ,  $R^{8a}$ ,  $R^{9a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano-moiety and a  $-COOR^{17a}$  moiety,

$A^a$  represents a bridge member  $-CHR^{18a}-$  or  $-CHR^{18a}-CH_2-$ ,

$B^a$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, a  $COOR^{19a}$ -moiety, a  $-(C=O)R^{20a}$ -moiety, or a  $-CH_2OR^{23a}$ -moiety,

$R^{10a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{11a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or an optionally at least mono substituted aryl- or heteroaryl radical, which may be

bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or

5      $R^{10a}$  and  $R^{11a}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated, unsaturated or aromatic heterocyclic ring that may contain at least one further heteroatom as a ring member and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem,

10

$R^{12a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be  
15     bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

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$R^{13a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one  
25     heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  
30     alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{14a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{15a}$  and  $R^{16a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or  $R^{15a}$  and  $R^{16a}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

$R^{17a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{18a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one  
5 heteroatom as ring member containing cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

10  $R^{19a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may  
15 be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{20a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or  
20 unsaturated, optionally at least mono-substituted cycloaliphatic radical, an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or a  $NR^{21a}R^{22a}$ -moiety,

25  $R^{21a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may  
30 be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{22a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{23a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, which may comprise at least one heteroatom as a chain member, or a  $-(C=O)R^{13a}$ -moiety,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a physiologically acceptable salt thereof, or a corresponding solvate.

A mono- or polycyclic ring-system according to the present invention means a mono- or polycyclic hydrocarbon ring-system that may be saturated, unsaturated or aromatic. If the ring system is polycyclic, each of its different rings may show a different degree of saturation, i.e. it may be saturated, unsaturated or aromatic. Optionally each of the rings of the mono- or polycyclic ring system may contain one or more heteroatoms as ring members, which may be identical or different and which can preferably be selected from the group consisting of N, O, S and P, more preferably be selected from the group consisting of N, O and S. Preferably the polycyclic ring-system may comprise two rings that are condensed. The rings of the mono- or polycyclic ring-system are preferably 5- or 6-membered.

Those skilled in the art understand that the term "condensed" indicates that the condensed rings share more than one atom. The terms "annulated" or "fused" may also be used for this type of bonding.

If one or more of the residues  $R^{1a}$ - $R^{23a}$  and  $B^a$  represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein the  $C_{1-4}$ -alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy,  $CF_3$  and an unsubstituted phenyl radical. If any one of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}$ - $R^{22a}$  and  $B^a$  represents or comprises a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $-NR^{Aa}R^{Ba}$  wherein  $R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-CO-OC_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, benzoyl, phenoxy, cyclohexyl,  $-CF_3$ ,  $-CO-CH_3$ ,  $-CO-OCH_3$ ,  $-NR^{Aa}R^{Ba}$  wherein



$R^{Aa}$ ,  $R^{Ba}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, and an unsubstituted phenyl radical. If any one of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}-R^{4a}$  and  $R^{10a}-R^{18a}$  comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy,  $CF_3$  and unsubstituted phenyl. If any one of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1a}-R^{4a}$  and  $R^{10a}-R^{22a}$  comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, keto ( $=O$ ), nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl,

methoxy, ethoxy, CF<sub>3</sub>, keto, cyano and an unsubstituted phenyl radical. If any one of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

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If one or more of the residues R<sup>1a</sup>-R<sup>4a</sup> and R<sup>10a</sup>-R<sup>22a</sup> represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, carboxy, amido, cyano, -CH(OH)(phenyl), nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -CO-OC<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, -CH(OH)(phenyl), methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, -NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

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If one or more of the residues R<sup>1a</sup>-R<sup>4a</sup> and R<sup>10a</sup>-R<sup>22a</sup> represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from

the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or  
5 unbranched C<sub>1-4</sub>-perfluoroalkyl, NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, carboxy, amido, cyano, nitro, -CH(OH)(phenyl), -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -CO-OC<sub>1-4</sub>-alkyl, SO-C<sub>1-4</sub>-alkyl, SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be  
10 branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, methoxy, ethoxy, unsubstituted or at  
15 least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, -CH(OH)(phenyl), -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, -NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any one of these substituents itself is at least  
20 mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R<sup>10a</sup> and R<sup>11a</sup> and/or R<sup>15a</sup> and R<sup>16a</sup> form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of  
25 these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl,  
30 wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected

from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF<sub>3</sub> and an unsubstituted phenyl radical. If any of these substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

5

If R<sup>10a</sup> and R<sup>11a</sup> and/or R<sup>15a</sup> and R<sup>16a</sup> form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

10

If one or more of the residues R<sup>1a</sup>-R<sup>22a</sup> and B<sup>a</sup> represents or comprises a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

15

If one or more of the residues R<sup>1a</sup>-R<sup>4a</sup> and R<sup>10a</sup>-R<sup>22a</sup> represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

20

If R<sup>23a</sup> represents an aliphatic radical, which comprises at least one heteroatom as a chain member, each of these heteroatoms may preferably be O or S, more preferably O.

25

Preferred compounds of general formula (Ia) are those, wherein R<sup>1a</sup>, R<sup>2a</sup>, R<sup>3a</sup>, R<sup>4a</sup> are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an

30

optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro group, a cyano group, -OR<sup>12a</sup>, -O(C=O)R<sup>13a</sup>, -(C=O)-OR<sup>13a</sup>, -SR<sup>14a</sup>, -SOR<sup>14a</sup>, -SO<sub>2</sub>R<sup>14a</sup>, -NH-SO<sub>2</sub>R<sup>14a</sup>, -SO<sub>2</sub>NH<sub>2</sub> and -NR<sup>15a</sup>R<sup>16a</sup> moiety,

R<sup>5a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, or a saturated or unsaturated, optionally at least mono-substituted C<sub>3-8</sub>-cycloaliphatic radical,

R<sup>6a</sup>, R<sup>7a</sup>, R<sup>8a</sup>, R<sup>9a</sup> are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, a cyano-moiety and a COOR<sup>17a</sup> moiety,

A<sup>a</sup> represents a bridge member -CHR<sup>18a</sup>- or -CHR<sup>18a</sup>-CH<sub>2</sub>-,

B<sup>a</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted C<sub>3-8</sub>-cycloaliphatic radical, a COOR<sup>19a</sup>-moiety, a COR<sup>20a</sup>-moiety, or a -CH<sub>2</sub>-OR<sup>23a</sup>-moiety,

R<sup>10a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-

alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

5  $R^{11a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or  
10 polycyclic ringsystem, or an optionally at least mono substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, or

15  $R^{10a}$  and  $R^{11a}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem,

20  $R^{12a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing  $C_{3-8}$ -cycloaliphatic radical, which  
25 may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an  
30 optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{13a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{14a}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{15a}$  and  $R^{16a}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

or R<sup>15a</sup> and R<sup>16a</sup> together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

R<sup>17a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>18a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>19a</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted C<sub>3-8</sub> cycloaliphatic radical, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,



$R^{20a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted  $C_{3-8}$  cycloaliphatic radical, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or a  $NR^{21a}R^{22a}$ -moiety,

$R^{21a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted  $C_{3-8}$  cycloaliphatic radical, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{22a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted  $C_{3-8}$  cycloaliphatic radical, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

$R^{23a}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, which may comprise at least one heteroatom as a chain member, or a  $-(C=O)R^{13a}$ -moiety,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or physiologically acceptable salts thereof, or corresponding solvates, respectively.

Particularly preferred are compounds of general formula (Ia), wherein  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$ ,  $R^{4a}$  are each independently selected from the group consisting of H, F, Cl, Br, a saturated or unsaturated, branched or unbranched, optionally at least mono-substituted  $C_{1-3}$ -aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_5$ - or  $C_6$ - cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_1$ - or  $C_2$ -alkylene group, a nitro group, a cyano group,  $-OR^{12a}$ ,  $-OC(=O)R^{13a}$ ,  $-SR^{14a}$  and  $-NR^{15a}R^{16a}$  moiety, preferably are each independently selected from the group consisting of H, F, Cl,  $CH_3$ ,  $CH_2CH_3$ ,  $CF_3$ ,  $CF_2CF_3$ , cyclopentyl, cyclohexyl, a nitro group, a cyano group and  $-OR^{12a}$  and the remaining residues  $R^{5a}$ - $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{5a}$  represents H or a branched or unbranched  $C_{1-3}$ -alkyl radical, preferably H,  $CH_3$  or  $CH_2CH_3$ , and the remaining residues  $R^{1a}$ - $R^{4a}$ ,  $R^{6a}$ - $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{6a}$ ,  $R^{7a}$ ,  $R^{8a}$ ,  $R^{9a}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-3}$ -alkyl radical, a cyano and a  $COOR^{17a}$  moiety, preferably selected from the group consisting of H,  $CH_3$ ,  $CH_2CH_3$  and a cyano moiety, more preferably all represent H, and the remaining residues  $R^{1a}$ - $R^{5a}$ ,  $R^{10a}$ - $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates

or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

5 Also particularly preferred are compounds of general formula (Ia), wherein B<sup>a</sup> represents a branched or unbranched, optionally at least mono-substituted C<sub>1-3</sub>-alkyl radical, a COOR<sup>19a</sup>-moiety, or a CH<sub>2</sub>OR<sup>23a</sup>-moiety, preferably a COOR<sup>19a</sup>-moiety, a CH<sub>2</sub>OR<sup>23a</sup>-moiety or a C<sub>1-2</sub>-alkyl radical, more preferably a COOR<sup>19a</sup>-moiety or a CH<sub>2</sub>OR<sup>23a</sup>-moiety, and the remaining residues R<sup>1a</sup>-R<sup>23a</sup> and A<sup>a</sup> have  
10 the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

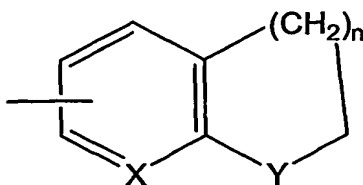
15 Also particularly preferred are compounds of general formula (Ia), wherein R<sup>10a</sup> represents hydrogen or a branched or unbranched C<sub>1-4</sub>-alkyl radical, more preferably hydrogen, and the remaining residues R<sup>1a</sup>-R<sup>9a</sup>, R<sup>11a</sup>-R<sup>23a</sup>, A<sup>a</sup> and B<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers,  
20 preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or solvates, respectively.

25 Also particularly preferred are compounds of general formula (Ia), wherein R<sup>11a</sup> is selected from the group consisting of an unsubstituted phenyl radical, a phenyl radical optionally at least mono-substituted with a branched or unbranched C<sub>1-4</sub>-alkyl-radical, a branched or unbranched C<sub>1-4</sub>-alkoxy-radical, a branched or unbranched C<sub>1-4</sub>-perfluoroalkyl-radical, a branched or unbranched  
30 C<sub>1-4</sub>-perfluoroalkoxy-radical, F, Cl, Br, cyclohexyl, phenyl, phenoxy, phenylthio, benzoyl, cyano, -C(=O)C<sub>1-2</sub>-alkyl, -C(=O)OC<sub>1-2</sub>-alkyl, -carboxy, -CH(OH)(phenyl), -NR<sup>Aa</sup>R<sup>Ba</sup> wherein R<sup>Aa</sup>, R<sup>Ba</sup> are each independently selected from the group consisting of H, a branched or unbranched

C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and an unsubstituted phenyl radical,

an unsubstituted thiazole radical,

5 a group of general formula (Aa)



(Aa),

wherein

10

n is 1 or 2,

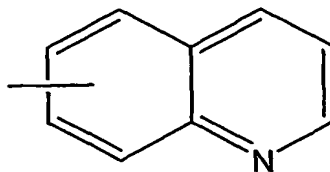
X represents CH or N,

15

Y represents CH<sub>2</sub>, O, N-R<sup>C</sup>, CH-OH or C(=O),

R<sup>C</sup> is H or a branched or unbranched C<sub>1-4</sub>-alkyl radical,

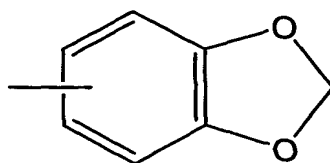
a group of formula (Ba),



20

(Ba)

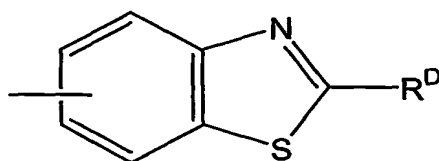
a group of formula (Ca),



(Ca)

5

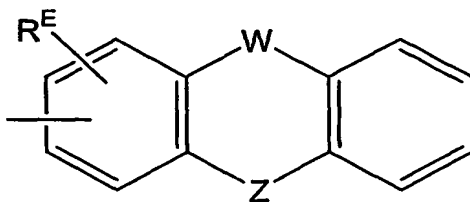
a group of general formula (Da),



(Da)

10

wherein  $R_D$  is H or a branched or unbranched  $C_{1-4}$ -alkyl radical and a group of general formula (Ea),



(Ea)

15

wherein

$R^E$  represents H, a branched or unbranched  $C_{1-4}$ -alkyl radical or a branched or unbranched  $C_{1-4}$ -alkoxy radical,

20

W represents a bond between the two aromatic rings, CH<sub>2</sub>, CH-OH or C(=O),

Z represents CH<sub>2</sub>, O, S, CH-OH, C(=O) or N-R<sup>F</sup> where R<sup>F</sup> represents H or a branched or unbranched C<sub>1-4</sub>-alkyl-radical, and the remaining residues R<sup>1a</sup>-R<sup>10a</sup>, R<sup>12a</sup>-R<sup>23a</sup>, A<sup>a</sup> and B<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein R<sup>10a</sup> and R<sup>11a</sup> together with the bridging nitrogen atom form a saturated, 6-membered heterocyclic ring, which is optionally at least mono-substituted with a methyl radical and/or condensed with an unsubstituted or at least mono-substituted phenyl- or cyclohexyl-radical, said phenyl- or cyclohexyl-radical preferably being at least mono-substituted with F and/or OCH<sub>3</sub>, and the remaining residues R<sup>1a</sup>-R<sup>9a</sup>, R<sup>12a</sup>-R<sup>23a</sup>, A<sup>a</sup> and B<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein R<sup>12a</sup> represents H, an unbranched or branched C<sub>1-4</sub>-alkyl radical, a cyclohexyl radical or a phenyl radical, preferably H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or a phenyl radical, and the remaining residues R<sup>1a</sup>-R<sup>11a</sup>, R<sup>13a</sup>-R<sup>23a</sup>, A<sup>a</sup> and B<sup>a</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{13a}$  represents H, a an unbranched or branched  $C_{1-4}$ -alkyl radical, a cyclohexyl radical or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{1a}-R^{12a}$ ,  $R^{14a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given

5 above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

10 Also particularly preferred are compounds of general formula (Ia), wherein  $R^{14a}$  represents H, a an unbranched or branched  $C_{1-4}$ -alkyl radical, a cyclohexyl radical or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{1a}-R^{13a}$ ,  $R^{15a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given

15 above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

20 Also particularly preferred are compounds of general formula (Ia), wherein  $R^{15a}$  and  $R^{16a}$  are each independently selected from the group consisting of H, an unbranched or branched  $C_{1-4}$ -alkyl radical, a cyclohexyl radical and a phenyl radical, preferably from the group consisting of H,  $CH_3$ ,  $C_2H_5$  and phenyl, and the remaining residues  $R^{1a}-R^{14a}$ ,  $R^{17a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given

25 above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding

30 solvates, respectively.

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{17a}$  represents H, an unbranched or branched  $C_{1-4}$ -alkyl radical, a cyclohexyl radical or a phenyl radical, preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl, and the remaining residues  $R^{1a}-R^{16a}$ ,  $R^{18a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above,

5 optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

10 Also particularly preferred are compounds of general formula (Ia), wherein  $R^{18a}$  represents H, an unbranched or branched  $C_{1-4}$ -alkyl radical or a phenyl radical, preferably H,  $CH_3$  or phenyl, and the remaining residues  $R^{1a}-R^{17a}$ ,  $R^{19a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their

15 stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

20 Also particularly preferred are compounds of general formula (Ia), wherein  $R^{19a}$  represents H or an unbranched or branched  $C_{1-4}$  alkyl radical, preferably H or a  $C_{1-2}$  alkyl radical and the remaining residues  $R^{1a}-R^{18a}$ ,  $R^{20a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a

25 mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

30 Also particularly preferred are compounds of general formula (Ia), wherein  $R^{20a}$  represents H, an unbranched or branched  $C_{1-4}$  alkyl radical or a  $NR^{21a}R^{22a}$ -moiety, preferably H, a  $C_{1-2}$  alkyl radical or a  $NR^{21a}R^{22a}$ -moiety and the remaining residues  $R^{1a}-R^{19a}$ ,  $R^{21a}-R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers



or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

5

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{21a}$  represents H or an unbranched or branched  $C_{1-4}$  alkyl radical, preferably H or a  $C_{1-2}$  alkyl radical and the remaining residues  $R^{1a}$ - $R^{20a}$ ,  $R^{22a}$ ,  $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

10

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{22a}$  represents H or an unbranched or branched  $C_{1-4}$  alkyl radical, preferably H or a  $C_{1-2}$  alkyl radical and the remaining residues  $R^{1a}$ - $R^{21a}$ ,  $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

20

Also particularly preferred are compounds of general formula (Ia), wherein  $R^{23a}$  represents H or an unbranched or branched  $C_{1-4}$  alkyl radical, preferably H or a  $C_{1-2}$  alkyl radical and the remaining residues  $R^{1a}$ - $R^{22a}$ ,  $A^a$  and  $B^a$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

25

30

Also particularly preferred are compounds of general formula (I), wherein A represents a  $-\text{CH}_2-$  group and the remaining residues  $\text{R}^1$ - $\text{R}^{23}$  and B have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or salts, preferably physiologically acceptable salts thereof, or corresponding solvates, respectively.

Also particularly preferred are 1,4-disubstituted piperidine compounds of general formula (Ia) given above, wherein

$\text{R}^{1a}$ ,  $\text{R}^{2a}$ ,  $\text{R}^{3a}$ ,  $\text{R}^{4a}$  are each independently selected from the group consisting of H, F, Cl, Br, OH,  $\text{CH}_3$  and  $\text{OCH}_3$ ,

$\text{R}^{5a}$  represents hydrogen,

$\text{R}^{6a}$ ,  $\text{R}^{7a}$ ,  $\text{R}^{8a}$ ,  $\text{R}^{9a}$  all represent H,

$\text{A}^a$  represents  $-\text{CH}_2-$ ,

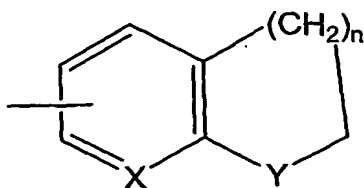
$\text{B}^a$  represents a  $-\text{CH}_2\text{-OH}$  or  $-(\text{C}=\text{O})\text{-O-CH}_3$  group,

$\text{R}^{10a}$  represents hydrogen,

$\text{R}^{11a}$  is selected from the group consisting of unsubstituted phenyl, phenyl that is optionally at least mono-substituted with one or more substituents independently selected from the group consisting cyclohexyl, phenyl, phenoxy, benzoyl,  $-\text{C}(=\text{O})\text{-C}_{1-2}\text{-alkyl}$ ,  $-\text{C}(\text{H})(\text{OH})(\text{phenyl})$  and  $-\text{C}(\text{H})(\text{OH})(\text{CH}_3)$ ,

a group of general formula (Aa)

31



(Aa),

wherein

5

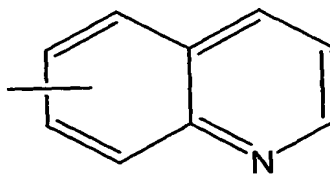
n is 1 or 2,

X represents CH,

10

Y represents CH-OH or C(=O),

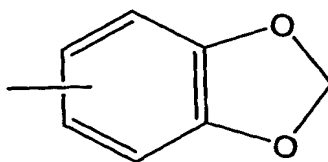
a group of formula (Ba),



15

(Ba)

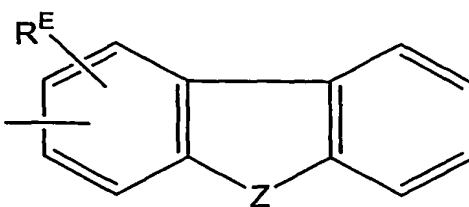
a group of formula (Ca),



20

(Ca)

and a group of general formula (Ea),



(Ea)

wherein

$R^E$  represents H, a branched or unbranched  $C_{1-4}$ -alkyl radical or a branched or unbranched  $C_{1-4}$ -alkoxy radical,

Z represents  $CH_2$ , O, S,  $CH-OH$ ,  $C(=O)$  or  $N-R^F$  where  $R^F$  represents H or an alkyl-radical selected from the group consisting of methyl, ethyl, n-propyl, isopropyl, n-butyl, iso-butyl, sec-butyl and tert.-butyl,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt, preferably a physiologically acceptable salt thereof, or a corresponding solvate, respectively.

Most preferred are the following 1,4-disubstituted piperidine compounds of general formula (Ia):

[1] N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidine-yl]acetamide;

[2] 2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidine-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide;

[3] 2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidine-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide;

5 [4] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidine-1-yl]-acetamide;

[5] N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidine-1-yl]-acetamide;

10

[6] 2-{1-[(9-Oxo-9H-fluoren-3-ylcarbamoyl)-methyl]-piperidin-4-ylamino}benzoic acid methyl ester and

15

[7] 2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-phenyl-acetamide,

[8] 2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide,

20 optionally in form of a salt, preferably a physiologically acceptable salt, particularly preferably in form of a physiologically acceptable acid addition salt, most preferably a hydrochloride salt, or a corresponding solvate.

25 Also most preferred are the following 1,4-disubstituted piperidine compounds of general formula (Ia):

N°	
1	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
2	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
3	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
4	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide
5	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
6	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
7	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
8	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide

9	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
10	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
11	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
12	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide
13	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
14	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
15	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
16	N-Benzo[1,3]dioxol-5-yl-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
17	N-Benzo[1,3]dioxol-5-yl-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
18	N-Benzo[1,3]dioxol-5-yl-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide hydrochloride
19	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
20	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
21	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
22	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
23	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
24	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-5-yl-acetamide
25	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
26	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
27	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
28	2-[4-(2-Hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
29	2-[4-(4,5-Difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
30	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide
31	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide
32	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-8-yl-acetamide
33	N-(4-Benzoyl-phenyl)-2-[4-(4-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
34	N-(4-Benzoyl-phenyl)-2-[4-(4-fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
35	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
36	N-(4-Benzoyl-phenyl)-2-[4-(3-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
37	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
38	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
39	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
40	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
41	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
42	2-[4-(2-Hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
43	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
44	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
45	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
46	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
47	2-[4-(2-Hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
48	2-[4-(4,5-Difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
49	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
50	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
51	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide
52	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide
53	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
54	2-[4-(4,5-Difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide
55	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-hydroxy-9H-fluoren-3-yl)-acetamide

56	N-(3-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
57	N-(3-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
58	N-(3-Acetyl-phenyl)-2-[4-(4-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
59	N-(3-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
60	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
61	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
62	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[3-(1-hydroxy-ethyl)-phenyl]-acetamide
63	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
64	N-Benzo[1,3]dioxol-5-yl-2-[4-(4-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
65	N-Benzo[1,3]dioxol-5-yl-2-[4-(4-fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
66	N-Benzo[1,3]dioxol-5-yl-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
67	N-Benzo[1,3]dioxol-5-yl-2-[4-(4,5-difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
68	N-Benzo[1,3]dioxol-5-yl-2-[4-(3-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
69	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
70	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
71	N-(4-Acetyl-phenyl)-2-[4-(4-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
72	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
73	N-(4-Acetyl-phenyl)-2-[4-(4-fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
74	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
75	N-(4-Acetyl-phenyl)-2-[4-(3-chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
76	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
77	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
78	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(1-hydroxy-ethyl)-phenyl]-acetamide
79	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
80	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(1-hydroxy-ethyl)-phenyl]-acetamide
81	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
82	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(1-hydroxy-ethyl)-phenyl]-acetamide
83	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
84	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide
85	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
86	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(4-fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
87	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-acetamide
88	2-[4-(4,5-Difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide
89	2-[4-(3-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide
90	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)-acetamide
91	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)-acetamide
92	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)-acetamide
93	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(9-methyl-9H-carbazol-3-yl)-acetamide
94	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
95	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
96	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
97	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
98	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
99	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
100	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide

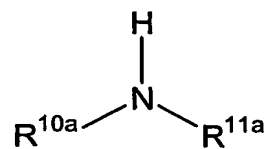
101	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
102	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
103	2-[4-(4-Fluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
104	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide
105	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide
106	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(1-oxo-indan-5-yl)-acetamide
107	N-(1-Hydroxy-indan-5-yl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
108	N-(1-Hydroxy-indan-5-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
109	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(1-hydroxy-indan-5-yl)-acetamide
110	N-(1-Hydroxy-indan-5-yl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
111	2-[4-(4-Bromo-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-ethyl-9H-carbazol-3-yl)-acetamide
112	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide
113	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-2-yl)-acetamide
114	N-Dibenzofuran-2-yl-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
115	N-Dibenzofuran-2-yl-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
116	2-[4-(2-Hydroxymethyl-6-methoxy-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
117	2-[4-(4,5-Difluoro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
118	N-(9-Hydroxy-9H-fluoren-2-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-acetamide
119	N-(9-Hydroxy-9H-fluoren-2-yl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-acetamide
120	2-[4-(2-Hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
121	2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
122	2-[4-(4-Chloro-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
123	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
124	N-(4-Cyclohexyl-phenyl)-2-[4-(2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
125	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
126	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
127	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
128	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-3-yl-acetamide
129	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
130	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
131	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
132	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-quinolin-6-yl-acetamide
133	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
134	N-(4-Benzoyl-phenyl)-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
135	N-(4-Benzoyl-phenyl)-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
136	N-(4-Benzoyl-phenyl)-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
137	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
138	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
139	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
140	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-[4-(hydroxy-phenyl-methyl)-phenyl]-acetamide
141	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
142	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
143	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
144	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide
145	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
146	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
147	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
148	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
149	N-(3-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
150	N-(3-Acetyl-phenyl)-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide



151	N-(3-Acetyl-phenyl)-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
152	N-(3-Acetyl-phenyl)-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
153	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
154	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
155	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
156	N-[3-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
157	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
158	N-(4-Acetyl-phenyl)-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
159	N-(4-Acetyl-phenyl)-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
160	N-(4-Acetyl-phenyl)-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
161	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
162	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
163	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
164	N-[4-(1-Hydroxy-ethyl)-phenyl]-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
165	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-acetamide
166	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(3-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
167	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(4-hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
168	N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-acetamide
169	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
170	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
171	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
172	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-oxo-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
173	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
174	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
175	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
176	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(5-hydroxy-5,6,7,8-tetrahydro-naphthalen-2-yl)-acetamide
177	2-[4-(2-Hydroxymethyl-3-methoxy-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
178	2-[4-(3-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
179	2-[4-(4-Hydroxy-2-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide
180	2-[4-(2-Hydroxy-6-hydroxymethyl-phenylamino)-piperidin-1-yl]-N-(4-phenoxy-phenyl)-acetamide

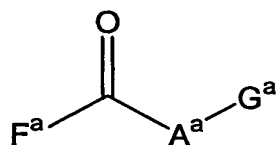
optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt, preferably a physiologically acceptable salt thereof, or a corresponding solvate, respectively.

The 1,4-disubstituted piperidine compounds of general formula (Ia), wherein  $R^{1a}$ - $R^{23a}$ ,  $A^a$  and  $B^a$  have the meaning given above, may be prepared preferably in such a way that at least one compound of general formula (IIa),



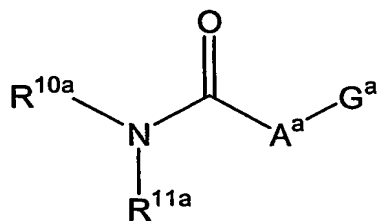
(IIa)

wherein  $R^{10a}$  and  $R^{11a}$  have the meaning given above, is reacted with at least one compound of general formula (IIIa),



(IIIa),

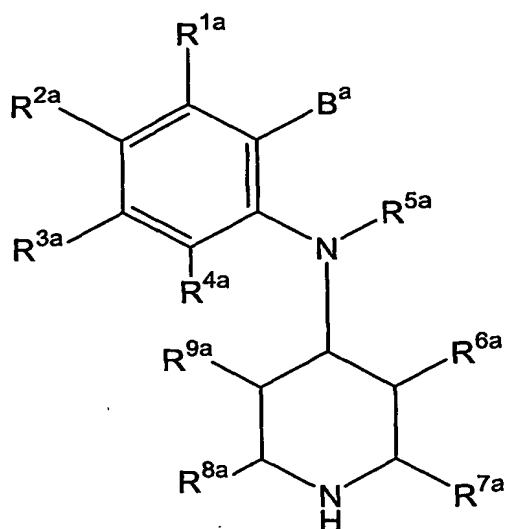
wherein  $A^a$  has the meaning given above,  $F^a$  represents halogen, hydroxy or an O-acyl group and  $G^a$  represents halogen, preferably chlorine, in a suitable reaction medium and preferably in the presence of at least one base and/or optionally at least one auxiliary agent, and reacting the so obtained compound of general (IVa)



(IVa),

wherein  $A^a$ ,  $G^a$ ,  $R^{10a}$  and  $R^{11a}$  have the above defined meaning, with at least one piperidine compound of general formula (Va) and/or a salt, preferably hydrochloride salt, thereof,

5



(Va),

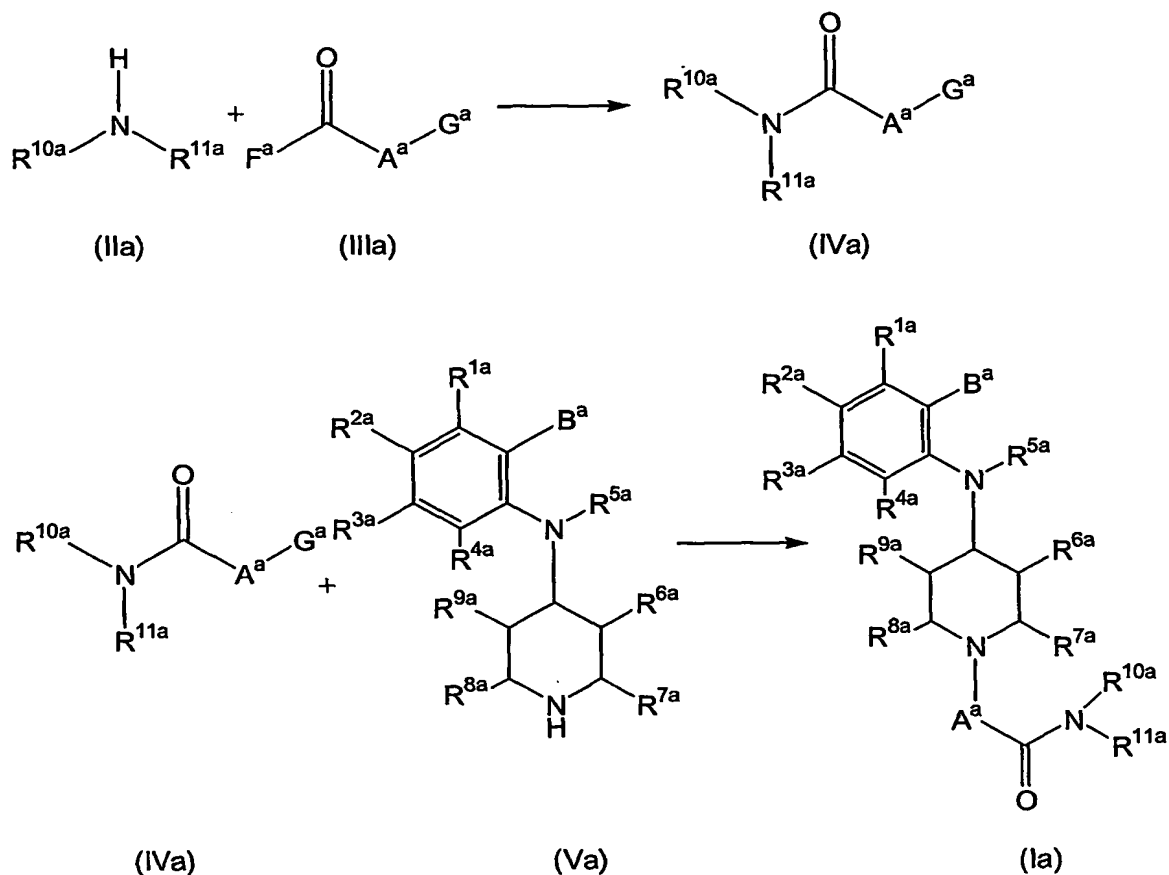
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wherein  $R^{1a}$  to  $R^{9a}$  and  $B^a$  have the meaning as defined above, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent to yield a compound of general formula (Ia).

According to the invention, the process may be illustrated as an example by the following reaction scheme A:

15

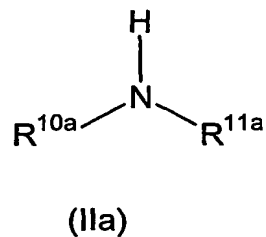
Scheme A:



wherein  $\text{R}^{1a}$  to  $\text{R}^{11a}$ ,  $\text{A}^a$  and  $\text{B}^a$  have the meaning as given above.

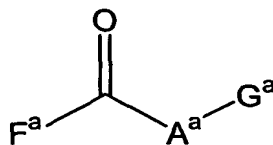
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The 1,4 disubstituted piperidine compounds of general formula (Ia), wherein  $\text{R}^{1a}$ – $\text{R}^{23a}$  and  $\text{A}^a$  have the meaning given above and  $\text{B}^a$  represents a substituted aliphatic radical or a  $-\text{CH}_2\text{OR}^{23a}$ -moiety, may be prepared preferably in a way that at least one compound of general formula (IIa),



10

wherein  $R^{10a}$  and  $R^{11a}$  have the meaning given above, is reacted with at least one compound of general formula (IIIa),

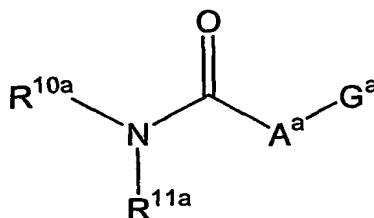


5

(IIIa)

wherein  $A^a$  has the meaning given above,  $F^a$  represents halogen, hydroxy or an O-acyl group and  $G^a$  represents halogen, preferably chlorine, in a suitable reaction medium and preferably in the presence of at least one base and/or at least one auxiliary agent, and reacting the so obtained compound of general (IVa)

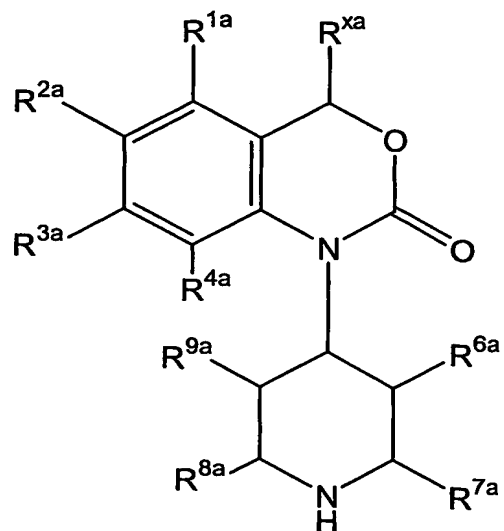
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(IVa),

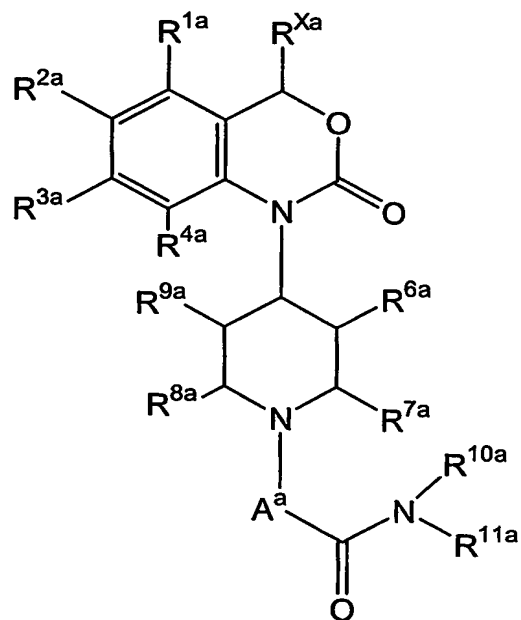
15 wherein  $A^a$ ,  $G^a$ ,  $R^{10a}$  and  $R^{11a}$  have the above defined meaning, with at least one piperidin compound of general formula (Va) and/or a salt, preferably hydrochloride, thereof,

42



(Va),

- 5 wherein  $R^{1a}$  to  $R^{9a}$  have the meaning as defined above and  $R^{xa}$  represents any substituent including hydrogen, preferably hydrogen, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent, to yield a compound of general formula (Vla),

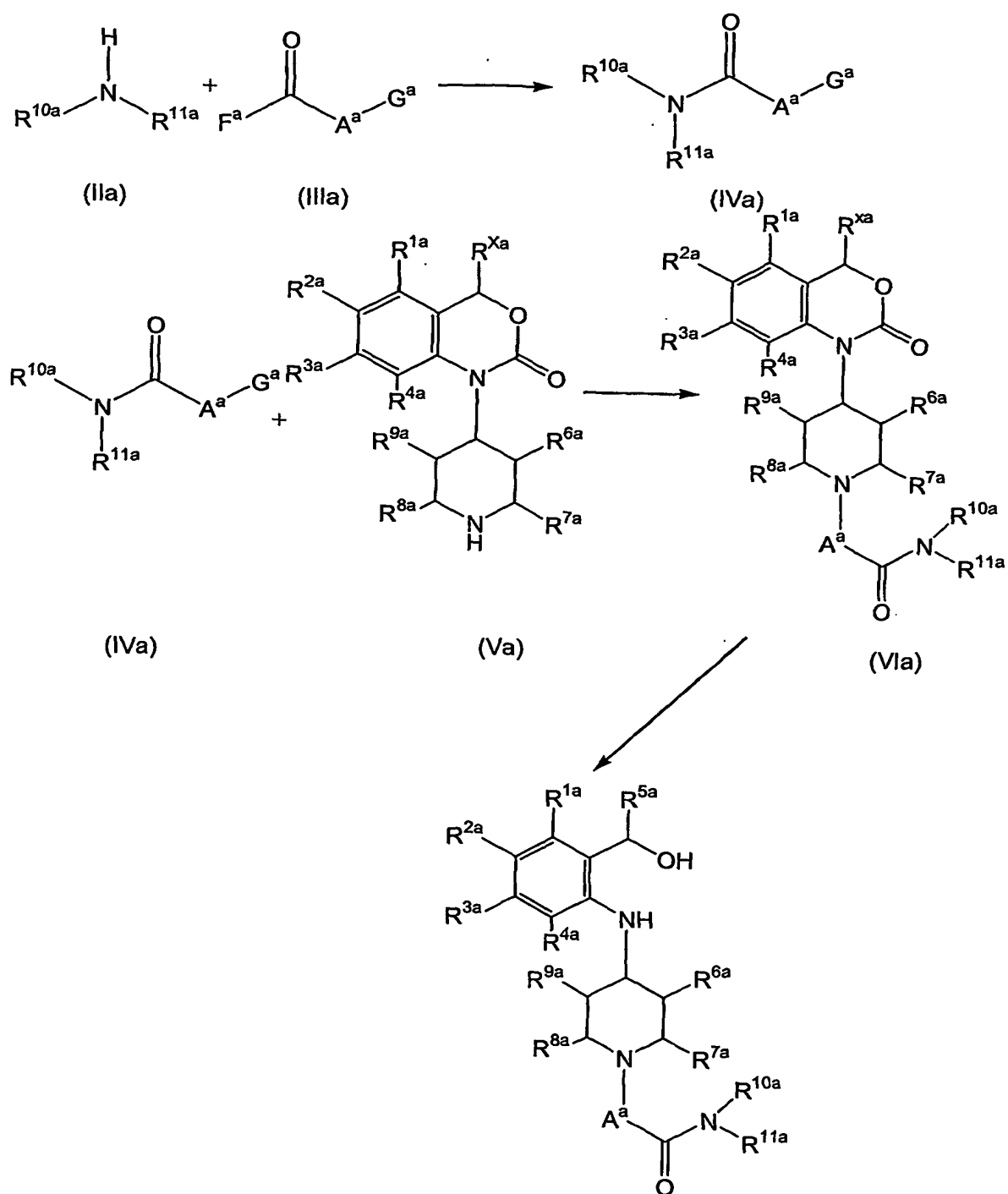


(Vla),

which is reacted with a base, preferably in a suitable reaction medium, more preferably in a mixture of water and ethanol, to yield a compound of general formula (Ia), wherein  $R^{1a}$ - $R^{4a}$  and  $R^{6a}$ - $R^{23a}$  and  $A^a$  have the meaning as defined above,  $R^{5a}$  represents H and  $B^a$  represents a substituted aliphatic radical or a -CH<sub>2</sub>OR<sup>23a</sup>-moiety.

The process may be illustrated as an example by the following reaction scheme B:

Scheme B:





Suitable reaction media are e.g. organic solvents, such as ethers, preferably diethyl ether, dioxane, tetrahydrofuran, dimethyl glycol ether, or alcohols, e.g. methanol, ethanol, propanol, isopropanol, butanol, isobutanol, tert-butanol, or hydrocarbons, preferably benzene, toluene, xylene, hexane, cyclohexane, petroleum ether, or halogenated hydrocarbons, e.g. dichloromethane, trichloromethane, tetrachloromethane, dichloroethylene, trichloroethylene, chlorobenzene or/and other solvents, preferably ethyl acetate, triethylamine, pyridine, dimethylsulfoxide, dimethylformamide, hexamethylphosphoramide, acetonitril, acetone or nitromethane, are included. Mixtures based one or more of the afore mentioned solvents may also be used.

Bases that may be used in the processes according to the present invention are generally organic or inorganic bases, preferably alkali metal hydroxides, e.g. sodium hydroxide or potassium hydroxide, or obtained from other metals such as barium hydroxide or different carbonates, preferably potassium carbonate, sodium carbonate, calcium carbonate, or alkoxides, e.g. sodium methoxide, potassium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide or potassium tert-butoxide, or organic amines, preferably triethylamine, diisopropylethylamine or heterocycles, e.g. 1,4-diazabicyclo[2.2.2] octane, 1,8-diazabicyclo[5.4.0]undec-7-ene pyridine, diamino pyridine, dimethylaminopyridine, methylpiperidine or morpholine. Alkali metals such as sodium or its hydrides, e.g. sodium hydride, may also be used. Mixtures based one or more of the afore mentioned bases may also be used.

The above mentioned bases may be used for the process as auxiliary agents, when appropriate. Other suitable auxiliary agents for the above mentioned reactions are, for example, dehydrating agents like carbodiimides, e.g. diisopropylcarbodiimide, cyclohexylcarbodiimide or N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, or carbonylic compounds, e.g. carbonyldiimidazol or compounds like isobutylchloroformate or methansulfonyl chloride, among others. These reagents are generally used in amounts from 0.5 to 5 mol versus 1 mol of the corresponding reactands. The bases are generally

used in amounts from 0.05 to 10 mol versus 1 mol of the corresponding reactands.

During some of the synthetic reactions described or while preparing the compounds of general formulas (Ia), (IIa), (IIIa), (IVa), (Va) and (VIa), the protection of sensitive groups or of reagents may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in the literature. The protective groups may also be eliminated as convenient by means well-known to those skilled in the art.

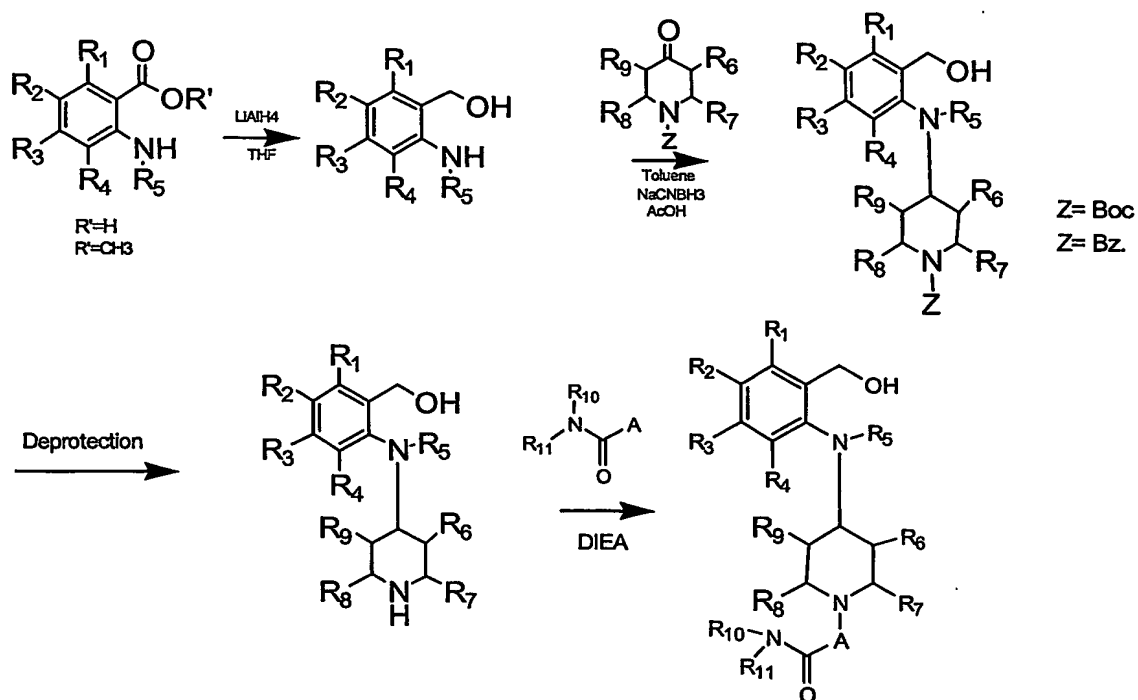
The compounds of general formulas (IIa), (IIIa), (IVa) and (Va) are either commercially available or can be produced according to methods known to those skilled in the art. The reaction of compounds of general formulas (IVa) and (Va) to yield 1,4-disubstituted piperidine compounds of general formula (Ia) may also be facilitated by conventional methods known to those skilled in the art.

The compounds of general formula (IVa) are commercially available or may be produced according to scheme I by conventional methods known to those skilled in the art. In particular, the respective compound of general formula (IIa) is reacted with chloroacetyl chloride or the respective compound of general formula (IIIa) in the presence of an organic reaction medium, preferably dichloromethane and a base, preferably triethylamine and/or diisopropylethylamine.

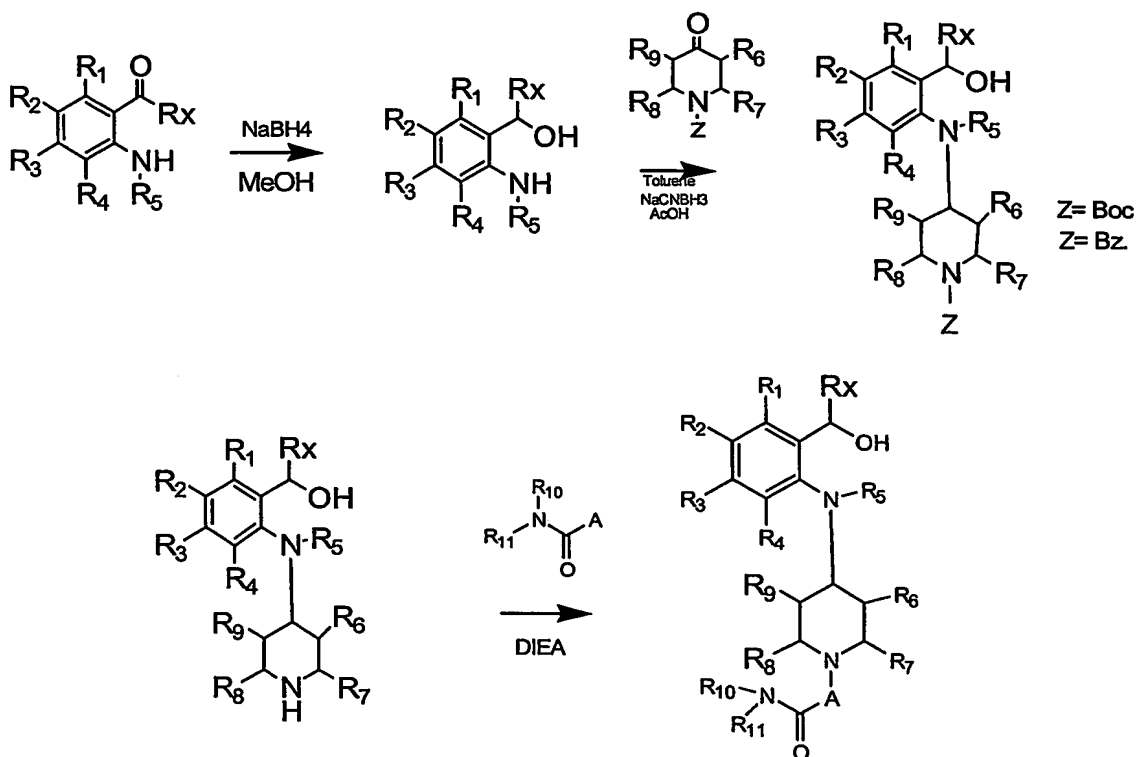
The preparation of compounds of general formula (Va) and their use for forming compounds with the general formula (Ia) are illustrated by way of examples in the schemes 1 and 2, wherein  $R^1$ - $R^{11}$  and A represent  $R^{1a}$ - $R^{11a}$  and  $A^a$ .

## Scheme 1

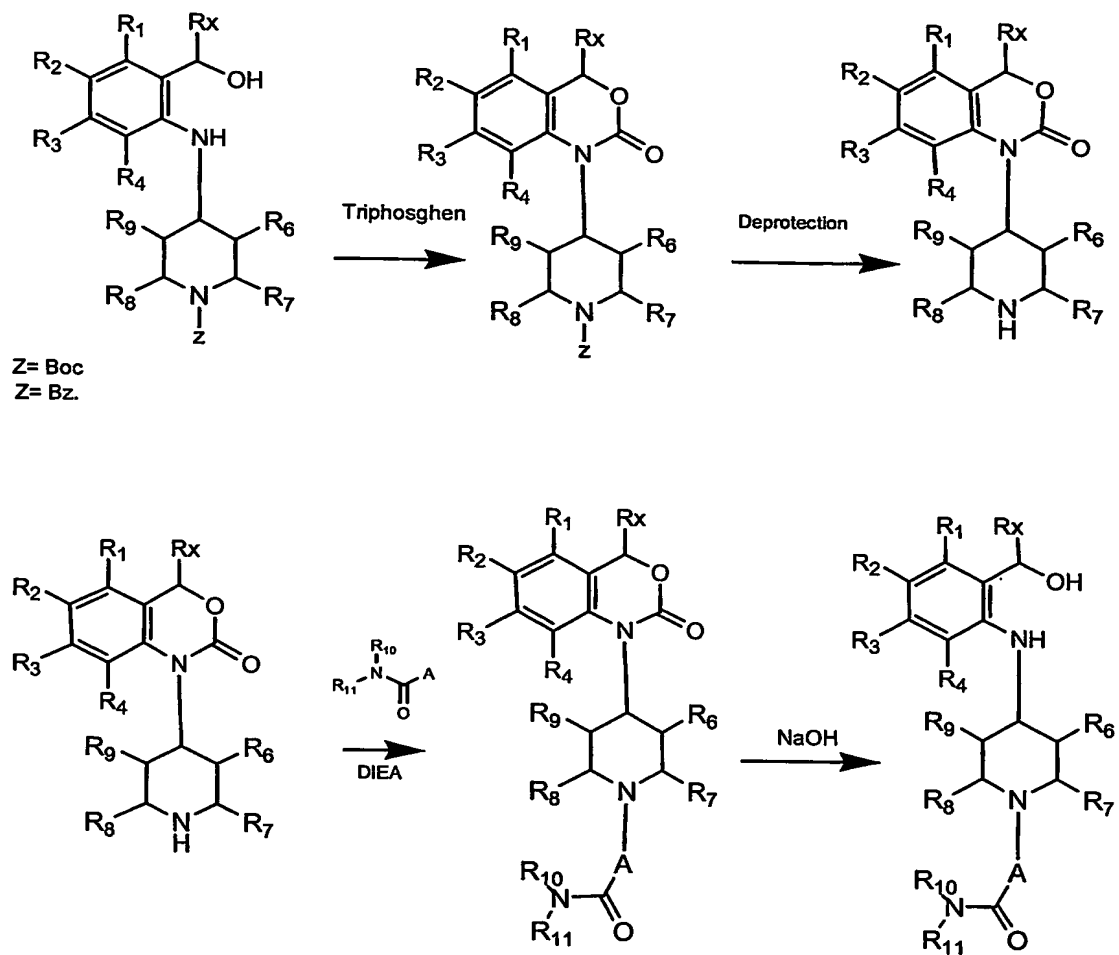
## Method A



## Method B



## Scheme 2



The salts of 1,4-disubstituted piperidine compounds of general formula (Ia), may be preferably prepared in such a way that at least one compound of general formula (Ia) having at least one basic group is reacted with an inorganic and/or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media are the ones given above. Suitable inorganic acids are for example hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric acid, tartaric acid, or derivatives thereof, such as p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

The salts of 1,4-disubstituted piperidine compounds of general formula (Ia), may be preferably prepared in a way that at least one compound of general formula (Ia) having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium. Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g.  $[\text{NH}_n\text{R}_{4-n}]^+$ , wherein n is 0, 1, 2, 3 or 4 and R represents a branched or unbranched C<sub>1-4</sub>-alkyl-radical.

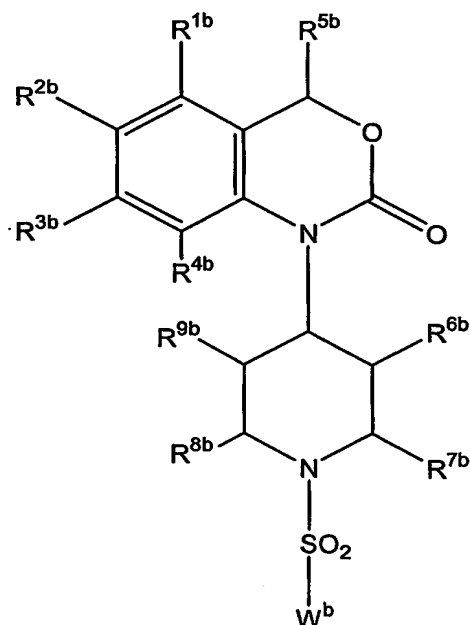
Solvates, preferably hydrates, of the 1,4-disubstituted piperidine compounds of general formula (Ia), or corresponding stereoisomers, or corresponding salts may also be obtained by standard procedures known to those skilled in the art.

If the 1,4-disubstituted piperidine compounds of general formula (Ia) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The purification and isolation of the 1,4-disubstituted piperidine compounds of general formula (Ia) or a corresponding stereoisomer, or a corresponding salt, or corresponding solvate respectively, if required, may be carried out by

conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.

Preferably, the active substance combination of the present invention may comprise as a component (B) at least one compound with 5-HT<sub>6</sub> receptor affinity, which is selected from the group consisting of the benzoxazinone-derived sulfonamide compounds of general formula (Ib),



(Ib)

wherein

R<sup>1b</sup>, R<sup>2b</sup>, R<sup>3b</sup>, R<sup>4b</sup> are each independently selected from the group consisting of hydrogen, halogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an

optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro group, a cyano group,  $-OR^{10b}$ ,  $-O(C=O)R^{11b}$ ,  $-(C=O)-O-R^{11b}$ ,  
5  $-SR^{12b}$ ,  $-SOR^{12b}$ ,  $-SO_2R^{12b}$ ,  $-NH-SO_2R^{12b}$ ,  $-SO_2NH_2$  and a  $-NR^{13b}R^{14b}$  moiety,

$R^{5b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one  
10 heteroatom as ring member containing cycloaliphatic radical,

$R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at  
15 least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, a cyano group and a  $COOR^{15b}$  moiety,

$W^b$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic  
25 ring-system,

an optionally at least mono-substituted aryl or heteroaryl radical, which may be bonded via an optionally mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-  
30 system,

a  $NR^{16b}R^{17b}$ -moiety,

or a COR<sup>18b</sup>-moiety,

R<sup>10b</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>11b</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

R<sup>12b</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may



be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

5  $R^{13b}$  and  $R^{14b}$  each are independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

10 or  $R^{13b}$  and  $R^{14b}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

15  $R^{15b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical or an optionally at least mono-substituted aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

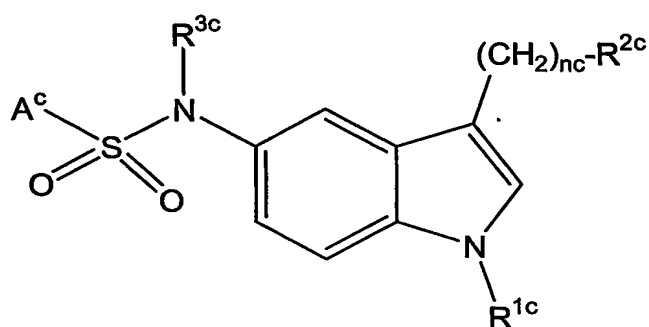
20  $R^{16b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical,

25  $R^{17b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical, and

$R^{18b}$  represents an optionally at least mono-substituted aryl radical,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or  
5 a corresponding salt thereof, preferably physiologically acceptable salts thereof, or a solvate, respectively,

and sulphonamide-derived compounds of general formula (Ic),



(Ic)

wherein

$R^{1c}$  represents hydrogen, an optionally at least mono-substituted, linear or branched alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical,

$R^{2c}$  represents a  $-NR^{4c}R^{5c}$  moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

$R^{3c}$  represents hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical,

5  $R^{4c}$  and  $R^{5c}$ , identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical, or

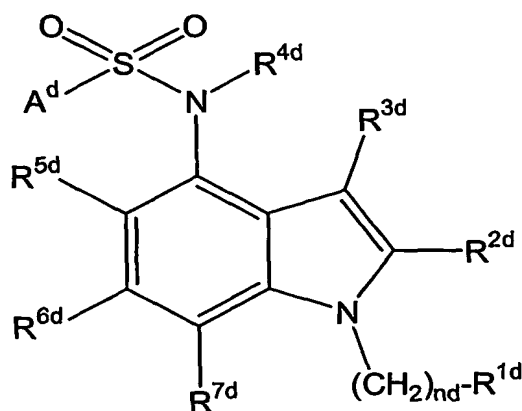
10  $R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

15  $A^c$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ringsystem, which may be bonded via an optionally at least mono-substituted alkylene group, an optionally at least mono-substituted alkenylene group or an optionally at least mono-substituted alkynylene group and/or may contain at least one heteroatom as a ring member in one or more of its rings,

20  $nc$  represents 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or  
25 a corresponding physiologically acceptable salt or a corresponding solvate,

and compounds of the general formula (Id)



(Id)

5 wherein

$R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl or an optionally at least mono-substituted heteroaryl radical,

$R^{4d}$  is hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8d}$  and  $R^{9d}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

5  $R^{8d}$  and  $R^{9d}$  together with bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at  
10 least one heteroatom as a ring member,

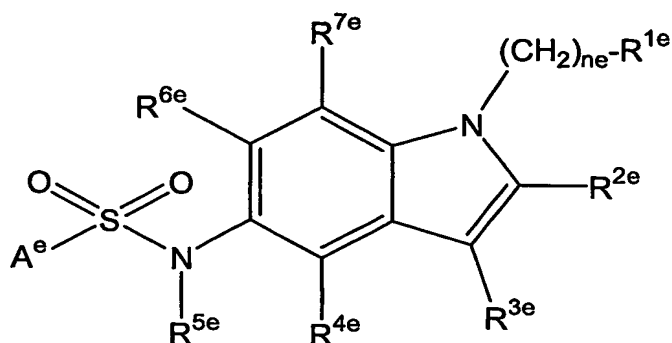
$A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain  
15 at least one heteroatom as a ring member in one or more of its rings,

and

nd is 0, 1, 2, 3 or 4;  
20

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt  
25 thereof, or a corresponding solvate thereof

and sulphonamide-derived compounds of general formula (Ie),



(Ie)

wherein

- 5 R<sup>1e</sup> represents a -NR<sup>8e</sup>R<sup>9e</sup> radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member,
- 10

- R<sup>2e</sup>, R<sup>3e</sup>, R<sup>4e</sup>, R<sup>6e</sup> and R<sup>7e</sup>, identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical or an optionally at least mono-substituted phenyl or an optionally at least mono-substituted heteroaryl radical,
- 15

- R<sup>5e</sup> represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,
- 20

- R<sup>8e</sup> and R<sup>9e</sup>, identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

- 25 or

$R^{8e}$  and  $R^{9e}$  together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, mono- or bicyclic cycloaliphatic ring system which may optionally contain at least one heteroatom as a ring member,

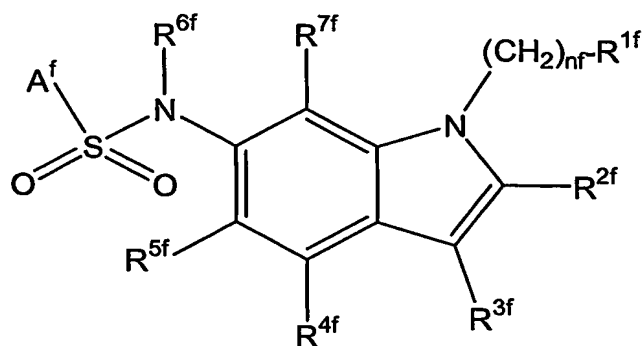
$A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings

and

ne is 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof,

and sulphonamide-derived compounds of general formula (If),



(If)

wherein

$R^{1f}$  represents a  $-NR^{8f}R^{9f}$  radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$R^{2f}$ ,  $R^{3f}$ ,  $R^{4f}$ ,  $R^{5f}$  and  $R^{7f}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl or optionally at least mono-substituted heteroaryl radical,

$R^{6f}$  represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8f}$  and  $R^{9f}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{8f}$  and  $R^{9f}$ , together with the bridging nitrogen atom, form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

$A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings,



and

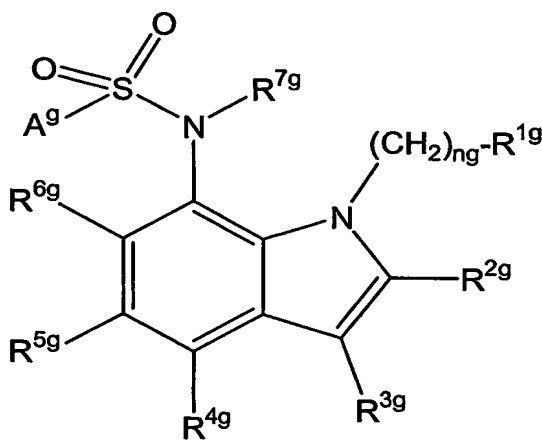
nf is 0, 1, 2, 3 or 4;

5

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof,

10

and sulphonamide-derived compounds of general formula (Ig),



(Ig)

15

wherein

R<sup>1g</sup> is a -NR<sup>8g</sup>R<sup>9g</sup> radical or a saturated or unsaturated, optionally at least mono-substituted cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system which may optionally contain at least one heteroatom as a ring member,

20

$R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$ , identical or different, each represent hydrogen, halogen, nitro, alkoxy, cyano, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, or an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted heteroaryl radical,

$R^{7g}$  represents hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

$R^{8g}$  and  $R^{9g}$ , identical or different, represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

$R^{8g}$  and  $R^{9g}$  together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one additional heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member,

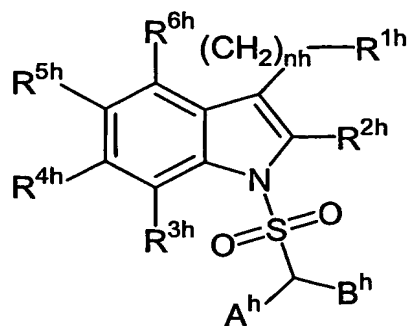
$A^g$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain at least one heteroatom as a ring member in one or more of its rings,

$ng$  is 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt

thereof, or a corresponding solvate thereof,

and sulphonamide-derived compounds of general formula (Ih)



(Ih)

5 wherein

10  $R^{1h}$  represents a  $-NR^{7h}R^{8h}$  radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

15  $R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$ ,  $R^{5h}$  and  $R^{6h}$ , identical or different, each represent hydrogen, halogen, cyano, nitro, a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical, a linear or branched alkoxy radical, a linear or branched alkylthio radical, hydroxy, trifluoromethyl, a saturated or unsaturated cycloaliphatic radical, an alkylcarbonyl radical, a phenylcarbonyl or a  $-NR^9R^{10}$  group,

20  $R^{7h}$  and  $R^{8h}$ , identical or different, each represent hydrogen or a saturated or unsaturated, optionally at least mono-substituted linear or branched aliphatic radical,

or

5  $R^{7h}$  and  $R^{8h}$ , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system,

10  $R^{9h}$  and  $R^{10h}$ , identical or different, each represent hydrogen or a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical,

or

15  $R^{9h}$  and  $R^{10h}$ , together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted, optionally at least one further heteroatom as a ring member containing heterocyclic ring which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing  
20 mono- or bicyclic cycloaliphatic ring system,

$A^h$  and  $B^h$ , identical or different, each represent a saturated or unsaturated, linear or branched, optionally at least mono-substituted aliphatic radical

or

25  $A^h$  and  $B^h$ , together with the carbon atom to which they are attached, form a saturated or unsaturated, but not aromatic, optionally at least mono-substituted cycloalkyl ring,

and

nh is 0, 1, 2, 3, or 4,

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

The persons skilled in the state of the art understand that the active substance combination according to the present invention may comprise one or more compounds of one class of active substances with 5-HT<sub>6</sub> receptor affinity or one or more compounds of one or more different classes of active substances with 5-HT<sub>6</sub> receptor affinity.

If one or more of the residues R<sup>1b</sup>-R<sup>17b</sup> and W<sup>b</sup> represents an aliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein the C<sub>1-4</sub>-alkyl may in each case be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, CF<sub>3</sub> and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1b}$ - $R^{15b}$  represents or comprises a cycloaliphatic radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, phenoxy, benzoyl, cyclohexyl, branched or unbranched  $C_{1-4}$ -perfluoroalkyl,  $-NR^{Ab}R^{Bb}$  wherein  $R^{Ab}$ ,  $R^{Bb}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, carboxy, keto, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-CO-OC_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may in each case be branched or unbranched, unsubstituted or at least mono-substituted phenyl or naphthyl and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, keto, benzoyl, phenoxy, cyclohexyl,  $-CF_3$ ,  $-CO-CH_3$ ,  $-CO-OCH_3$ ,  $-NR^{Ab}R^{Bb}$  wherein  $R^{Ab}$ ,  $R^{Bb}$  are each independently selected from the group consisting of H, a branched or unbranched  $C_{1-4}$ -alkyl-radical,  $-CH_2-CH_2-OH$  and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues  $R^{1b}$ - $R^{4b}$ ,  $R^{10b}$ - $R^{15b}$  and  $W^b$  comprises an alkylene group, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched  $C_{1-4}$ -alkoxy, branched or unbranched  $C_{1-4}$ -alkyl, branched or unbranched  $C_{1-4}$ -perfluoroalkoxy, branched or unbranched  $C_{1-4}$ -perfluoroalkyl, amino, carboxy, amido, cyano, nitro,  $-SO_2NH_2$ ,  $-CO-C_{1-4}$ -alkyl,  $-SO-C_{1-4}$ -alkyl,  $-SO_2-C_{1-4}$ -alkyl,  $-NH-SO_2-C_{1-4}$ -alkyl, wherein  $C_{1-4}$ -alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more

preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, methoxy, ethoxy, CF<sub>3</sub> and unsubstituted phenyl. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R<sup>1b</sup>-R<sup>4b</sup> and R<sup>10b</sup>-R<sup>15b</sup> comprises a mono- or polycyclic ringsystem, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluorocarbonyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, keto, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl, more preferably from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, methoxy, ethoxy, CF<sub>3</sub>, -(C=O)-CF<sub>3</sub>, keto, cyano and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If one or more of the residues R<sup>1b</sup>-R<sup>4b</sup>, R<sup>10b</sup>-R<sup>15b</sup> and R<sup>18b</sup> represents or comprises an aryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or

unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, carboxy, amido, cyano, -CH(OH)(phenyl), nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -CO-OC<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro, -CH(OH)(phenyl), methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, OCF<sub>3</sub>, -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, SO<sub>2</sub>-CH<sub>3</sub>, -NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br, CF<sub>3</sub>, OCF<sub>3</sub>, methyl and methoxy.

If one or more of the residues R<sup>1b</sup>-R<sup>4b</sup> and R<sup>10b</sup>-R<sup>15b</sup> represents or comprises a heteroaryl radical, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, unsubstituted or at least mono-substituted phenoxy, unsubstituted or at least mono-substituted benzoyl, cyclohexyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, carboxy, amido, cyano, -CH(OH)(phenyl), nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -CO-OC<sub>1-4</sub>-alkyl, SO-C<sub>1-4</sub>-alkyl, SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of



hydroxy, F, Cl, Br, methyl, ethyl, cyano, nitro, CH(OH)(phenyl), methoxy, ethoxy, unsubstituted or at least mono-substituted benzoyl, unsubstituted or at least mono-substituted phenoxy, cyclohexyl, CF<sub>3</sub>, OCF<sub>3</sub>, -CO-CH<sub>3</sub>, -CO-OCH<sub>3</sub>, -SO<sub>2</sub>CH<sub>3</sub>, -NR<sup>Ab</sup>R<sup>Bb</sup> wherein R<sup>Ab</sup>, R<sup>Bb</sup> are each independently selected from the group consisting of H, a branched or unbranched C<sub>1-4</sub>-alkyl-radical, -CH<sub>2</sub>-CH<sub>2</sub>-OH and phenyl, and an unsubstituted phenyl radical. If any one of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, Br, CF<sub>3</sub>, OCF<sub>3</sub>, methyl and methoxy.

If R<sup>13b</sup> and R<sup>14b</sup> form a heterocyclic ring, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1-4</sub>-alkoxy, branched or unbranched C<sub>1-4</sub>-alkyl, branched or unbranched C<sub>1-4</sub>-perfluoroalkoxy, branched or unbranched C<sub>1-4</sub>-perfluoroalkyl, amino, carboxy, amido, cyano, nitro, -SO<sub>2</sub>NH<sub>2</sub>, -CO-C<sub>1-4</sub>-alkyl, -SO-C<sub>1-4</sub>-alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -NH-SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, wherein C<sub>1-4</sub>-alkyl may be branched or unbranched, an unsubstituted or at least mono-substituted phenyl or naphthyl radical and an unsubstituted or at least mono-substituted furanyl-, thienyl-, pyrrolyl-, imidazolyl-, pyrazolyl-, pyridinyl-, pyrimidinyl-, quinolinyl- and isoquinolinyl radical, more preferably be selected from the group consisting of hydroxy, F, Cl, Br, methoxy, ethoxy, methyl, CF<sub>3</sub> and an unsubstituted phenyl radical. If any of the above mentioned substituents itself is at least mono-substituted, said substituents may preferably be selected from the group consisting of F, Cl, methyl and methoxy.

If R<sup>13b</sup> and R<sup>14b</sup> form a heterocyclic ring, which contains one or more further heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O and S, more preferably from the group consisting of N and O.

If one or more of the residues R<sup>1b</sup>-R<sup>15b</sup> and W<sup>b</sup> represents a cycloaliphatic radical, which contains one or more heteroatoms as ring members, unless

defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

5 If one or more of the residues  $R^{1b}$ - $R^{4b}$ ,  $R^{10b}$ - $R^{15b}$  and  $W^b$  represents or comprises an heteroaryl radical, which contains one or more heteroatoms as ring members, unless defined otherwise, each of these heteroatoms may preferably be selected from the group consisting of N, O, S and P, more preferably from the group consisting of N, O and S.

10

If  $W^b$  represents or comprises a cycloaliphatic radical, a heteroaryl radical, an aryl radical and/or a mono- or polycyclic ring system, which is substituted by one or more substituents, unless defined otherwise, each of these substituents may preferably be selected from the group consisting of hydroxy, nitro, carboxy, 15 cyano, keto, halogen,  $C_{1-20}$ -alkyl, partially fluorinated  $C_{1-4}$  alkyl, partially chlorinated  $C_{1-4}$  alkyl, partially brominated  $C_{1-4}$  alkyl,  $C_{1-5}$ -alkoxy, partially fluorinated  $C_{1-4}$  alkoxy, partially chlorinated  $C_{1-4}$  alkoxy, partially brominated  $C_{1-4}$  alkoxy,  $C_{2-6}$ -alkenyl,  $SO_2$ - $C_{1-4}$ -alkyl,  $-(C=O)-C_{1-5}$ -alkyl,  $-(C=O)-O-C_{1-5}$ -alkyl,  $-(C=O)-Cl$ ,  $-S-C_{1-4}$ -alkyl-,  $-(C=O)-H$ ,  $-NH-(C=O)-NH-C_{1-5}$ -alkyl,  $-(C=O)-C_{1-4}$ -perfluoroalkyl,  $-NR^{Ab}R^{Bb}$ , wherein  $R^{Ab}$  and  $R^{Bb}$  are independently selected from the group consisting of H,  $C_{1-4}$ -alkyl and phenyl,  $NH-(C=O)-C_{1-5}$ -alkyl,  $-C_{1-5}$ -alkylen- $-(C=O)-C_{1-5}$ -alkyl, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl,  $-SO_2$ -phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, 25  $SO_2$ -pyrrolidinyl, morpholinyl,  $SO_2$ -morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl,  $O-CH_2$ -thiazolyl-,  $NH$ -phenyl, and  $-C_{1-4}$ -Alkylen- $NH-(C=O)$ -phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I,  $C_{1-12}$ -alkyl,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ ,  $CH_2Cl$ ,  $CH_2Cl_2$ ,  $CCl_3$ ,  $CH_2Br$ ,  $CHBr_2$ ,  $CBr_3$ ,  $OCF_3$ ,  $OCHF_2$ ,  $OCH_2F$ ,  $O-CH_2-CF_3$ , vinyl,  $SO_2-CH_3$ ,  $-(C=O)-CH_3$ ,  $-(C=O)-C_2H_5$ ,  $-(C=O)-O-CH_3$ ,  $-(C=O)-O-C_2H_5$ ,  $-(C=O)-Cl$ ,  $-S-CH_3$ , 30  $-(C=O)-H$ ,  $-NH-(C=O)-NH-CH_3$ ,  $-(C=O)-CF_3$ , dimethylamino, diethylamino, di-n-propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butylamino,  $NH-(C=O)-CH_3$ ,  $-CH_2-(C=O)-CH_3$ ,  $-CH_2-(C=O)-C_2H_5$ , (1,3-Dihydro-1-oxo-2H-

isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -CH<sub>2</sub>-NH-(C=O)-phenyl. If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, at least partially fluorinated C<sub>1-4</sub>-alkyl, at least partially chlorinated C<sub>1-4</sub>-alkyl, at least partially brominated C<sub>1-4</sub>-alkyl, -S-C<sub>1-4</sub>-alkyl, -C(=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl, -(C=O)-CH<sub>2</sub>-Br, preferably from the group consisting of F, Cl, Br, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CHCl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, nitro, cyano, hydroxy, -(C=O)-CH<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, -S-CH<sub>3</sub>, -C(=O)-O-CH<sub>3</sub>, -C(=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl and -(C=O)-CH<sub>2</sub>-Br.

Also preferably, the substituents for W<sup>b</sup> may be selected from the group consisting of hydroxy, nitro, carboxy, cyano, keto, halogen, C<sub>1-20</sub>-alkyl, partially fluorinated C<sub>1-4</sub> alkyl, partially chlorinated C<sub>1-4</sub> alkyl, partially brominated C<sub>1-4</sub> alkyl, C<sub>1-5</sub>-alkoxy, partially fluorinated C<sub>1-4</sub> alkoxy, partially chlorinated C<sub>1-4</sub> alkoxy, partially brominated C<sub>1-4</sub> alkoxy, C<sub>2-6</sub>-alkenyl, SO<sub>2</sub>-C<sub>1-4</sub>-alkyl, -(C=O)-C<sub>1-5</sub>-alkyl, -(C=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-Cl, -S-C<sub>1-4</sub>-alkyl-, -(C=O)-H, -NH-(C=O)-NH-C<sub>1-5</sub>-alkyl, -(C=O)-C<sub>1-4</sub>-perfluoroalkyl, -NR<sup>A</sup>R<sup>B</sup>, wherein R<sup>A</sup> and R<sup>B</sup> are independently selected from the group consisting of H, C<sub>1-4</sub>-alkyl and phenyl, NH-(C=O)-C<sub>1-5</sub>-alkyl, -C<sub>1-5</sub>-alkylen-(C=O)-C<sub>1-5</sub>-alkyl, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -C<sub>1-4</sub>-Alkylen-NH-(C=O)-phenyl, more preferably from the group consisting of hydroxy, nitro, carboxy, cyano, keto, F, Cl, Br, I, C<sub>1-12</sub>-alkyl, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CH<sub>2</sub>Cl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, OCF<sub>3</sub>, OCHF<sub>2</sub>, OCH<sub>2</sub>F, O-CH<sub>2</sub>-CF<sub>3</sub>, vinyl, SO<sub>2</sub>-CH<sub>3</sub>, -(C=O)-CH<sub>3</sub>, -(C=O)-C<sub>2</sub>H<sub>5</sub>, -(C=O)-O-CH<sub>3</sub>, -(C=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-Cl, -S-CH<sub>3</sub>-, -(C=O)-H, -NH-(C=O)-NH-

CH<sub>3</sub>, -(C=O)-CF<sub>3</sub>, dimethylamino, diethylamino, di-n-propylamino, di-iso-propylamino, di-n-butylamino, di-tert-butyamino, NH-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-CH<sub>3</sub>, -CH<sub>2</sub>-(C=O)-C<sub>2</sub>H<sub>5</sub>, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl), N-Phthalimidinyl-, (1,3-Dioxo-2-azaspiro[4,4]-non-2-yl, substituted or unsubstituted phenyl, -SO<sub>2</sub>-phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrazolyl, pyrimidinyl, pyrrolidinyl-, -SO<sub>2</sub>-pyrrolidinyl, morpholinyl, SO<sub>2</sub>-morpholinyl-, thiadiazolyl, oxadiazolyl, oxazolyl, thiazolyl, isoxazolyl, O-CH<sub>2</sub>-thiazolyl-, NH-phenyl, and -CH<sub>2</sub>-NH-(C=O)-phenyl. If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, at least partially fluorinated C<sub>1-4</sub>-alkyl, at least partially chlorinated C<sub>1-4</sub>-alkyl, at least partially brominated C<sub>1-4</sub>-alkyl, -S-C<sub>1-4</sub>-alkyl, -C(=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl, -(C=O)-CH<sub>2</sub>-Br, preferably from the group consisting of F, Cl, Br, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CHCl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, nitro, cyano, hydroxy, -(C=O)-CH<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, -S-CH<sub>3</sub>, -C(=O)-O-CH<sub>3</sub>, -C(=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl and -(C=O)-CH<sub>2</sub>-Br.

If any of the afore mentioned substituents itself is substituted by one or more substituents, said substituents may preferably be selected from the group consisting of halogen, nitro, cyano, hydroxy, -(C=O)-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, at least partially fluorinated C<sub>1-4</sub>-alkyl, at least partially chlorinated C<sub>1-4</sub>-alkyl, at least partially brominated C<sub>1-4</sub>-alkyl, -S-C<sub>1-4</sub>-alkyl, -C(=O)-O-C<sub>1-5</sub>-alkyl, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl, -(C=O)-CH<sub>2</sub>-Br, preferably from the group consisting of F, Cl, Br, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>Cl, CHCl<sub>2</sub>, CCl<sub>3</sub>, CH<sub>2</sub>Br, CHBr<sub>2</sub>, CBr<sub>3</sub>, nitro, cyano, hydroxy, -(C=O)-CH<sub>3</sub>, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, -S-CH<sub>3</sub>, -C(=O)-O-CH<sub>3</sub>, -C(=O)-O-C<sub>2</sub>H<sub>5</sub>, -(C=O)-CH<sub>2</sub>-F, -(C=O)-CH<sub>2</sub>-Cl and -(C=O)-CH<sub>2</sub>-Br.

The use of compounds of general formula (Ib) is preferred, wherein R<sup>1b</sup>, R<sup>2b</sup>, R<sup>3b</sup>, R<sup>4b</sup> are each independently selected from the group consisting of H, F, Cl, Br, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at

least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a nitro, cyano, -OR<sup>10b</sup>, -OC(=O)R<sup>11b</sup>, -SR<sup>12b</sup>, -SOR<sup>12b</sup>, -SO<sub>2</sub>R<sup>12b</sup>, -NH-SO<sub>2</sub>R<sup>12b</sup>, -SO<sub>2</sub>NH<sub>2</sub> and a -NR<sup>13b</sup>R<sup>14b</sup> moiety,

preferably selected from the group consisting of H, F, Cl, Br, a saturated, branched or unbranched, optionally at least mono-substituted C<sub>1-3</sub>-aliphatic radical, a saturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>5</sub>- or C<sub>6</sub>- cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1</sub>- or C<sub>2</sub>-alkylene group, a nitro, cyano, -OR<sup>10b</sup>, -OC(=O)R<sup>11b</sup>, -SR<sup>12b</sup> and -NR<sup>13b</sup>R<sup>14b</sup> moiety,

more preferably selected from the group consisting of H, F, Cl, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CF<sub>2</sub>CF<sub>3</sub>, cyclopentyl, cyclohexyl, nitro, cyano and -OR<sup>10b</sup>,

and R<sup>5b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning as defined above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein R<sup>5b</sup> represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical,

preferably represents H or a branched or unbranched C<sub>1-3</sub>-alkyl radical,

more preferably H, CH<sub>3</sub> or CH<sub>2</sub>CH<sub>3</sub>,

and  $R^{1b}$ - $R^{4b}$ ,  $R^{6b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably  
5 enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preferred is also the use of compounds of general formula (Ib), wherein  $R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  are each independently selected from the group consisting of  
10 hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, a cyano and  $COOR^{15b}$  moiety,

15 preferably selected from the group consisting of H, a branched or unbranched  $C_{1-3}$ -alkyl radical, a cyano and a  $COOR^{15b}$  group,

more preferably from the group consisting of H,  $CH_3$ ,  $CH_2CH_3$  and a cyano moiety,

20 and  $R^{1b}$ - $R^{5b}$ ,  $R^{10b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding  
25 salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $W^b$  represents an an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated,  
30 optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-

system, an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ringsystem, a NR<sup>16b</sup>R<sup>17b</sup>-moiety or a COR<sup>18b</sup>-moiety,

preferably selected from the group consisting of 1-Naphthyl-, 5-Dimethylamino-naphth-1-yl-, 2-Naphthyl-, 2-Acetamido-4-methyl-5-thiazolyl-, 2-Thienyl-, 8-Quinoliny-, Phenyl-, Pentafluorophenyl-, 2,4,5-Trichloro-phenyl-, 2,5-Dichloro-phenyl-, 2-Nitrophenyl-, 2,4-Dinitro-phenyl-, 3,5-Dichloro-2-hydroxy-phenyl-, 2,4,6-Trisisopropyl-phenyl-, 2-Mesityl-, 3-Nitro-phenyl-, 4-Bromo-phenyl-, 4-Fluoro-phenyl-, 4-Chlorophenyl-, 4-Chloro-3-nitro-phenyl-, 4-Iodo-phenyl-, N-Acetyl-sulfanilyl-, 4-Nitro-phenyl-, 4-Methoxy-phenyl-, Benzoic-acid-4-yl-, 4-tert-Butyl-phenyl-, p-Tolyl-, Trifluoromethyl-, Trichloromethyl-, Isopropyl-, Methyl-, Benzyl-, trans-styryl-, 2,2,2-Trifluoroethyl-, Ethyl-, Hexadecyl-, 2-Chloroethyl-, n-Propyl-, 3-Chloro-propyl-, n-Butyl-, Methyl-benzoate-2-yl-, 2-Nitro-4-(trifluoromethyl)-phenyl-, Pentamethyl-phenyl-, 2,3,5,6-Tetramethyl-phenyl-, 3-(Trifluoromethyl)-phenyl-, 3,5-Bis-(Trifluoromethyl)-phenyl-, Dichloromethyl-, Chloromethyl-, Dodecyl-, 1-Octyl-, 2,3,4-Trichloro-phenyl-, 2,5-Dimethoxy-phenyl-, o-Tolyl-, p-xylyl-2-yl-, Benzoic-acid-3-yl-, 4-Chloro-3-(trifluoromethyl)-phenyl-, 4-Chloro-5-nitro-benzoic acid-3-yl-, 6-(p-toluidino)-naphth-2-yl-, 4-Methoxy-2,3,6-trimethylphenyl-, 3,4-Dichlorophenyl-, 4,5-Dibromo-thiophene-2-yl-, 3-Chloro-4-fluoro-phenyl-, 4-Ethyl-phenyl-, 4-n-Propyl-phenyl-, 4-(1,1-Dimethylpropyl)-phenyl-, 4-Isopropyl-phenyl-, 4-Bromo-2,5-difluoro-phenyl-, 2-Fluoro-phenyl-, 3-Fluoro-phenyl-, 4-(Trifluoromethoxy)-phenyl-, 4-(Trifluoromethyl)-phenyl-, 2,4-Difluoro-phenyl-, 2,4-Dichloro-5-methyl-phenyl-, 4-Chloro-2,5-dimethyl-phenyl-, 5-Diethylamino-naphth-2-yl-, Benzoyl chloride-3-yl-, 2-Chloro-phenyl-, 1-Octadecyl-, 4-Bromo-2,5-dichloro-thiophene-3-yl-, 2,5-Dichloro-thiophene-3-yl-, 5-Chloro-thiophene-2-yl-, 2-Methyl-5-nitro-phenyl-, 2-(Trifluoromethyl)-phenyl-, 3-Chloro-phenyl-, 3,5-Dichloro-phenyl-, 1-Decyl-, 3-Methyl-phenyl-, 2-Chloro-6-methyl-, 5-Bromo-2-methoxy-phenyl-, 3,4-Dimethoxy-phenyl-, 2-3-Dichloro-phenyl-, 2-Bromo-phenyl-, 3,5-Dichloro-4-(2-chloro-4-nitrophenoxy)-phenyl-, 2,3-Dichloro-thiophene-5-yl-, 3-Bromo-2-chloro-

thiophene-5-yl-, 3-Bromo-5-chloro-thiophene-2-yl-, 2-(Benzoylaminomethyl)-  
thiophene-5-yl-, 4-(Phenyl-sulphonyl)-thiophene-2-yl-, 2-Phenyl-sulphonyl-  
thiophene-5-yl-, 3-Chloro-2-methyl-phenyl-, 2-[1-Methyl-5-  
(trifluoromethyl)pyrazol-3-yl]-thiophene-5-yl-, 5-Pyrid-2-yl-thiophene-2-yl-, 2-  
5 Chloro-5-(trifluoromethyl)-phenyl-, 2,6-Dichloro-phenyl-, 3-Bromo-phenyl-, 2-  
(Trifluoromethoxy)-phenyl-, 4-Cyano-phenyl-, 2-Cyano-phenyl-, 4-n-Butoxy-  
phenyl-, 4-Acetamido-3-chloro-phenyl, 2,5-Dibromo-3,6-difluoro-phenyl-, 5-  
Chloro-1,3-dimethylpyrazole-4-yl-, 3,5-Dimethylisoxazole-4-yl-, 2-(2,4-  
Dichlorophenoxy)-phenyl-, 4-(2-Chloro-6-nitro-phenoxy)-phenyl-, 4-(3-Chloro-2-  
10 cyano-phenoxy)-phenyl-, 2,4-Dichloro-phenyl-, 2,4-Dimethyl-1,3-thiazole-5-yl-,  
Methyl-methane-sulfonyl-, 2,5-Bis-(2,2,2-Trifluoroethoxy)-phenyl-, 2-Chloro-4-  
(trifluoromethyl)-phenyl-, 2-Chloro-4-fluoro-phenyl-, 5-Fluoro-2-methyl-phenyl-,  
5-Chloro-2-methoxy-phenyl-, 2,4,6-Trichloro-phenyl-, 2-Hydroxy-benzoic acid-5-  
yl-, 5-(Di-n-propylamino)-naphth-1-yl-, 6-Methoxy-m-tolyl-, 2,5-Difluoro-phenyl-,  
15 2,4-Dimethoxy-phenyl-, 2,5-Dibromo-phenyl-, 3,4-Dibromo-phenyl-, 2,2,5,7,8-  
Pentamethyl-chroman-6-yl-, 2-Methoxy-benzoic-acid-5-yl-, 5-Chloro-4-nitro-  
thiophene-2-yl-, 2,1,3-Benzothiadiazole-4-yl-, 1-Methyl-imidazole-4-yl-,  
Benzofurazan-4-yl-, 2-(Methoxycarbonyl)-thiophene-3-yl-, 5-(Isoxazol-3-yl)-  
thiophene-2-yl-, 2,4,5-Trifluoro-phenyl-, Biphenyl-4-yl-, Vinyl-phenyl-4-yl-, 2-  
20 Nitro-benzyl-, 5-Dichloro-methyl-furan-2-yl-, 5-Bromo-thiophene-2-yl-, 5-(4-  
Chlorobenzamidomethyl)-thiophene-2-yl-, 2,6-Difluoro-phenyl-, 2,5-Dimethoxy-  
4-nitro-phenyl-, Dibenzo[B,D]-furan-2-yl-, 2,3,4-Trifluoro-phenyl-, 3-Nitro-p-tolyl-,  
4-Methoxy-2-nitro-phenyl-, 3,4-Difluoro-phenyl-, 4-(Bromoethyl)-phenyl-, 3,5-  
Dichloro-4-hydroxy-phenyl-, 4-n-Amyl-phenyl-, 5-Chloro-3-methylbenzo[B]-  
25 thiophene-2-yl-, 3-Methoxy-4-(methoxycarbonyl)-thiophene-2-yl-, 4-n-Butyl-  
phenyl-, 2-Chloro-4-cyano-phenyl-, 5-[2-(Methylthio)-pyrimidin-4-yl]-thiophene-  
2-yl-, 3,5-Dinitro-4-methoxy-phenyl-, 4-Bromo-2-(trifluoromethoxy)-phenyl-, 4-  
Chloro-2,1,3-Benzoxadiazole-7-yl-, 2-(1-Naphthyl)-ethyl-, 3-Cyano-phenyl-, 5-  
Chloro-2,1,3-Benzoxadiazole-4-yl-, 3-Chloro-4-methyl-phenyl-, 4-Bromo-2-ethyl-  
30 phenyl-, 2,4-Dichloro-6-methyl-phenyl-, 6-Chloro-imidazo(2,1-B)-thiazole-5-yl-,  
3-Methyl-benzo[B]-thiophene-2-yl-, 4-Methyl-sulphonyl-phenyl-, 2-Methyl-  
sulphonyl-phenyl-, 4-Bromo-2-methyl-phenyl-, 2,6-Dichloro-4-(trifluoromethyl)-  
phenyl-, 4-[[3-Chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-phenyl-, 5-Chloro-



naphth-1-yl-, 5-Chloro-naphth-2-yl-, 9,10-Dibromoanthracene-2-yl-,  
Isoquinoline-5-yl-, 4-Methoxy-2,3,6-trimethyl-phenyl-, 4'-Nitro-biphenyl-4-yl-, [(4-  
Phenoxy)-phenyl-, (1,3-Dihydro-1-oxo-2H-isoindol-2-yl)-4-phenyl-, 4-Acetyl-  
phenyl-, 5-(2-Methyl-1,3-thiazole-4-yl)-thiophene-2-yl-, 5-(1-Methyl-3-  
5 (trifluoromethyl)pyrazol-5-yl)-thiophene-2-yl-, 5-[5-Trifluoromethyl]-isoxazol-3-  
yl]-thiophene-2-yl-, 2-Iodo-phenyl-, p-Dodecyl-phenyl-, 4-[(3-Cyano-4-methoxy-  
2-pyridinyl)oxy]-phenyl-, 4-(N-phthalimidinyl)-phenyl-, 1,2,3,4-Tetrahydro-2-  
(trifluoroacetyl)-isoquinoline-7-yl-, 4-Bromo-2-fluoro-phenyl-, 2-Fluoro-5-  
(trifluoromethyl)-phenyl-, 4-Fluoro-2-(trifluoromethyl)-phenyl-, 4-Fluoro-3-  
10 (trifluoromethyl)-phenyl-, 2,4,6-Trifluoro-phenyl-, 3-(Trifluoromethoxy)-phenyl-,  
1,2-Dimethylimidazole-4-yl-, Ethyl-4-Carboxylate-3-yl-, 2,2,4,6,7-  
Pentamethyldihydrobenzofuran-5-yl-, 3-Bromo-2-chloropyridine-5-yl-, 3-  
Methoxy-phenyl-, 2-Methoxy-4-methyl-phenyl-, 2-Chloro-4-fluorobenzoic-acid-5-  
yl-, 4-Chloro-naphth-1-yl-, 2,5-Dichloro-4-nitro-thiophene-3-yl-, 4-(4-Methoxy-  
15 phenoxy)-phenyl-, 4-(4-Chloro-phenoxy)-phenyl-, 4-(3,5-Dichloro-phenoxy)-  
phenyl-, 4-(3,4-Dichloro-phenoxy)-phenyl-, 4-(4-Fluoro-phenoxy)-phenyl-, 4-(4-  
Methyl-phenoxy)-phenyl-, 4-[4-(Trifluoromethyl)-phenoxy-phenyl-, 4-[3,5-Bis-  
(trifluoromethyl)-phenoxy]-phenyl-, 3-(2-Methoxy-phenoxy)-phenyl-, [3-(2-  
Chloro-phenoxy)-phenyl-, 3-(2-Methyl-phenoxy)-phenyl-, 4-[2-(Trifluoromethyl)-  
20 phenoxy]-phenyl-, 3-Phenyl-phenyl-, 3-(4-Methoxy-phenyl)-phenyl-, 3-(4-Chloro-  
phenyl)-phenyl-, 3-(3,5-Dichloro-phenyl)-phenyl-, 3-(3,4-Dichloro-phenyl)-  
phenyl-, 3-(4-Fluorophenyl)-phenyl-, 3-(4-Methylphenyl)-phenyl-, 3-[4-  
(Trifluoromethyl)-phenyl]-phenyl-, 3-[3,5-Bis-(Trifluoromethyl)-phenyl]-phenyl-,  
4-(4-Pyridyloxy)-phenyl-, 4-(2-Methoxy-phenoxy)-phenyl-, 4-(2-Chloro-  
25 phenoxy)-phenyl-, 4-(2-Methyl-phenoxy)-phenyl-, 4-(4-Methoxy-phenoxy)-  
phenyl-, 4-(4-Chlorophenyl)-phenyl-, 4-(3,5-Dichlorophenyl)-phenyl-, 4-(3,4-  
Dichlorophenyl)-phenyl-, 4-(4-Fluorophenyl)-phenyl-, 4-(4-Methylphenyl)-  
phenyl-, 4-[4-(Trifluoromethyl)-phenyl]-phenyl-, 4-[3,5-Bis-(Trifluoromethyl)-  
phenyl]-phenyl-, [3-(Trifluoromethyl)-phenyl]-methyl-, (4-Chlorophenyl)-methyl-,  
30 (3,5-Dichlorophenyl)-methyl-, (3,5-Dichlorophenyl)-methyl-, (4-Fluorophenyl)-  
methyl-, 4-Methylphenylmethyl-, [4-(Trifluoromethyl)-phenyl]-methyl-,  
Cyclopropyl-, 2-(2-Chlorophenyl)-2-Phenylethyl-, 2-(2-Trifluoromethylphenyl)-2-  
phenylethyl-, 5-[4-Cyano-1-methyl-5-(methylthio)-1H-pyrazol-3-yl]-thiophene-2-

yl-, 3-Cyano-2,4-bis-(2,2,2-Trifluorothoxy)-phenyl-, 4-[(2-Chloro-1,3-Thiazol-5-yl)-methoxy]-phenyl-, 3-Nitro-phenylmethyl-, 4-Formylphenyl-, 2-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-ethyl-, [3,5-Bis-(Trifluoromethyl)-phenyl]-methyl-, (4-(2-Pyridyloxy)-phenyl)-, (4-(3-Pyridyloxy)-phenyl)-, 5-Iodo-naphth-1-yl-, Ethyl-2,5-dimethyl-1-phenylpyrrole-4-carboxylate-3-yl-, Ethyl-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylate-4-yl-, Ethyl-5-(4-chlorophenyl)-2-methyl-3-furoate-4-yl, Ethyl-5-(4-chlorophenyl)-2-methyl-1-phenyl-3-carboxylate-4-yl-, Ethyl-2,5-dimethyl-3-furoate-4-yl-, 3-Chloro-4-(1,3-dioxo-2-Azaspiro[4,4]non-2-yl)-phenyl-, 5-Bromo-2,4-difluoro-phenyl-, 5-Chloro-2,4-difluorophenyl-, Coumarin-6-yl, 2-Methoxy-phenyl, (3-Phenoxy)-phenyl-, 3-(4-Methoxy-phenoxy)-phenyl-, 3-(4-Chlorophenoxy)-phenyl-, 3-(3,5-Dichlorophenoxy)-phenyl-, 3-(3,4-Dichlorophenoxy)-phenyl-, 3-(4-Fluorophenoxy)-phenyl-, 3-(4-Methylphenoxy)-phenyl-, 3-[4-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[3,5-(Trifluoromethyl)-phenoxy]-phenyl-, 3-[2-(Trifluoromethyl)-phenoxy]-phenyl-, 2,2-Diphenylethyl-, 4-Phenyl-5-(trifluoromethyl)-thiophene-3-yl-, Methyl-4-Phenyl-5-(Trifluoromethyl)-thiophene-2-carboxylate-3-yl-, Methyl-1,2,5-trimethylpyrrole-3-Carboxylate-4-yl-, 4-Fluoro-naphth-1-yl-, 3,5-Difluorophenyl-, 3-Fluoro-4-methoxy-phenyl-, 4-Chloro-2,5-difluorophenyl-, 2-Chloro-4,5-difluoro-phenyl-, 5-Fluoro-3-methylbenzo[B]-thiophene-2-yl-, Methyl-3-phenylpropionate-4-yl, Dihydrocinnamic Acid-4-yl-, Methyl-2,5-dimethyl-3-furoate-4-yl-, Methyl-2-furoate-5-yl-, Methyl-2-methyl-3-furoate-5-yl-, Methyl-1-methyl-1H-pyrrole-2-Carboxylate-5-yl-, 2-(5-Chloro-1,2,4-Thiadiazol-3-yl)-thiophene-5-yl-, 1,3,5-Trimethyl-1H-pyrazole-4-yl-, 3-Chloro-5-fluoro-2-methylphenyl-, Pentafluoroethoxytetrafluoroethyl-, 5-(5-Isioxazol)-thiophene-2-yl-, 5-(5-Isioxazol-yl)-2-furyl-, 5-Methyl-2,1,3-benzothiadiazole-4-yl-, Biphenyl-2-yl-, 2,3-Dihydro-1,4-benzodioxine-6-yl-, 4-Methyl-Naphth-1-yl-, 5-Methyl-2-(Trifluormethyl)-3-Furyl-, 2,3-Dihydrobenzo[B]furan-5-yl-, 1-Benzothiophene-3-yl-, 4-Methyl-3,4-dihydro-2H-1,4-Benzoxazine-7-yl-, 5-Methyl-1-phenyl-1H-pyrazole-4-yl-, 6-Morpholino-3-Pyridinyl-, 4-(1H-Pyrazol-1-yl)-phenyl-, 6-Phenoxy-3-Pyridyl-, 3,4-Dihydro-2H-1,5-benzodioxepine-7-yl-, 5-(1,3-Oxazol-5-yl)-2-thienyl-, 4-(1,3-Oxazol-5-yl)-phenyl-, 5-Methyl-4-isoxazolyl, 2,1,3-Benzothiadiazole-5-yl-, 3-Thienyl-, 2-Methyl-benzyl-, 3-Chloro-benzyl-, 5-Acetamido-naphth-1-yl-, 3-Methyl-8-Quinoliny-, 4-Chloro-2-nitrophenyl-, 6-

Quinoliny-, 1,3-Benzothiazole-6-yl-, 2-Morpholino-3-Pyridyl-, 2,5-Dimethyl-3-thienyl-, 5-[5-(Chloromethyl)-1,2,4-oxadiazol-3-yl]-2-thienyl-, Ethyl-3-[5-yl-2-thienyl]-1,2,4-oxadiazole-5-carboxylate-, 3-(5-Methyl-1,3,4-oxadiazol-2-yl)-phenyl-, 4-Isopropoxyphenyl-, 2,4-Dibromophenyl-, 3-Cyano-4-fluorophenyl-,  
 5 2,5-Bis-(Trifluoromethyl)-phenyl, 2-Bromo-4-fluorophenyl-, 4-Bromo-3-fluorophenyl-, 4-(Difluoromethoxy)-phenyl-, 3-(Difluoromethoxy)-phenyl-, 5-Chloro-2-fluoro-phenyl-, 3-Chloro-2-fluorophenyl-, 2-Fluoro-4-methylphenyl-, 4-Nitro-3-(trifluoromethyl)-phenyl-, 3-Fluoro-4-methylphenyl-, 4-Fluoro-2-methylphenyl-, 4-Bromo-3-(trifluoromethyl)-phenyl-, 4-Bromo-2-(trifluoromethyl)-phenyl-, 3-Bromo-5-(trifluoromethyl)-phenyl-, 2-Bromo-4-(trifluoromethyl)-phenyl-, 2-Bromo-5-(trifluoromethyl)-phenyl-, 2,4-Dichloro-5-fluorophenyl-, 4,5-Dichloro-2-fluorophenyl-, 3,4,5-Trifluorophenyl-, 4-Chloro-2-fluorophenyl-, 2-Bromo-4,6-Difluorophenyl-, 2-Ethylphenyl-, 4-Bromo-2-chlorophenyl-, 4-Bromo-2,6-dichlorophenyl-, 2-Bromo-4,6-dichloro-phenyl-, 4-Bromo-2,6-  
 10 dimethylphenyl-, 3,5-Dimethylphenyl-, 4-Bromo-3-methylphenyl-, 2-Methoxy-4-nitrophenyl-, 2,2-Dimethyl-6-Chromanyl-, Ethyl-3,5-dimethyl-1H-pyrrole-2-carboxylate-4-yl-, Imidazo[1,2-A]pyridine-3-yl-, 3-(1,3-Oxazol-5-yl)-phenyl-, Ethyl-5-[4-yl)-phenyl]-2-methyl-3-furoate, Methyl-3-(yl)-4-methoxybenzoate, 1-Pyrrolidinylphenylsulfonyl-, Methyl-5-yl-4-methyl-2-thiophene-carboxylate,  
 15 Methyl-3-yl-4-(isopropylsulfonyl)-2-thiophene, 2-Pyridyl-, 3-Fluoro-4-nitrophenyl-, 7-Chlorochromone-3-yl-, 4'-Bromobiphenyl-4-yl-, 4'-Acetyl-biphenyl-4-yl-, 4'-Bromo-2'-fluoro-biphenyl-4-yl-, 2-Chloro-4-(3-propyl-Ureido)-phenyl-, 3-(-Bromoacetyl)-phenyl-, 2-Bromo-3-(trifluoromethyl)-phenyl-, 1-Methyl-5-isatinyl-, 4-Isopropyl-benzoic-acid-3-yl-, 2-Chloro-3-thiophenecarboxylic-acid-5-yl-, 3-  
 20 Pyridyl-, Cyclohexylmethyl-, 2-Methoxy-5-(N-phthalimidinyl)-phenyl-, 1-Benzothiophene-2-yl-, Morpholinophenylsulfonyl-, 3-(2-Methyl-4-pyrimidinyl)-phenyl-, and 2-Cyano-5-methylphenyl-,

and R<sup>1b</sup>-R<sup>15b</sup> have the meaning given above, optionally in form of one of their  
 30 stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ib) is preferred, wherein  $R^{10}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical,

more preferably H,  $CH_3$ ,  $C_2H_5$  or phenyl,

and  $R^{1b}$ - $R^{9b}$ ,  $R^{12b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Moreover, the use of compounds of general formula (Ib) is preferred, wherein  $R^{11b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-

substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably H, a C<sub>1-4</sub>-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or phenyl,

and R<sup>1b</sup>-R<sup>10b</sup>, R<sup>12b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Preference is also given to the use of compounds of general formula (Ib), wherein R<sup>12b</sup> represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub>-aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted C<sub>1-6</sub>-alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a C<sub>1-4</sub>-alkyl radical, cyclohexyl or a phenyl radical, more preferably H, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub> or phenyl,

and R<sup>1b</sup>-R<sup>11b</sup>, R<sup>13b</sup>-R<sup>18b</sup> and W<sup>b</sup> have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $R^{13b}$  and  $R^{14b}$  are each independently selected from the group consisting of hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system, or an optionally at least mono-substituted, 5- or 6-membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably are each independently selected from the group consisting of H, a  $C_{1-4}$ -alkyl radical, cyclohexyl and a phenyl radical,

more preferably are each independently selected from the group consisting of H,  $CH_3$ ,  $C_2H_5$  and phenyl,

and  $R^{1b}$ - $R^{12b}$ ,  $R^{15b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Furthermore, the use of compounds of general formula (Ib) is preferred, wherein  $R^{13b}$  and  $R^{14b}$  together with the bridging nitrogen atom form a saturated, unsaturated or aromatic, 5- or 6-membered heterocyclic ring, which may be at least mono-substituted and/or contain at least one further heteroatom as a ring member,

preferably form an unsubstituted piperidin or morpholine group,

and  $R^{1b}$ - $R^{12b}$ ,  $R^{15b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib), wherein  $R^{15b}$  represents hydrogen, an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic radical or an optionally at least mono-substituted, 5- or 6- membered aryl- or heteroaryl radical, which may be bonded via an optionally at least mono-substituted  $C_{1-6}$ -alkylene group and/or may be condensed with an optionally at least mono-substituted mono- or polycyclic ring-system,

preferably represents H, a  $C_{1-4}$ -alkyl radical, cyclohexyl or a phenyl radical,

more preferably represents H,  $CH_3$ ,  $C_2H_5$  or phenyl,

and  $R^{1b}$ - $R^{14b}$ ,  $R^{16b}$ - $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred is the use of compounds of general formula (Ib), wherein  $R^{16b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$  aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted  $C_{1-3}$  alkyl radical,

more preferably a methyl radical,

and  $R^{1b}$ - $R^{15b}$ ,  $R^{17b}$ ,  $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib), wherein  $R^{17b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$  aliphatic radical,

preferably an unbranched or branched, saturated, unsubstituted  $C_{1-3}$  alkyl radical,

more preferably a methyl radical,

and  $R^{1b}$ - $R^{16b}$ ,  $R^{18b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (I), wherein  $R^{18b}$  represents a phenyl radical, which is optionally at least mono-substituted by a  $C_{1-6}$  aliphatic radical, more preferably a phenyl radical, which is optionally at least mono-substituted by a methyl group,

and  $R^{1b}$ - $R^{17b}$  and  $W^b$  have the meaning given above, optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of its stereoisomers, preferably



enantiomers or diastereomers, in any mixing ratio, or corresponding salts thereof, or corresponding solvates.

Also preferred are compounds of general formula (Ib), wherein

$R^{1b}$ ,  $R^{2b}$ ,  $R^{3b}$ ,  $R^{4b}$  are each independently selected from the group consisting of a hydrogen atom; a fluorine atom; a chlorine atom; a bromine atom; a methyl group and a methoxy group;

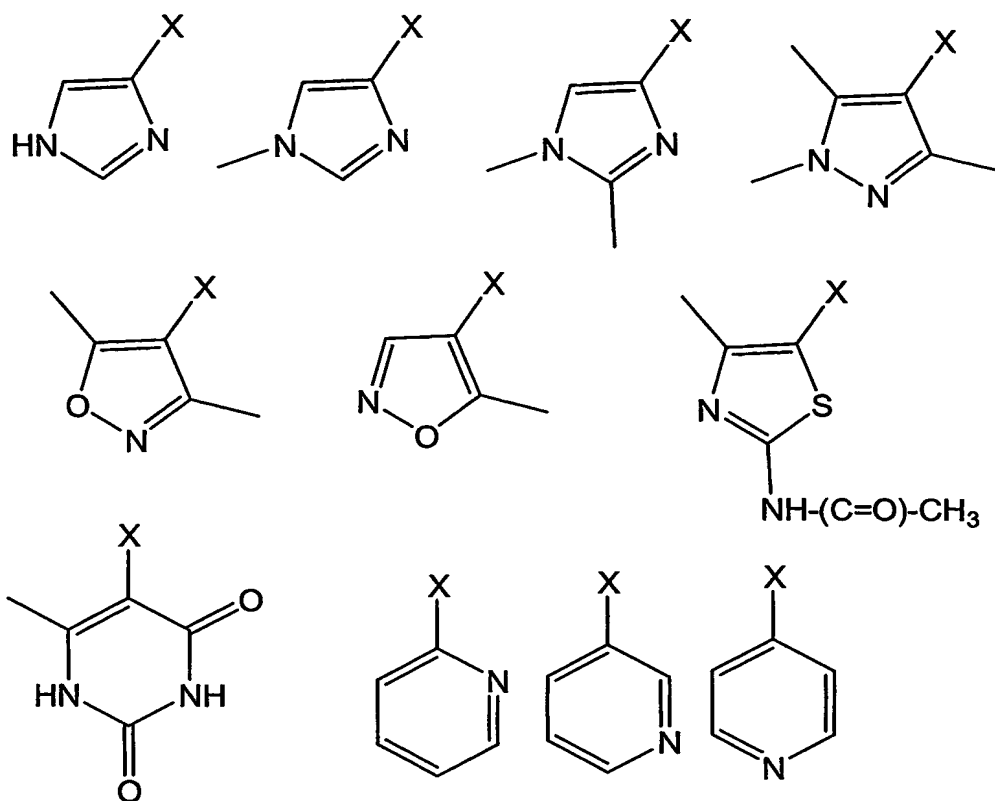
$R^{5b}$  represents a hydrogen atom;

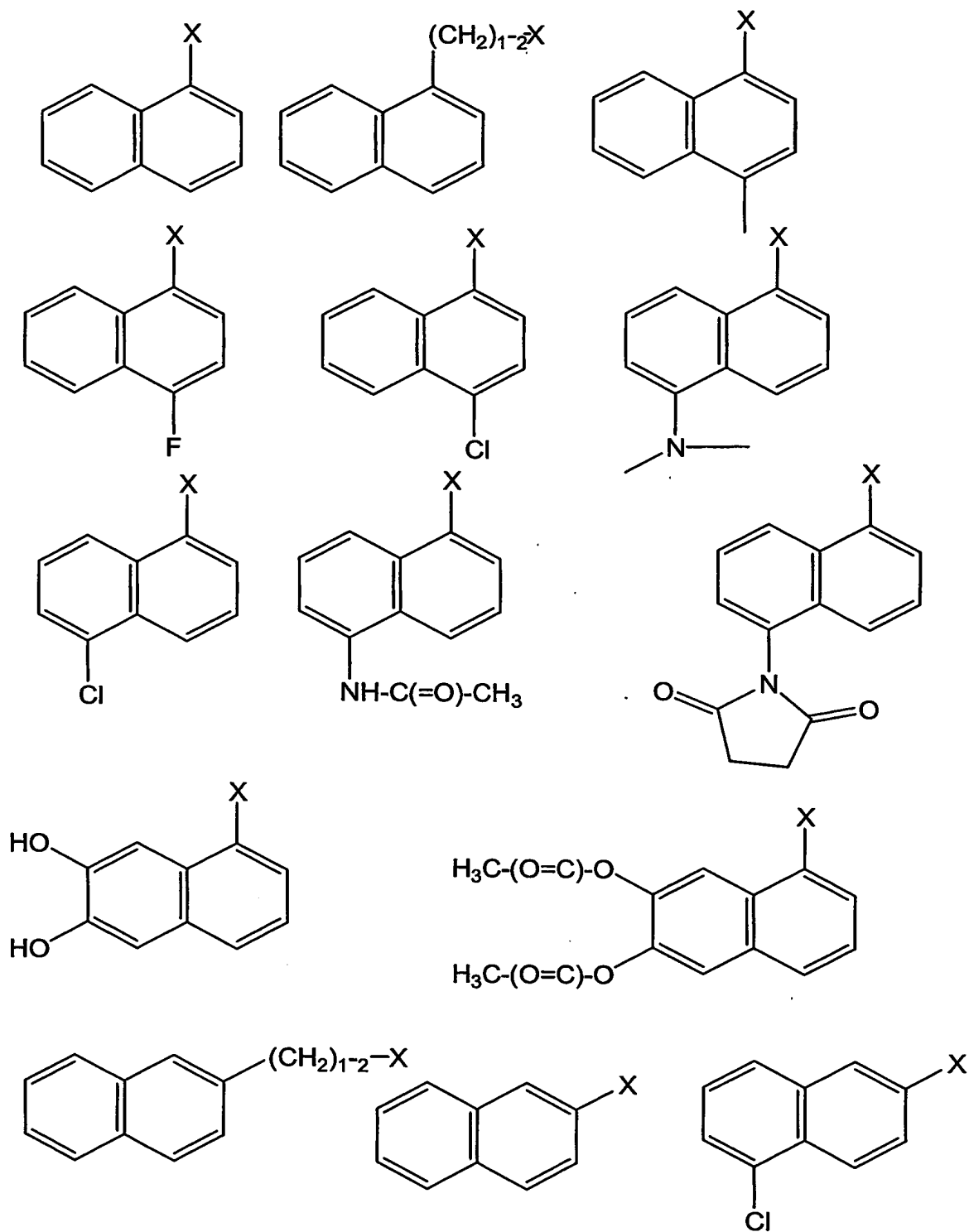
$R^{6b}$ ,  $R^{7b}$ ,  $R^{8b}$ ,  $R^{9b}$  each represent a hydrogen atom;

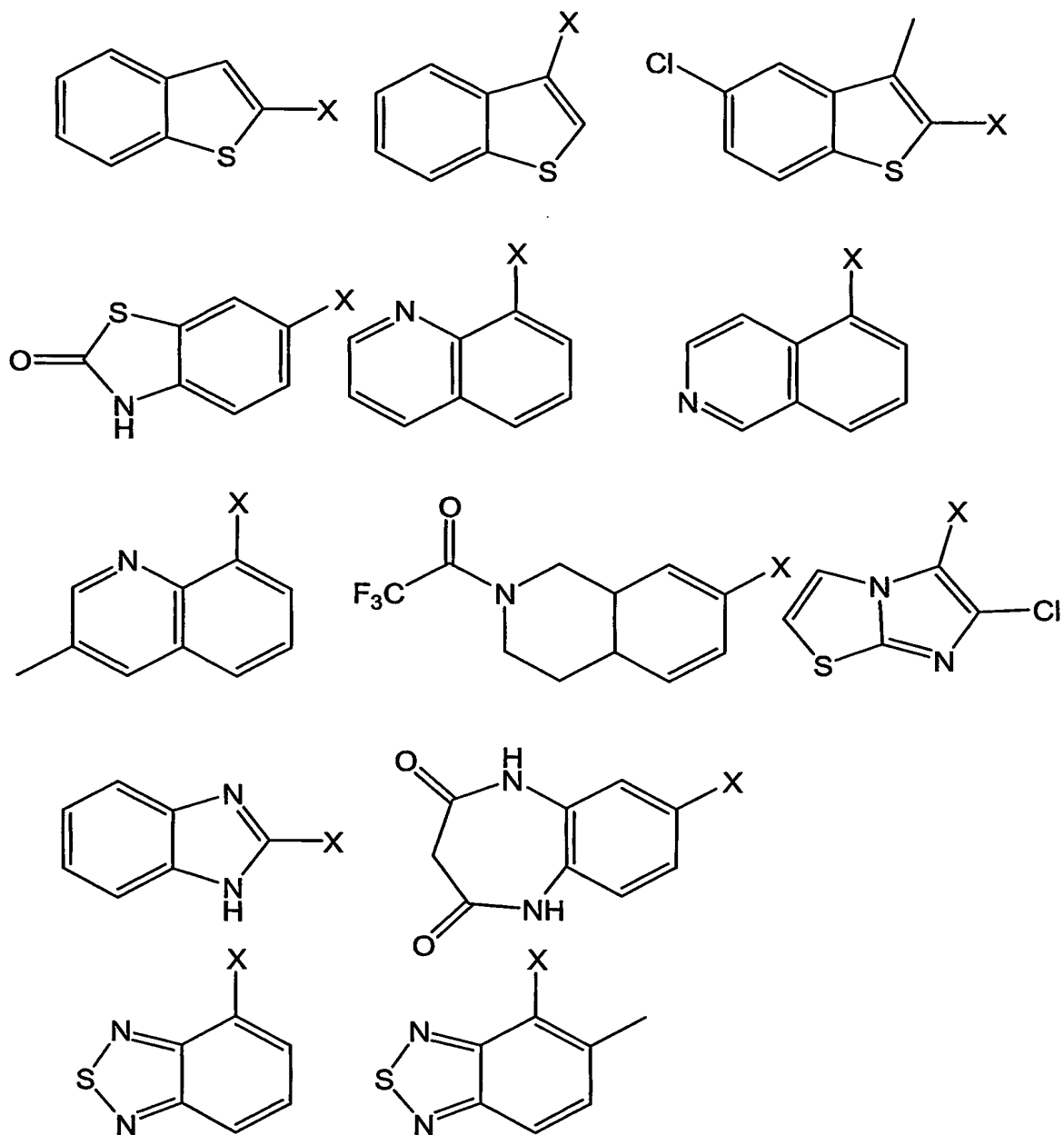
$W^b$  represents

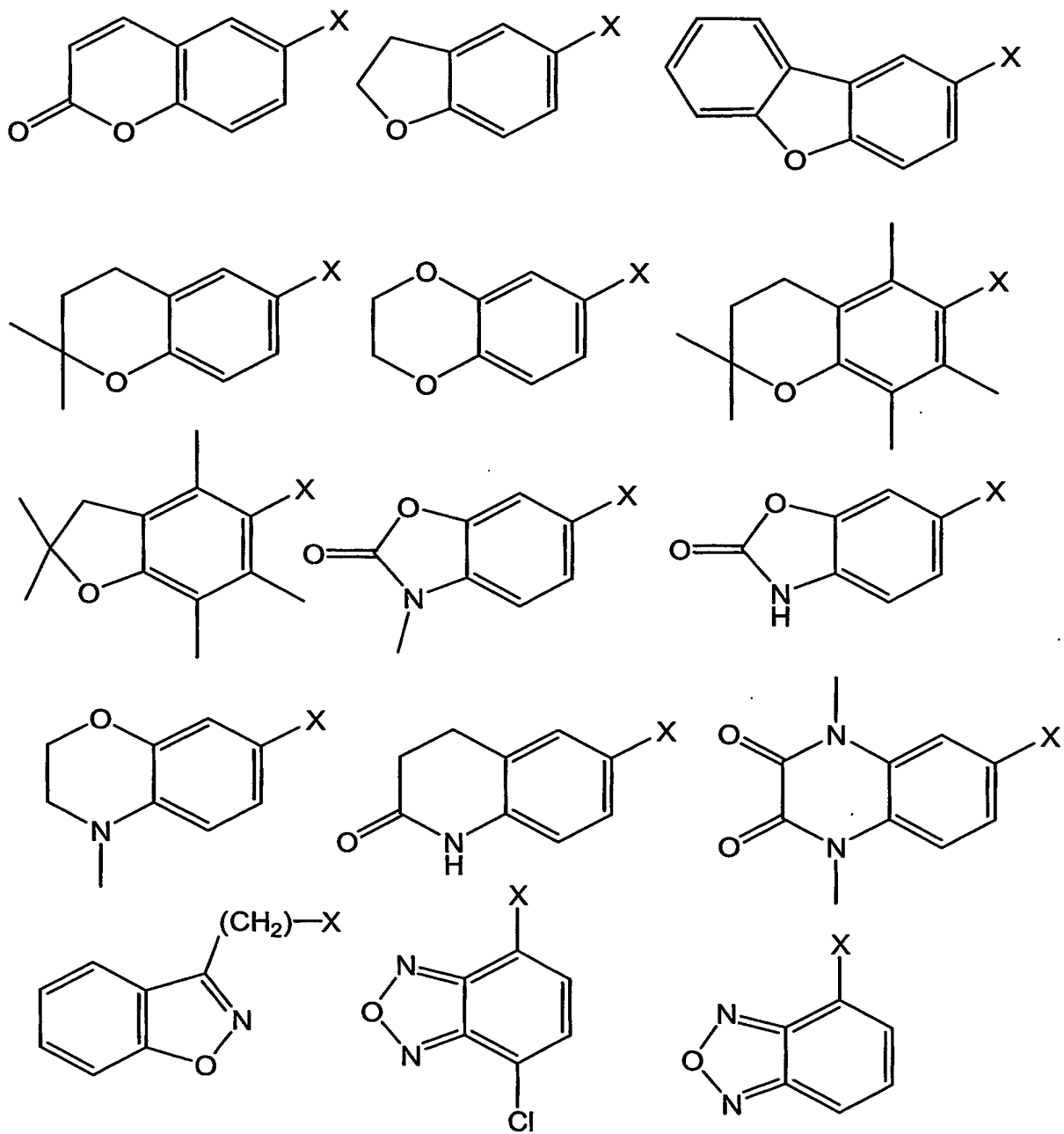
an alkyl radical selected from the group consisting of methyl; ethyl; n-propyl; iso-propyl; n-butyl; sec.butyl; iso-butyl and tert-butyl; vinyl ( $CH_2=CH-$ );  $-N(CH_3)_2$ ; 1-naphthyl; benzyl; 2-naphthyl; phenyl; 2-methyl-phenyl; 3-methyl-phenyl; 4-methyl-phenyl; 2-ethyl-phenyl; 3-ethyl-phenyl; 4-ethyl-phenyl; 2-n-propyl-phenyl; 3-n-propyl-phenyl; 4-n-propyl-phenyl; 2-isopropyl-phenyl; 3-isopropyl-phenyl; 4-isopropyl-phenyl; 2-n-butyl-phenyl; 3-n-butyl-phenyl; 4-n-butyl-phenyl; 2-iso-butyl-phenyl; 3-iso-butyl-phenyl; 4-iso-butyl-phenyl; 2-tert-butyl-phenyl; 3-tert-butyl-phenyl; 4-tert-butyl-phenyl; 1,1-dimethylpropyl-phenyl; 2-cyclopentyl-phenyl; 3-cyclopentyl-phenyl; 4-cyclopentyl-phenyl; 2-cyclohexyl-phenyl; 3-cyclohexyl-phenyl; 4-cyclohexyl-phenyl; 2-methoxy-phenyl; 3-methoxy-phenyl; 4-methoxy-phenyl; 2-ethoxy-phenyl; 3-ethoxy-phenyl; 4-ethoxy-phenyl; 2-n-propoxy-phenyl; 3-n-propoxy-phenyl; 4-n-propoxy-phenyl; 2-iso-propoxy-phenyl; 3-iso-propoxy-phenyl; 4-isopropoxy-phenyl; 2-fluoro-phenyl; 3-fluoro-phenyl; 4-fluoro-phenyl; 2-chloro-phenyl; 3-chloro-phenyl; 4-chloro-phenyl; 2-bromo-phenyl; 3-bromo-phenyl; 4-bromo-phenyl; 2-trifluoromethyl-phenyl; 3-trifluoromethyl-phenyl; 4-trifluoromethyl-phenyl; 2-trifluoromethoxy-phenyl; 3-trifluoromethoxy-phenyl; 4-trifluoromethoxy-phenyl; 2-carboxy-phenyl; 3-carboxy-phenyl; 4-carboxy-phenyl; 2-acetyl-phenyl; 3-acetyl-phenyl; 4-acetyl-

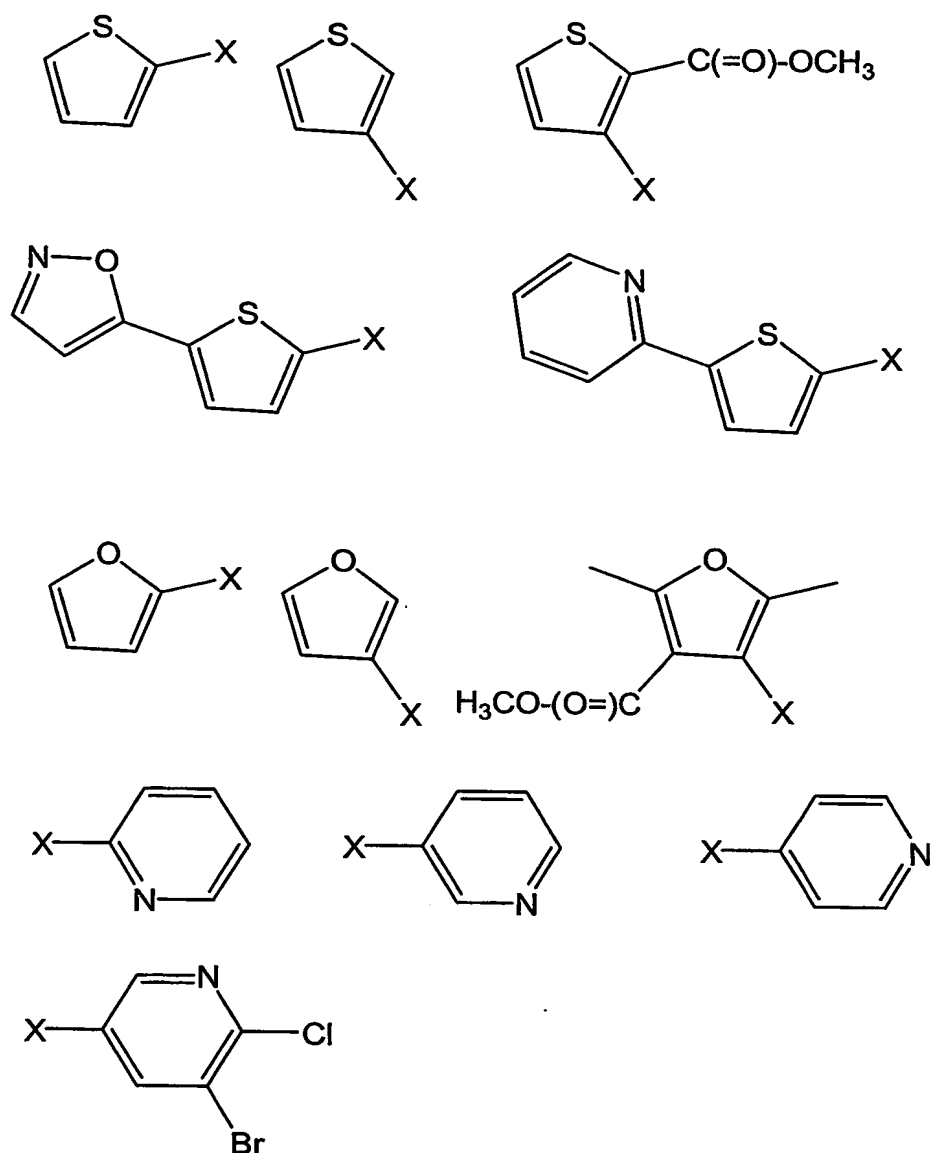
phenyl; 2-(C=O)-O-CH<sub>3</sub>-phenyl; 3-(C=O)-O-CH<sub>3</sub>-phenyl; 4-(C=O)-O-CH<sub>3</sub>-phenyl;  
2-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; 3-(CH<sub>2</sub>)-(CH<sub>2</sub>)-(C=O)-O-CH<sub>3</sub>; 4-(CH<sub>2</sub>)-(CH<sub>2</sub>)-  
(C=O)-O-CH<sub>3</sub>; 2-cyano-phenyl; 3-cyano-phenyl; 4-cyano-phenyl; 2-nitro-phenyl;  
3-nitro-phenyl; 4-nitro-phenyl; 4-(4-bromophenoxy)-phenyl; 2-methylsulfonyl-  
5 phenyl; 3-methylsulfonyl-phenyl; 4-methylsulfonyl-phenyl; 2-phenyl-phenyl  
(biphenyl-2-yl); 3-phenyl-phenyl (biphenyl-3-yl); 4-phenyl-phenyl (biphenyl-4-yl);  
2-phenoxy-phenyl; 3-phenoxy-phenyl; 4-phenoxy-phenyl; 2,4-dimethyl-phenyl;  
3,4-dimethyl-phenyl; 2,4,6-trimethyl-phenyl; 2,3,5,6-tetramethyl-phenyl;  
pentamethyl-phenyl; 2,5-dimethoxy-phenyl; 3,4-dimethoxy-phenyl; 2,3-dichloro-  
10 phenyl; 2,4-dichloro-phenyl; 2,5-dichloro-phenyl; 3,4-dichloro-phenyl; 3,5-  
dichloro-phenyl; 2,6-dichloro-phenyl; 2,4-difluoro-phenyl; 3,4-difluoro-phenyl;  
2,5-difluoro-phenyl; 2,6-difluoro-phenyl; 3-chloro-2-fluoro-phenyl; 3-chloro-4-  
fluoro-phenyl; 5-chloro-2-fluoro-phenyl; 2,3,4-trichloro-phenyl; 2,4,5-trichloro-  
phenyl; 2,4,6-trichloro-phenyl; 2,4,5-trifluoro-phenyl; 2,3,4-trifluoro-phenyl;-  
15 2-chloro-4,5-difluoro-phenyl; 2-bromo-4-fluoro-phenyl; 2-bromo-4,6-difluoro-  
phenyl; 4-chloro-2,5-difluoro-phenyl; 5-chloro-2,4-difluoro-phenyl; 4-bromo-2,5-  
difluoro-phenyl; 5-bromo-2,4-difluoro-phenyl; pentafluoro-phenyl; 2,4-dinitro-  
phenyl; 4-chloro-3-nitro-phenyl; 2-methyl-5-nitro-phenyl; 5-bromo-2-methoxy-  
phenyl; 3-chloro-2-methyl-phenyl; 4-bromo-3-methyl-phenyl; 4-chloro-2,5-  
20 dimethyl-phenyl; 4-fluoro-3-methyl-phenyl; 5-fluoro-2-methyl-phenyl; 2-nitro-4-  
trifluoromethyl-phenyl; 2-methoxy-4-methyl-phenyl; 3,5-dichloro-2-hydroxy-  
phenyl; 3,5-dichloro-4-hydroxy-phenyl; 5-chloro-2,4-difluoro-phenyl; 3-chloro-4-  
(NH)-(C=O)-CH<sub>3</sub>-phenyl; 2-chloro-6-methyl-phenyl; 2-chloro-5-trifluoromethyl-  
phenyl; 2-chloro-5-trifluoromethoxy-phenyl; 4-bromo-2-trifluoromethoxy-phenyl;  
25 4-bromo-2-trifluoromethyl-phenyl; 4-bromo-3-trifluoromethyl-phenyl; 3-carboxy-  
4-fluoro-phenyl; 3-carboxy-4-chloro-6-fluoro-phenyl; 4-methoxy-2,3,6-trimethyl-  
phenyl;- or one of the following groups:







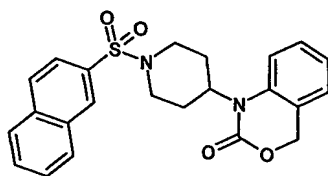




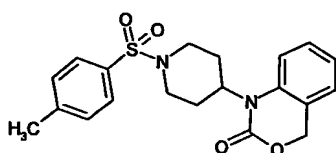
whereby in each case X denotes the position by which the respective substituent  $\text{W}^b$  is bonded to the  $\text{-SO}_2$  group of formula (Ib).

- 5 optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively.

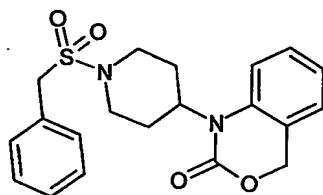
Particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compounds of general formula (Ib) selected from the group consisting of:



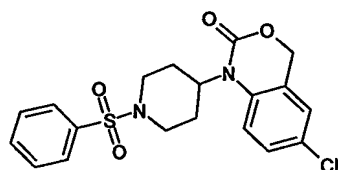
1-[1-(Naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



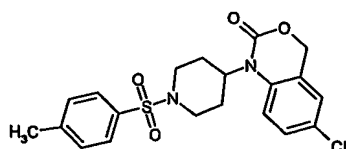
1-[1-(Toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



1-(1-Phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



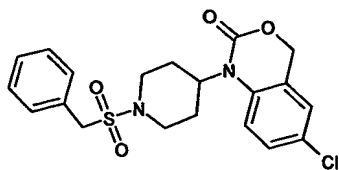
1-(1-Benzenesulfonyl-piperidin-4-yl)-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



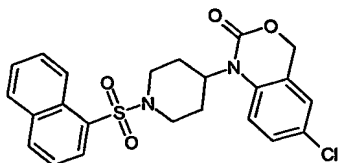
6-Chloro-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



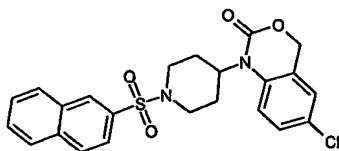
6-Chloro-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



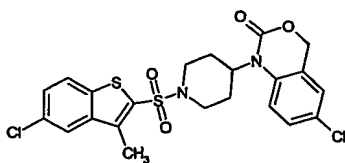
6-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



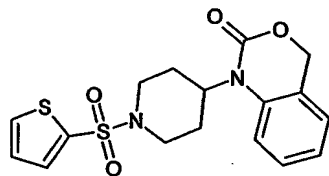
6-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



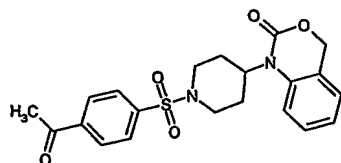
6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

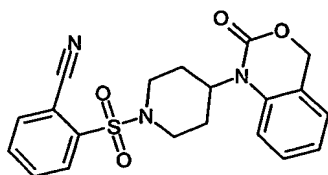


6-Chloro-1-[1-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

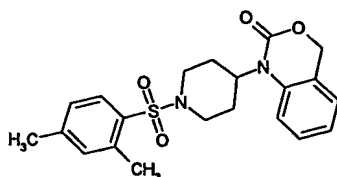


1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

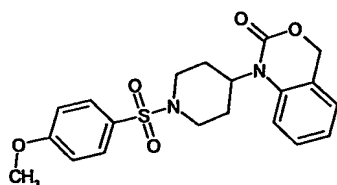




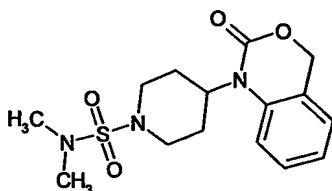
2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile



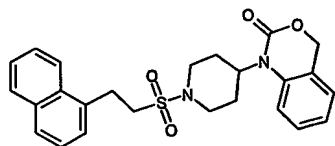
1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



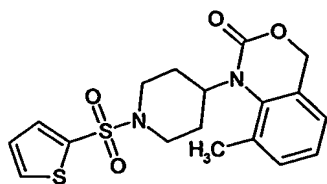
1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



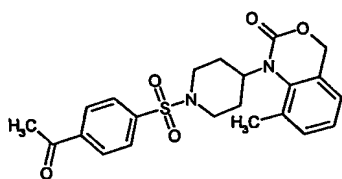
4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide



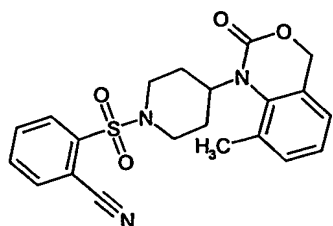
1-[1-(2-Naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



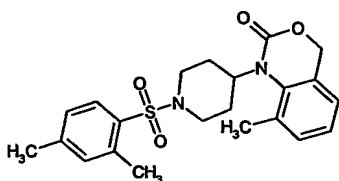
8-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



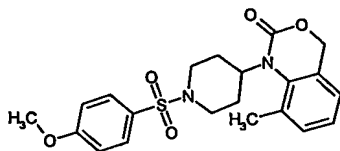
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one



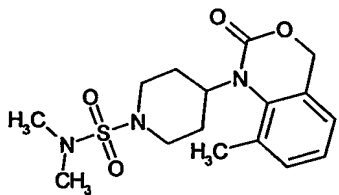
2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile



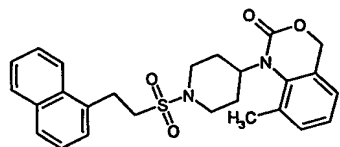
1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one



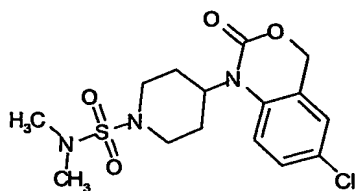
1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one



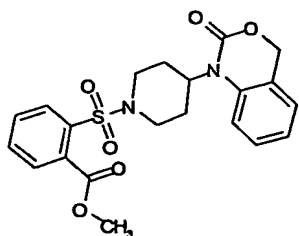
4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide



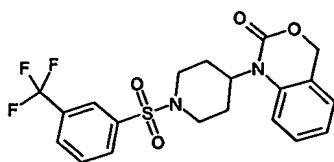
8-Methyl-1-[1-(2-naphthalen-1-ylethanesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



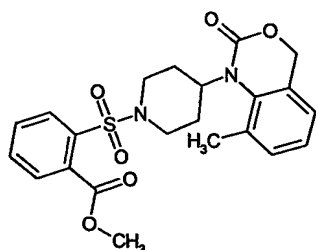
4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide



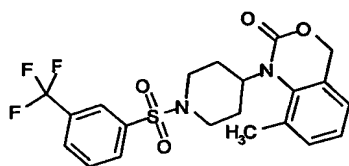
2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester



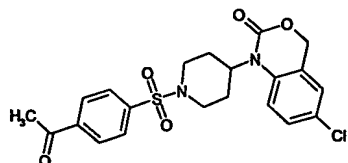
1-[1-(3-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



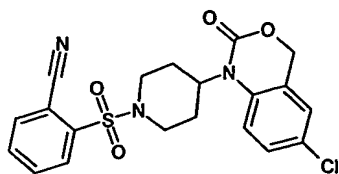
2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester



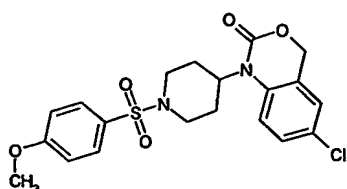
8-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



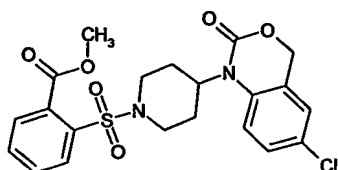
1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



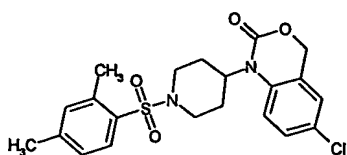
2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile



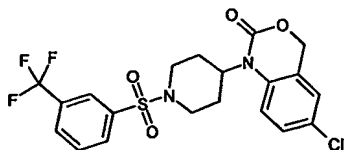
6-Chloro-1-[1-(4-methoxybenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



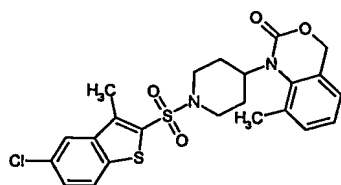
2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester



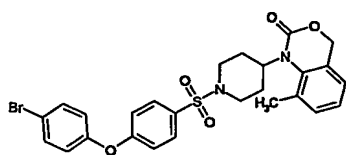
6-Chloro-1-[1-(2,4-dimethylbenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



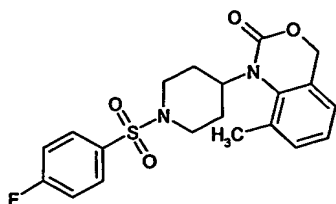
6-Chloro-1-[1-(3-trifluoromethylbenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



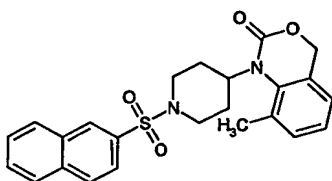
1-[1-(5-Chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



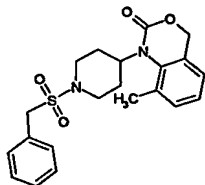
1-{1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



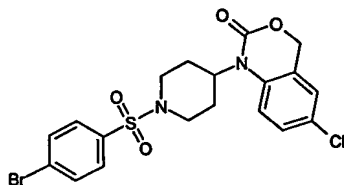
1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one



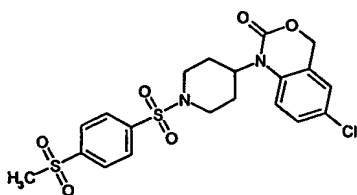
8-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



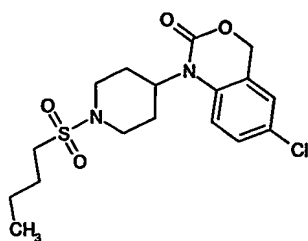
8-Methyl-1-(1-phenylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



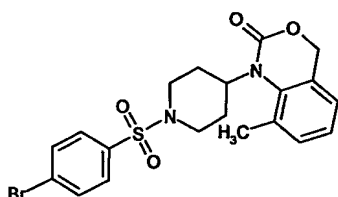
1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



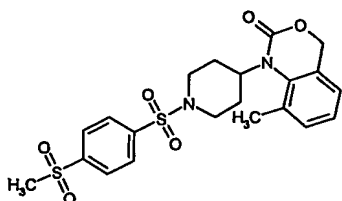
6-Chloro-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



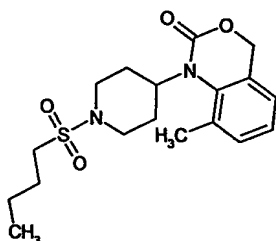
1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-  
6-chloro-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



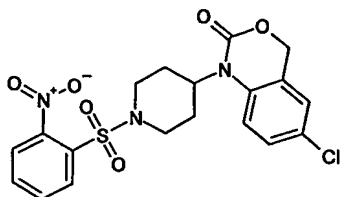
1-[1-(4-Bromo-benzenesulfonyl)-  
piperidin-4-yl]-8-methyl-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



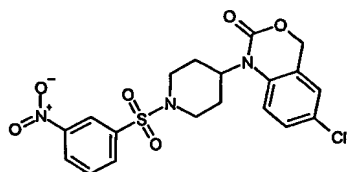
1-[1-(4-Methanesulfonyl-  
benzenesulfonyl)-piperidin-4-yl]-8-  
methyl-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



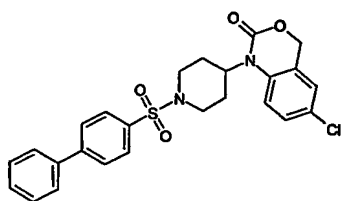
1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-  
8-methyl-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



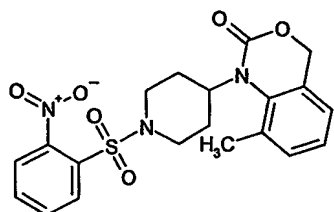
6-Chloro-1-[1-(2-nitro-  
benzenesulfonyl)-piperidin-4-yl]-1,4-  
dihydro-benzo[d][1,3]oxazin-2-one



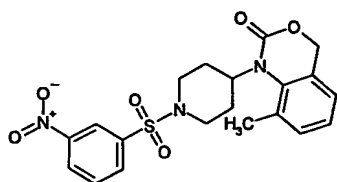
6-Chloro-1-[1-(3-nitro-  
benzenesulfonyl)-piperidin-4-yl]-1,4-  
dihydro-benzo[d][1,3]oxazin-2-one



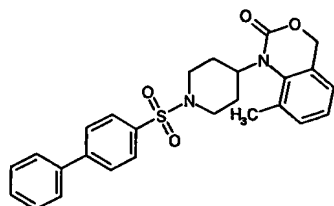
1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one



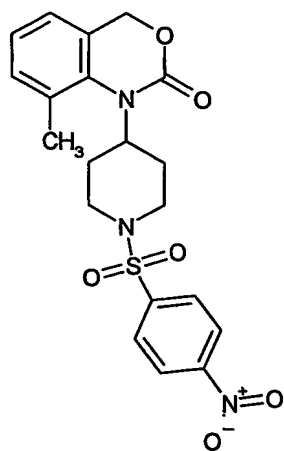
8-Methyl-1-[1-(2-nitrobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



8-Methyl-1-[1-(3-nitrobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

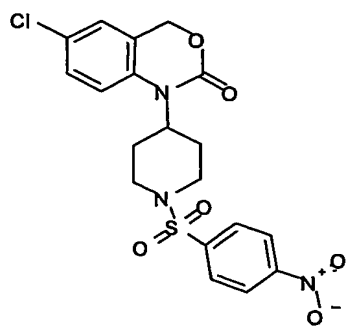


1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

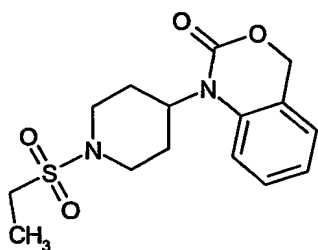


8-Methyl-1-[1-(4-nitrobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

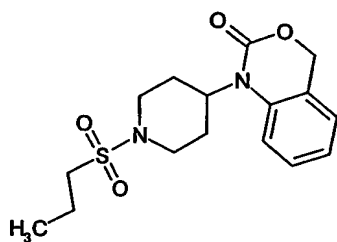




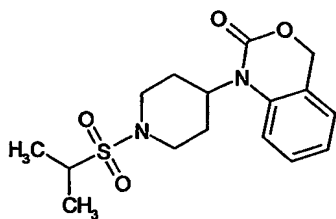
6-Chloro-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



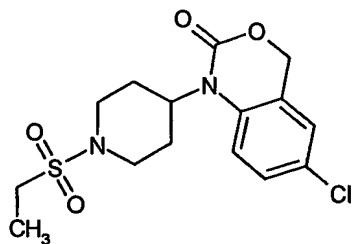
1-(1-Ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



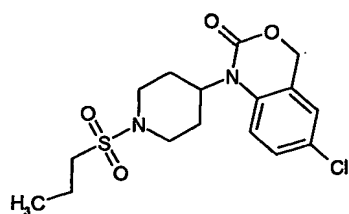
1-[1-(Propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



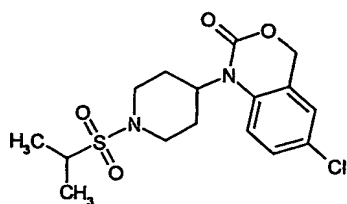
1-[1-(Propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



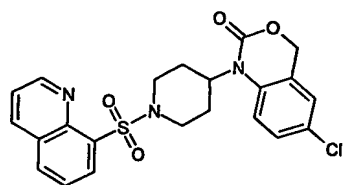
6-Chloro-1-(1-ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one



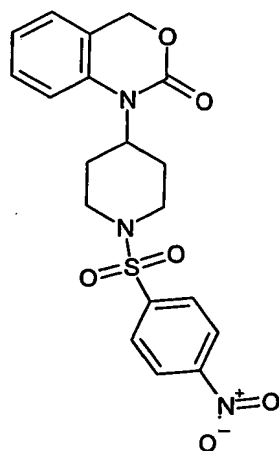
6-Chloro-1-[1-(propane-1-sulfonyl)-  
piperidin-4-yl]-1,4-dihydro-  
benzo[d][1,3]oxazin-2-one



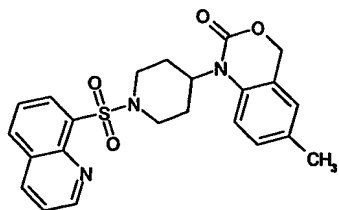
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piperidin-4-yl]-1,4-dihydro-  
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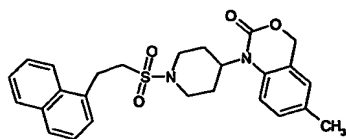
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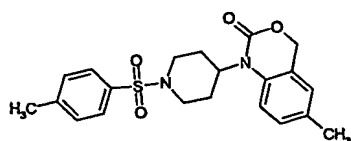
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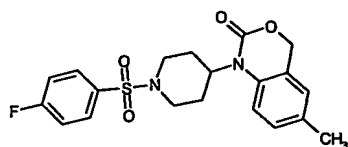
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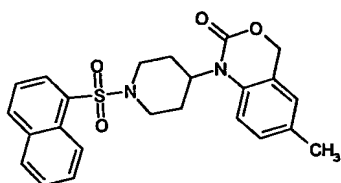
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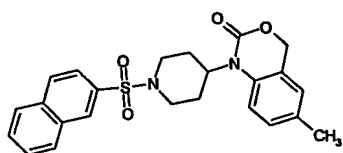
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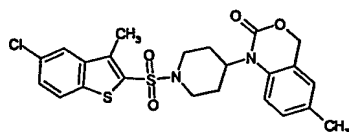
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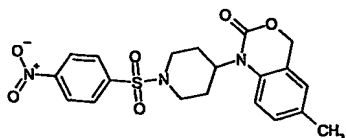
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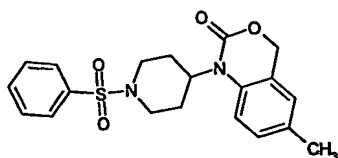
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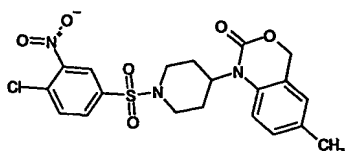
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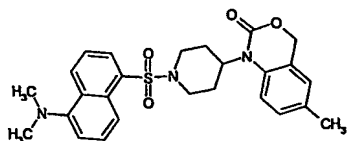
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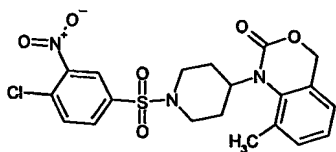
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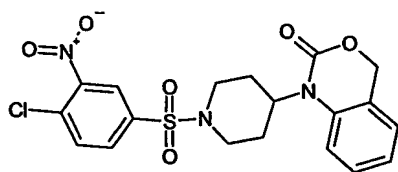
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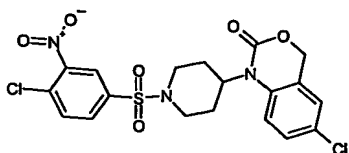
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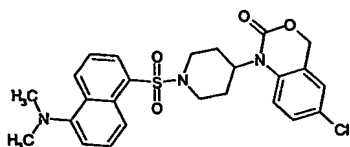
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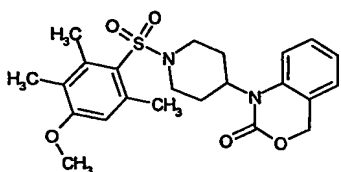
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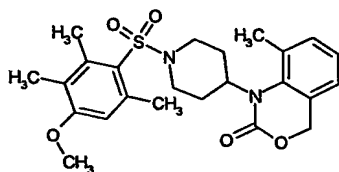
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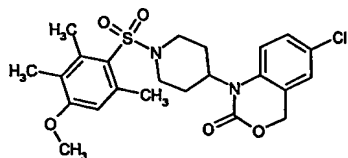
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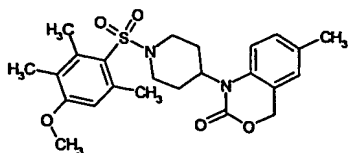
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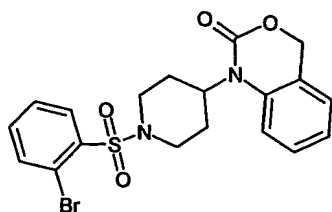
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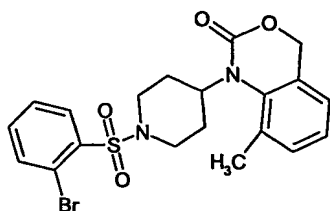
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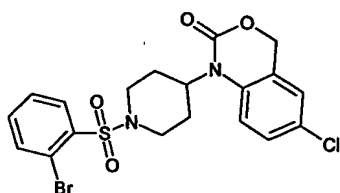
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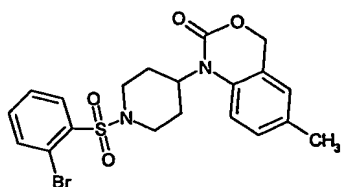
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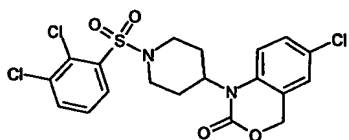
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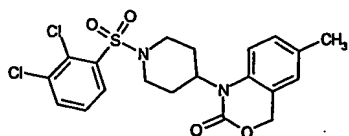
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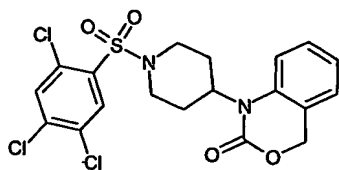
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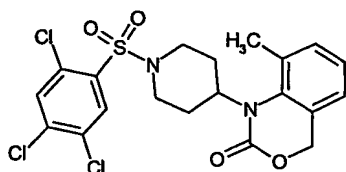
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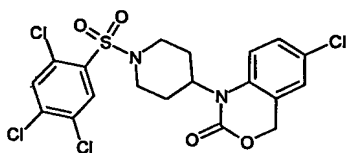
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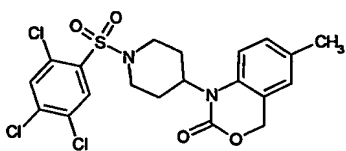
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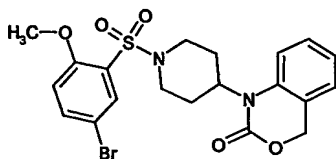
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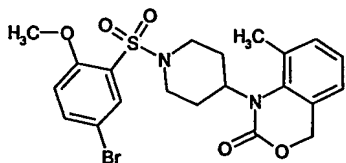
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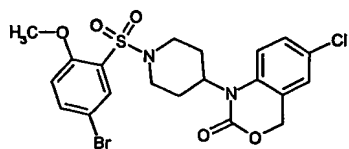
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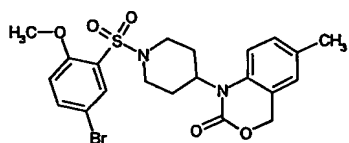
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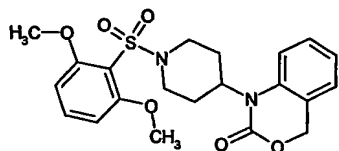
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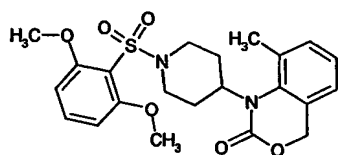
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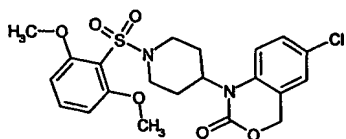
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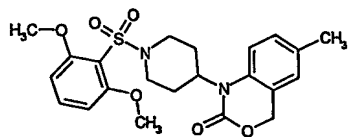
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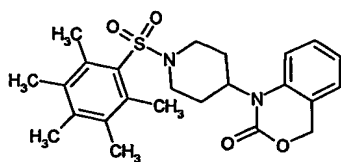


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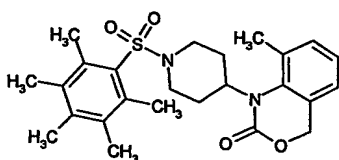


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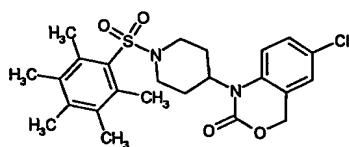




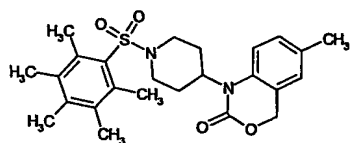
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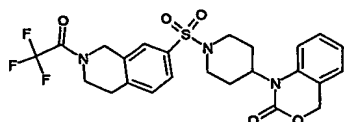
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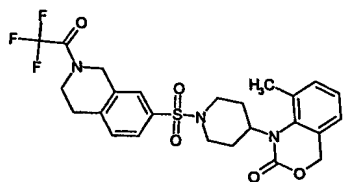
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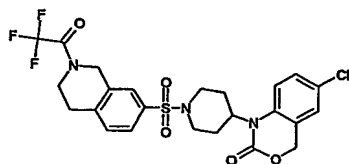
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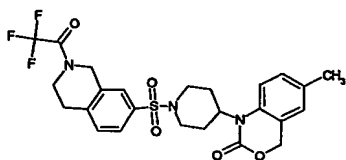
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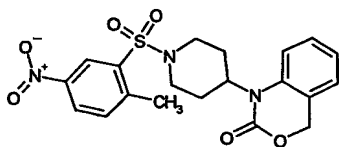
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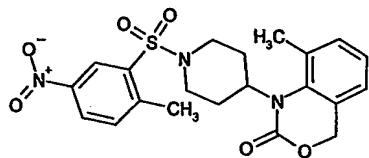
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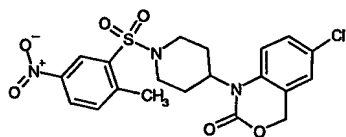
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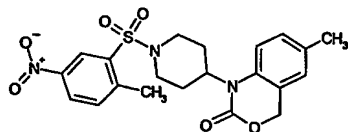
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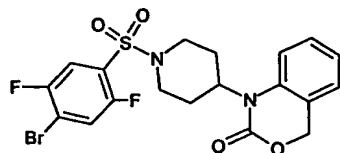
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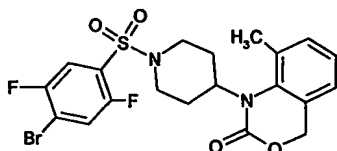
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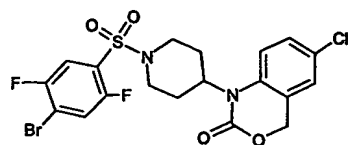
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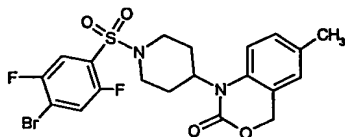
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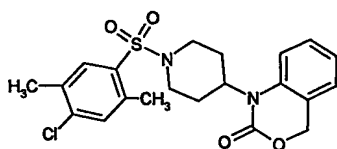
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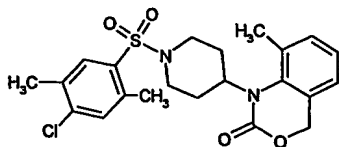
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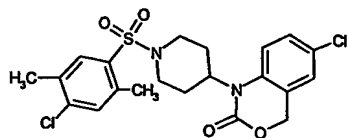
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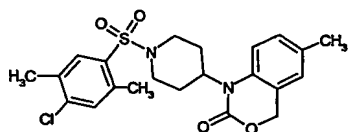
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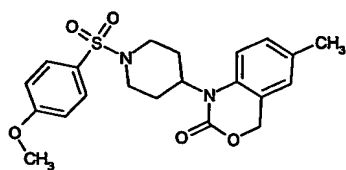
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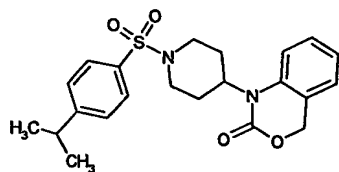
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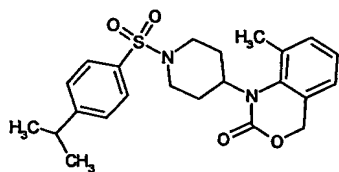
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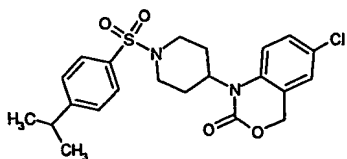
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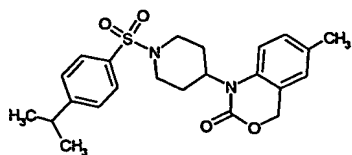
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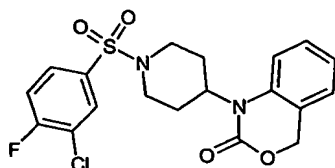
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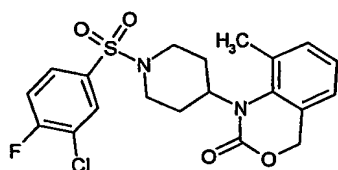
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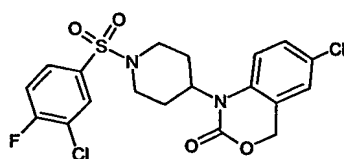
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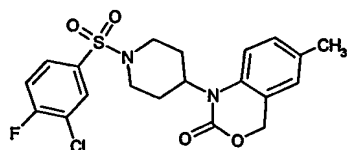
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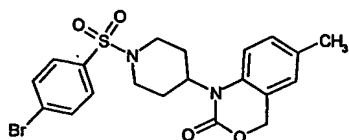
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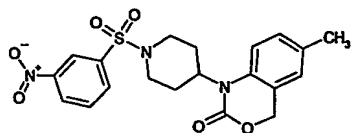
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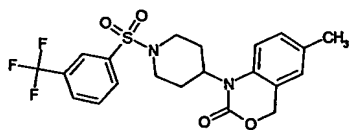
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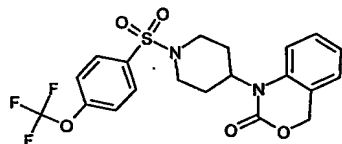
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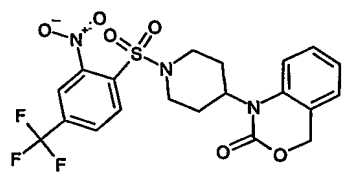
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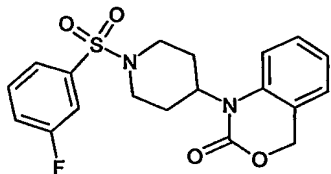
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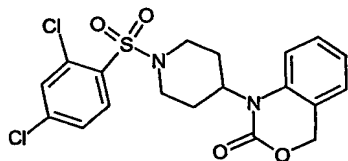
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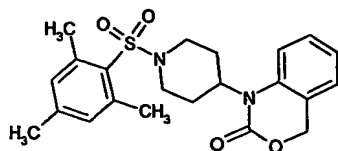
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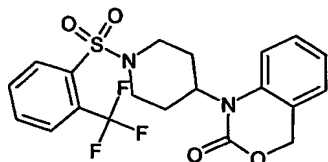
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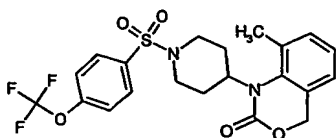
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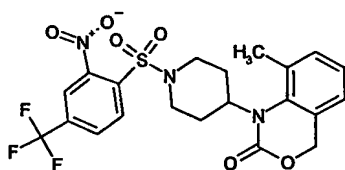
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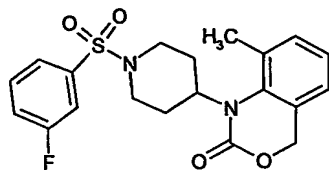
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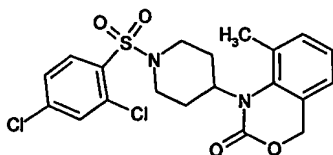
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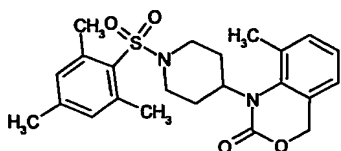
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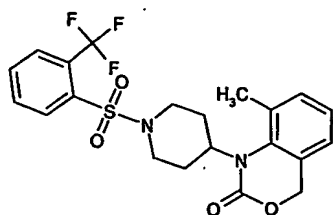
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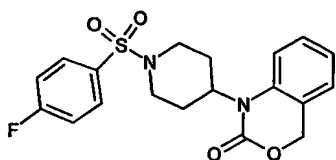
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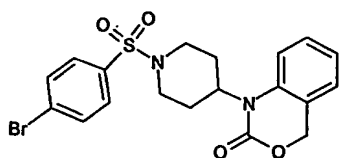
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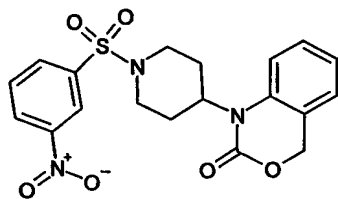
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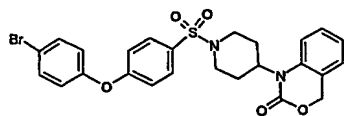
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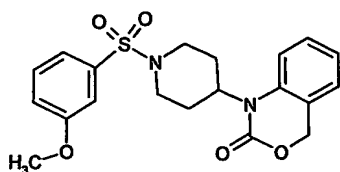


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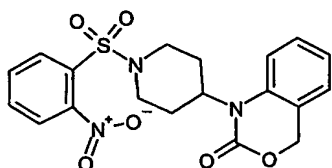


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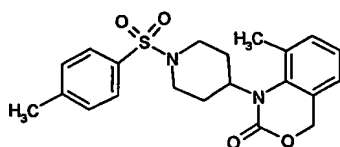




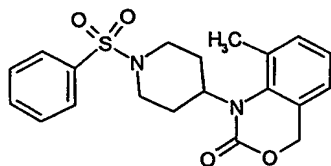
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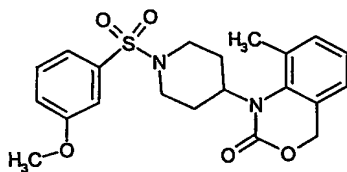
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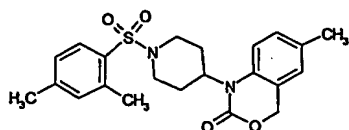
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benzo[d][1,3]oxazin-2-one



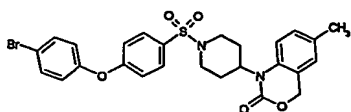
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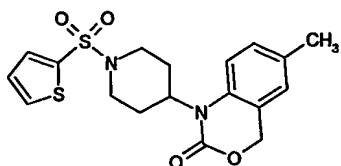
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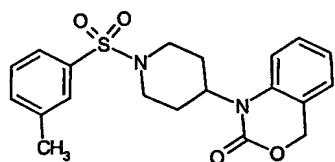
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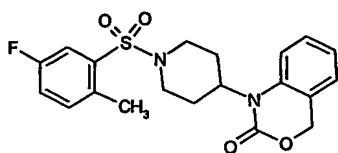
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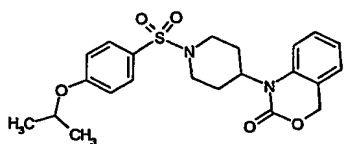
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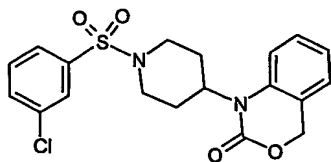
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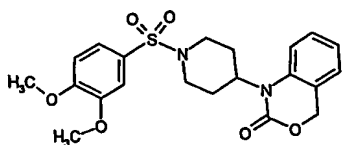
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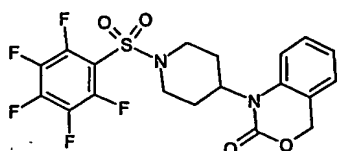
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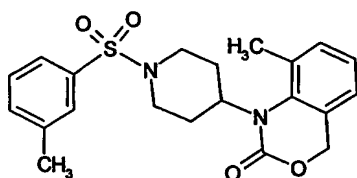
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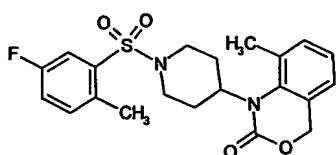
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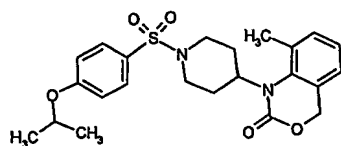
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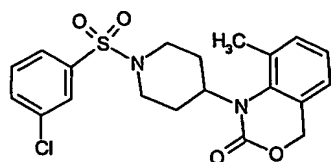
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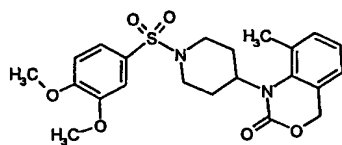
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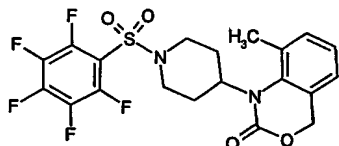
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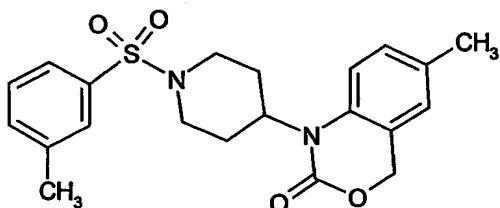
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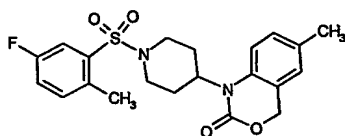
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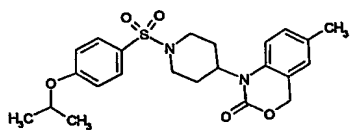
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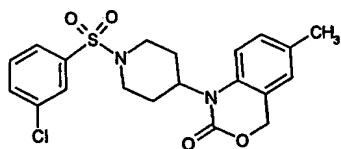
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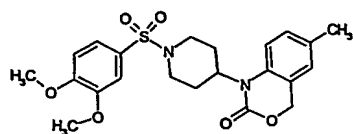
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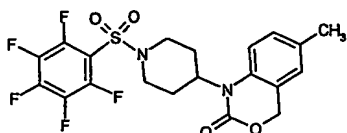
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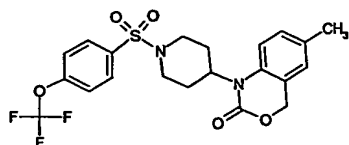
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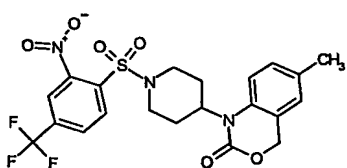
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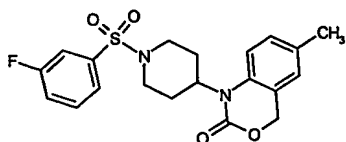
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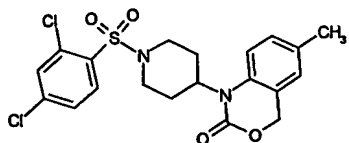
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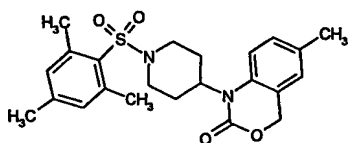
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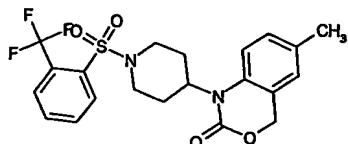
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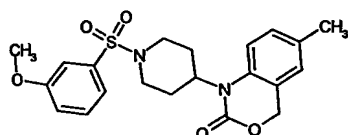
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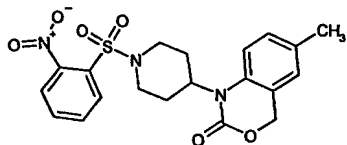
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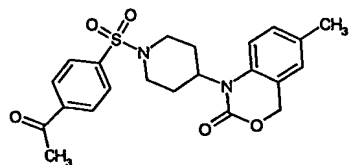
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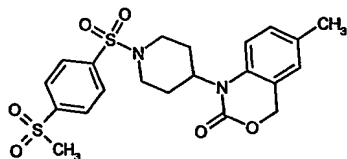
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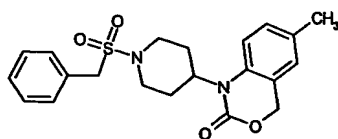
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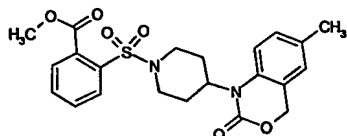
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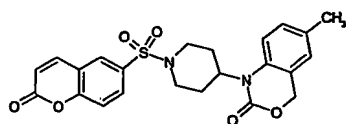
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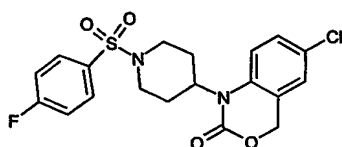
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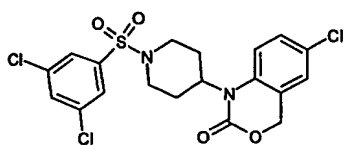
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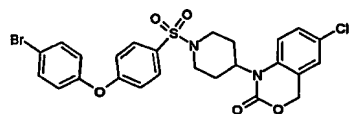
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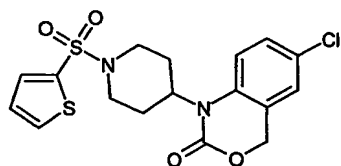
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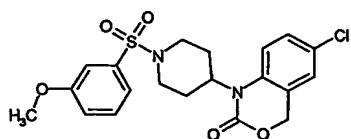
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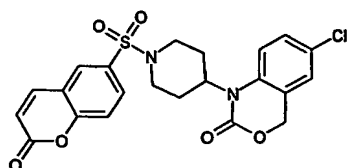
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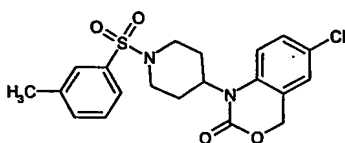
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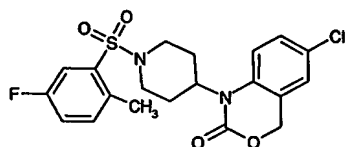
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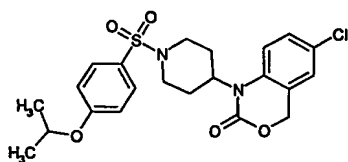
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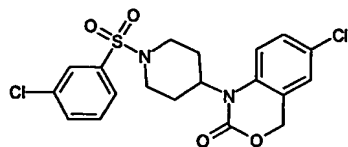


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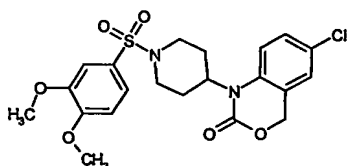


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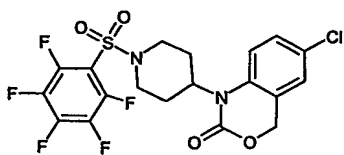




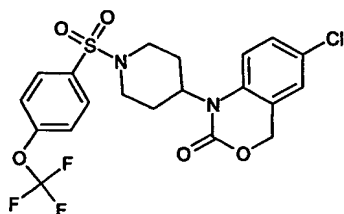
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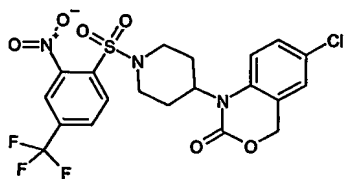
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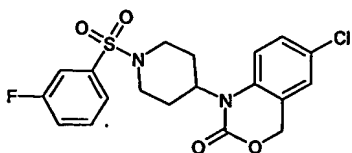
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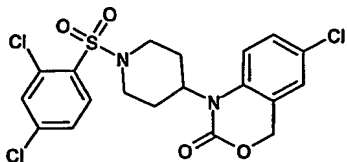
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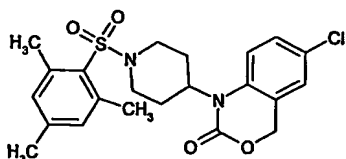
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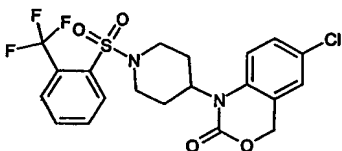
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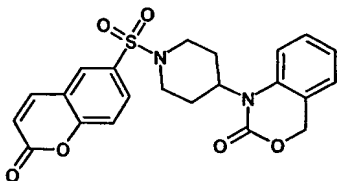
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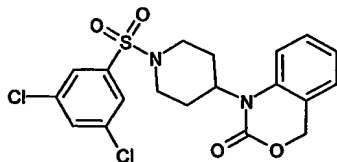
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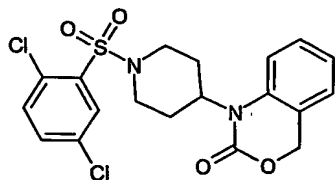
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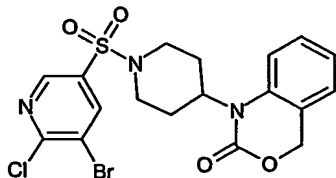
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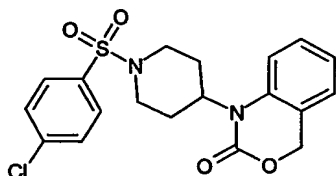
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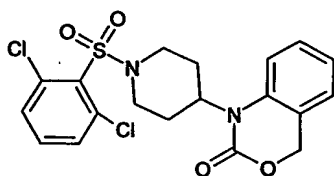
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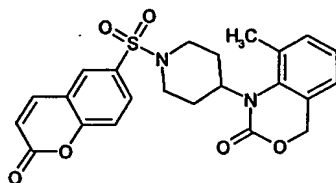
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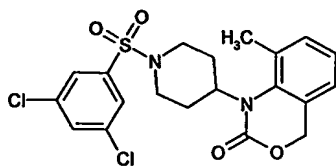
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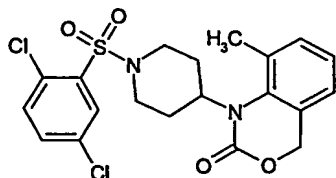
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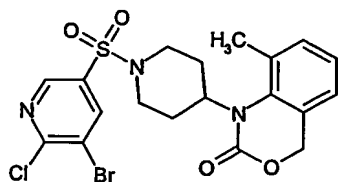
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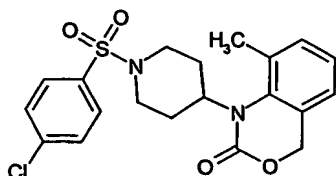
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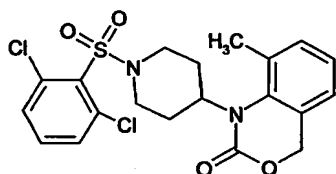
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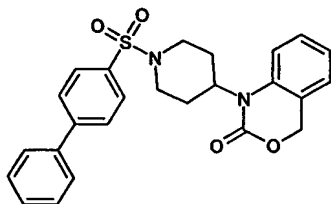
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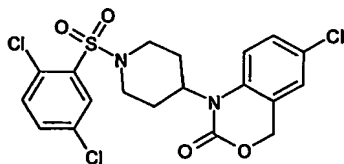
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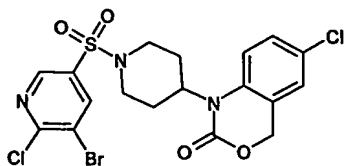
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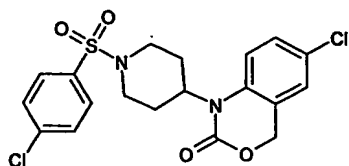
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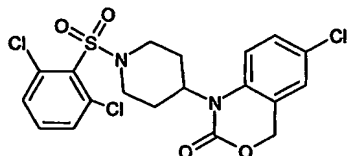
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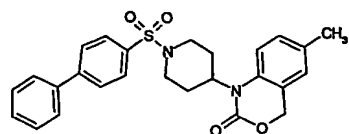
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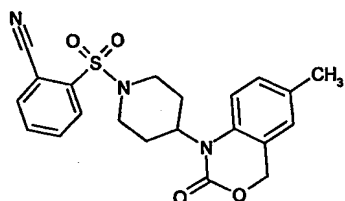
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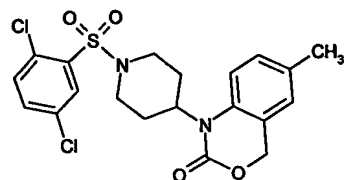
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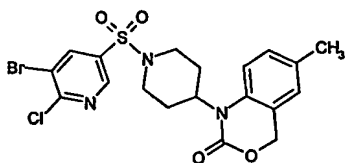
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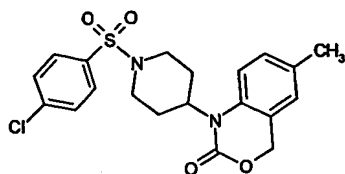
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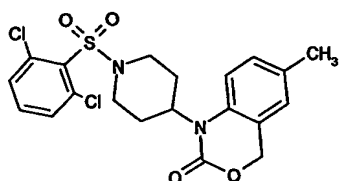
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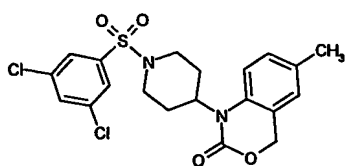
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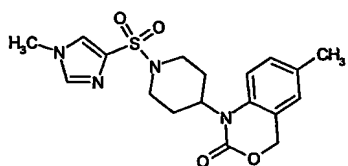
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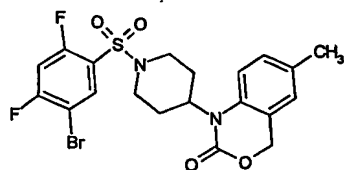
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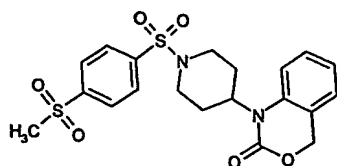
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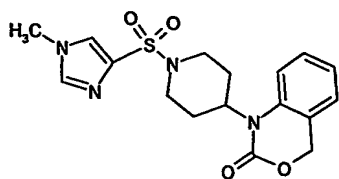
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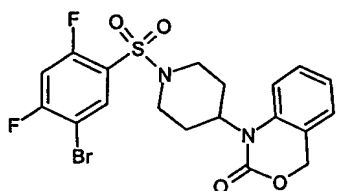
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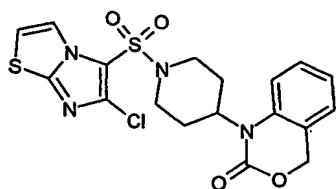
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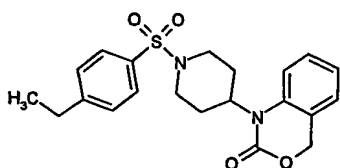
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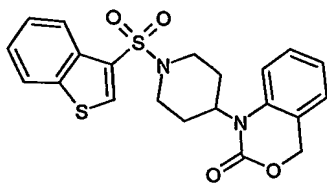
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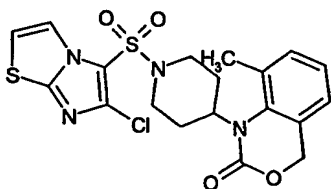
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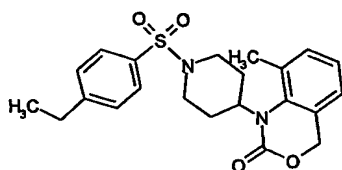
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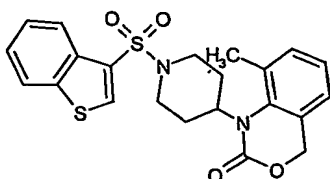
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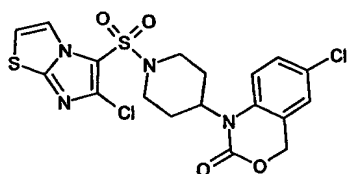
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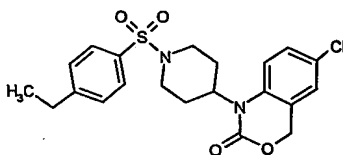
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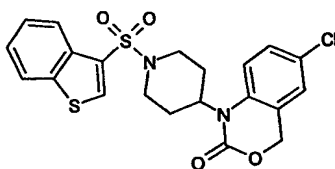
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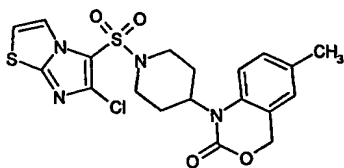
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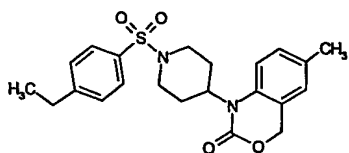


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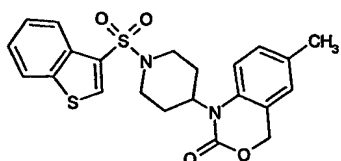


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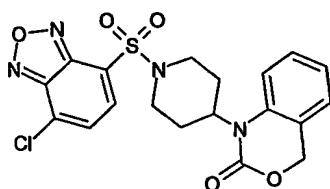




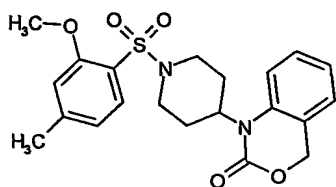
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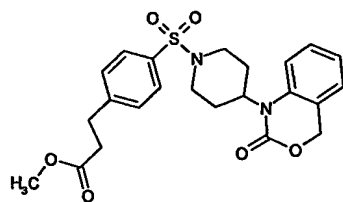
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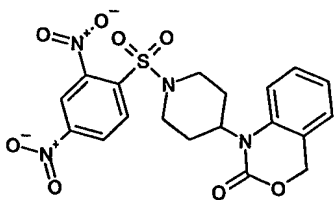
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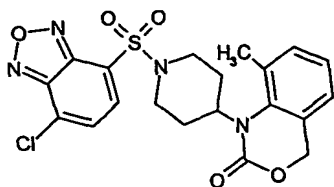
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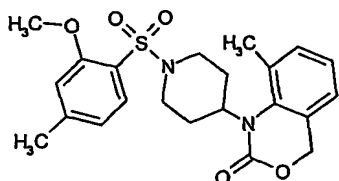
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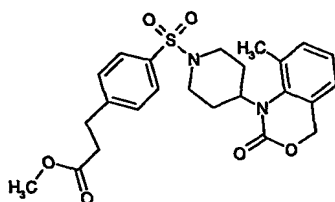
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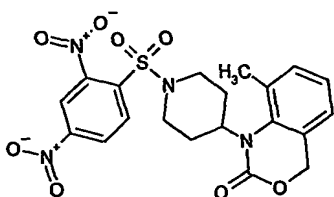
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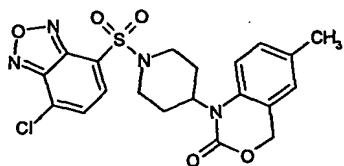
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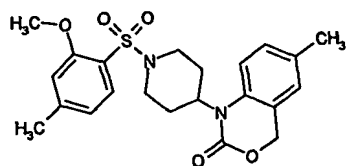
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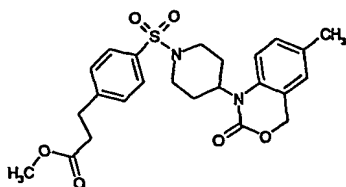
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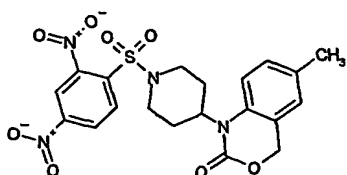
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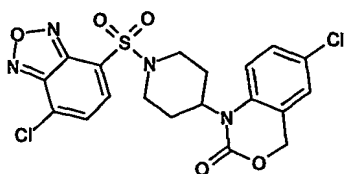
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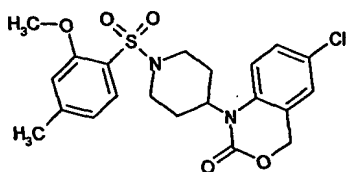
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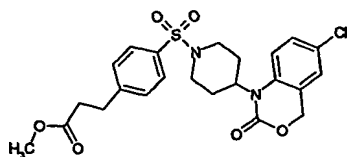
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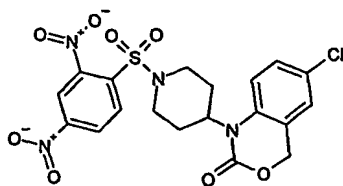
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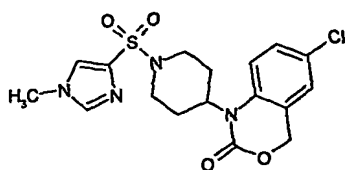
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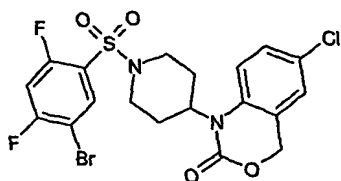
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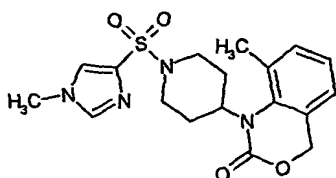
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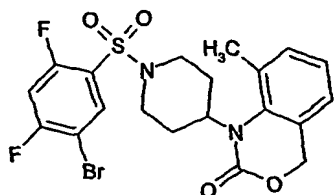
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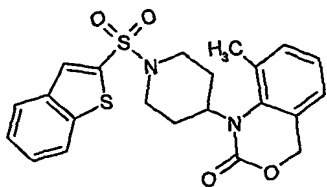
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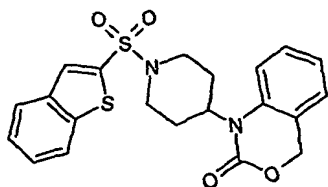
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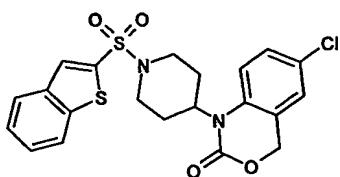
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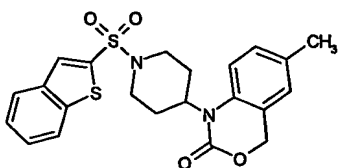
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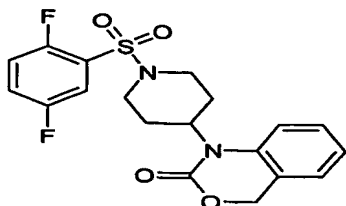
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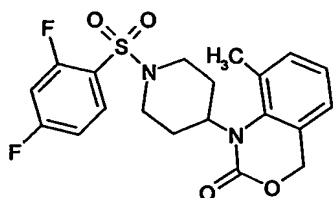
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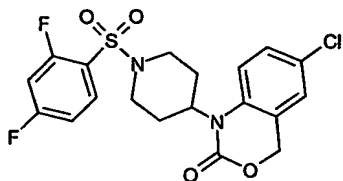
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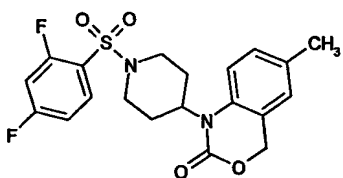
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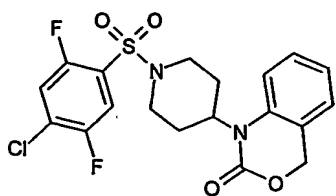
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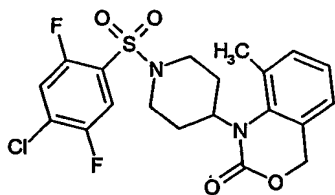
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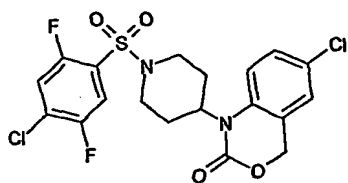
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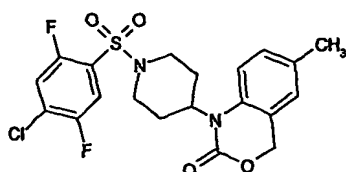
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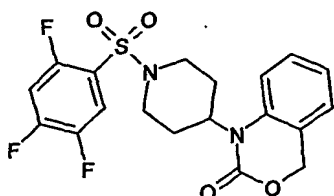
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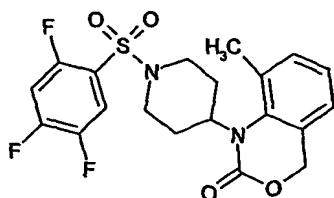
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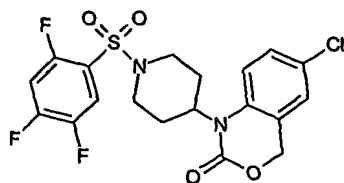
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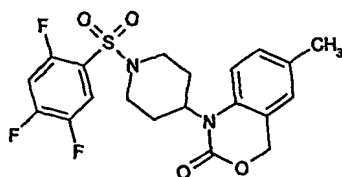
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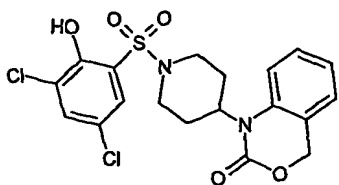
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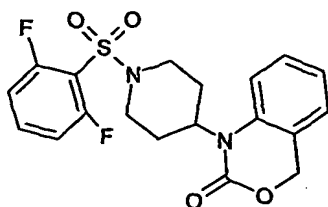
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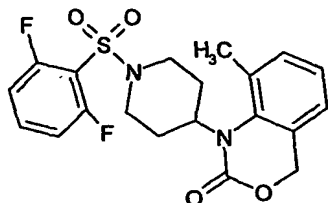
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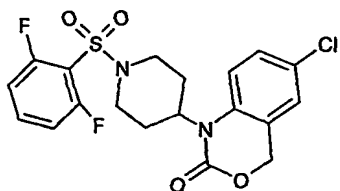
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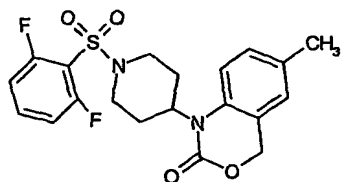
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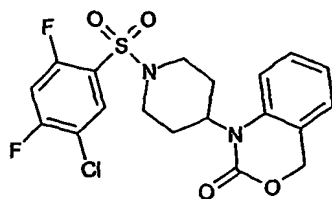
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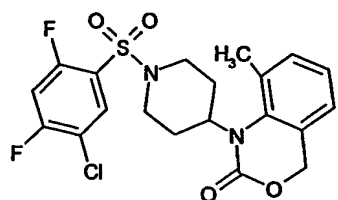


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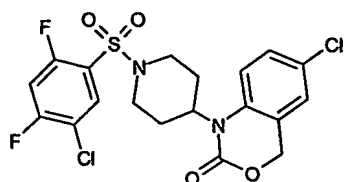


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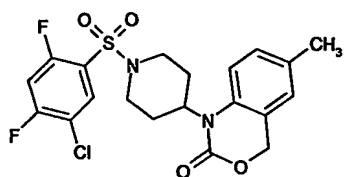




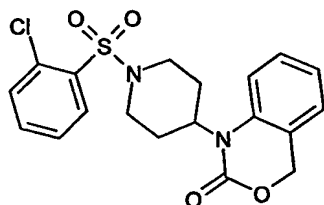
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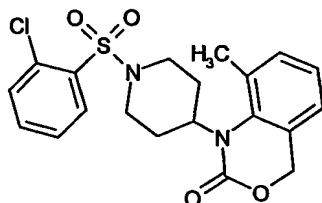
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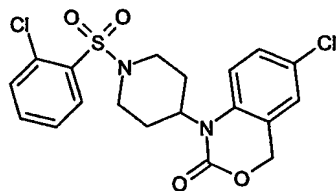
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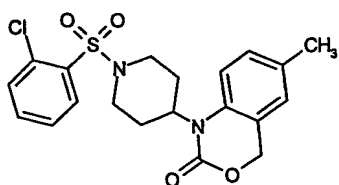
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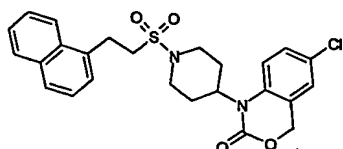
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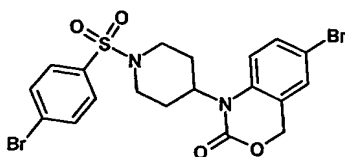
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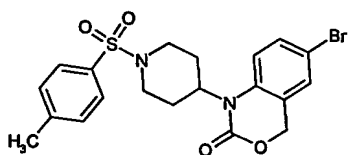
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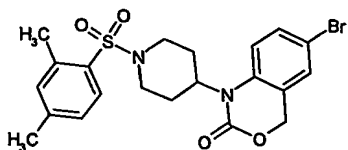
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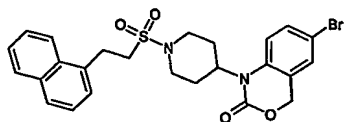
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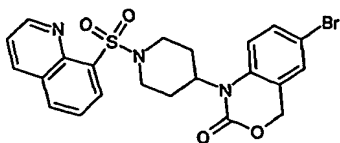
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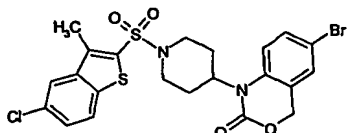
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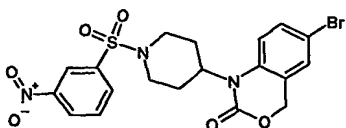
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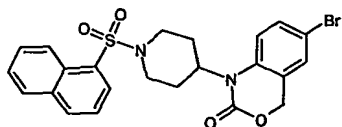
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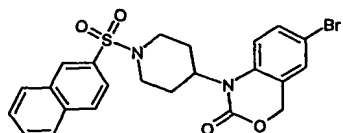
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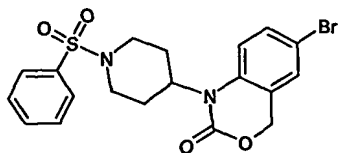
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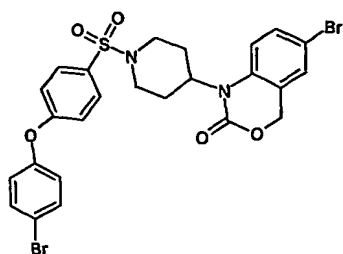
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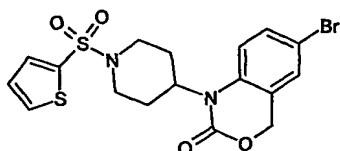
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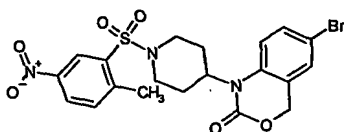
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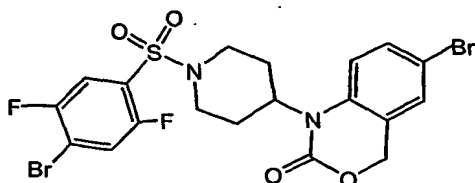
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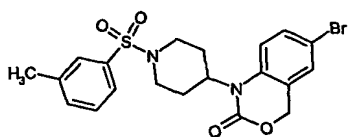
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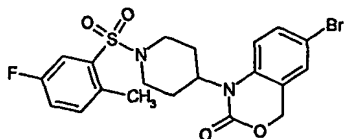
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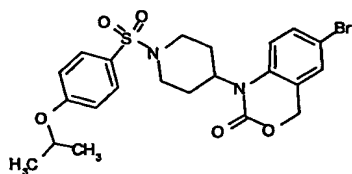
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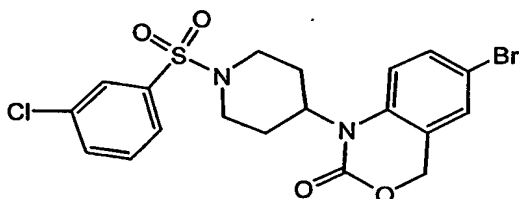
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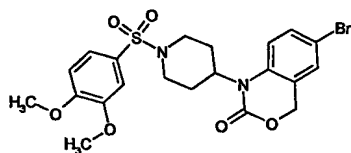
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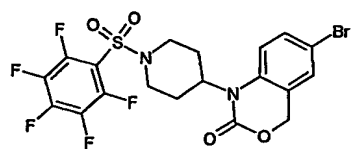
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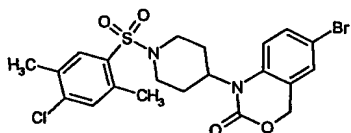
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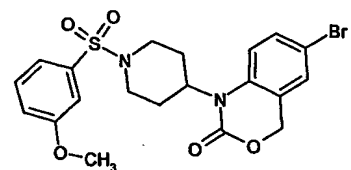
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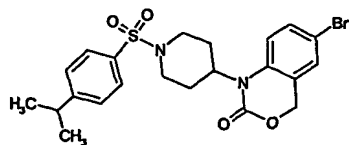
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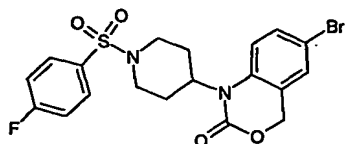
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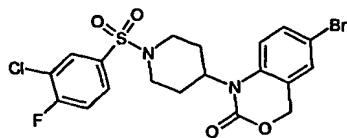
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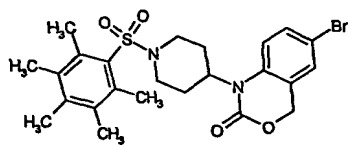
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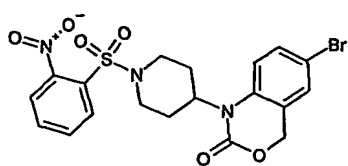
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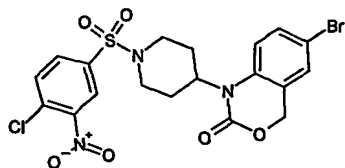
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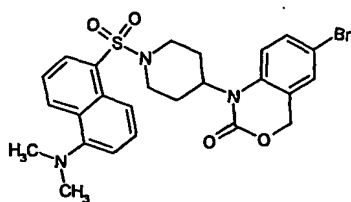
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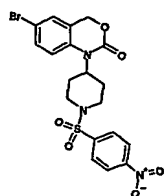
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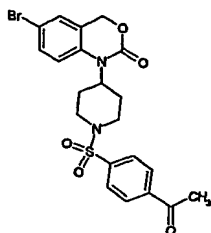
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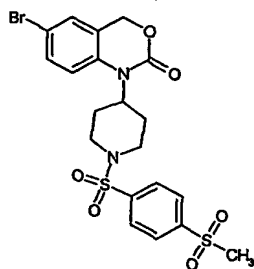
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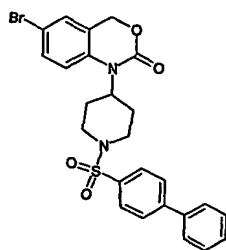
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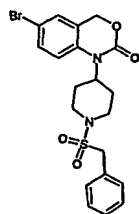
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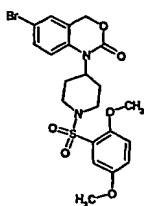
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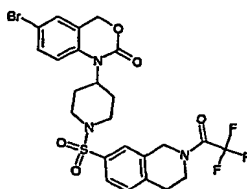
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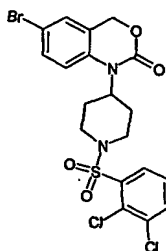
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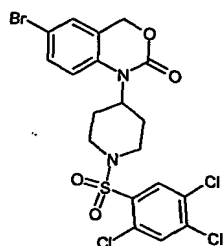
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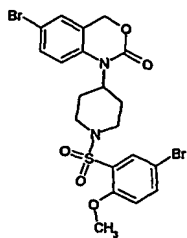
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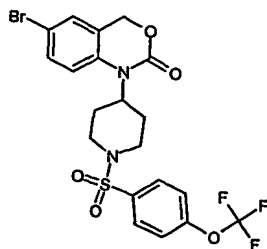
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6-Bromo-1-[1-(2,4,5-trichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one

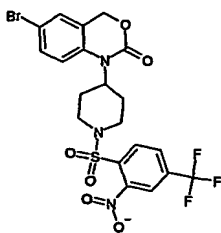


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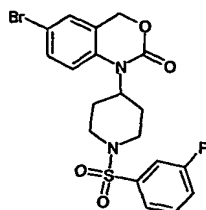


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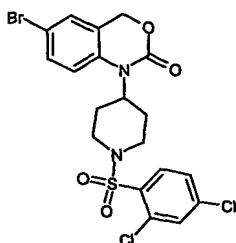




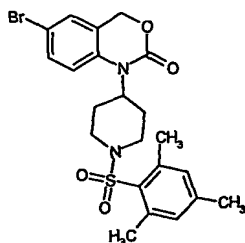
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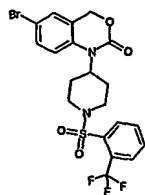
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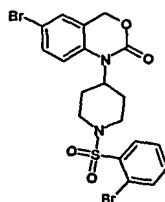
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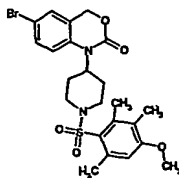
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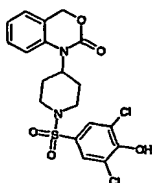
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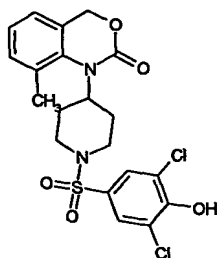
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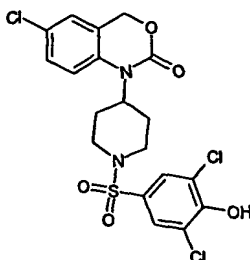
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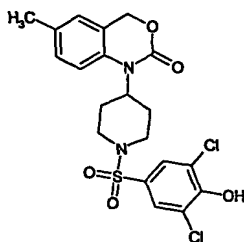
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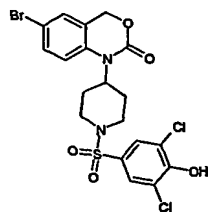
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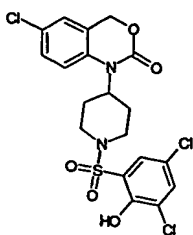
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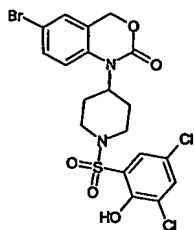
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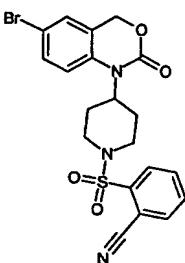
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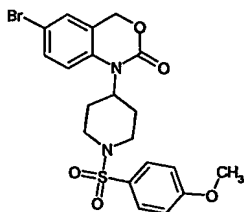
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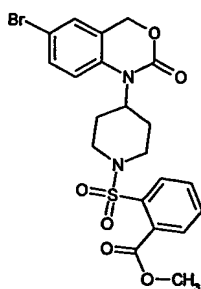
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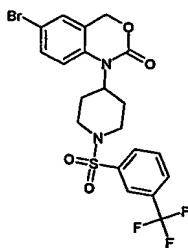
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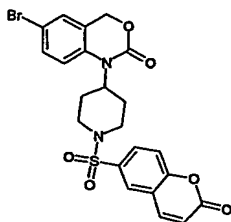
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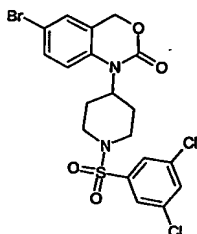
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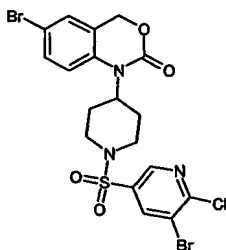
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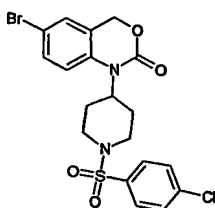
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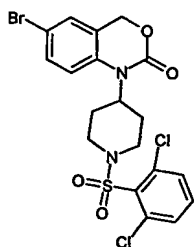
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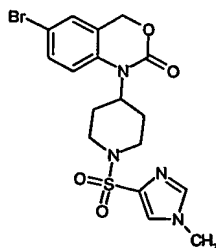
6-Bromo-1-[1-(5-bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



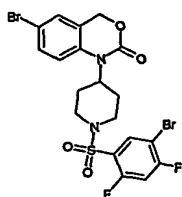
6-Bromo-1-[1-(4-chlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(2,6-dichlorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one



6-Bromo-1-[1-(5-bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

Also particularly preferred is the use of one or more benzoxazinone-derived sulfonamide compounds of general formula (Ib) selected from the group consisting of:

5

N°	Compound
1	1-[1-(Naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
2	1-[1-(Toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
3	1-(1-Phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
4	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
5	6-Chloro-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
6	6-Chloro-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
7	6-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
8	6-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
9	6-Chloro-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
10	1-[1-(Thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
11	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
12	2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
13	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
14	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
15	1-[1-(2-Naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
16	8-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
17	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
18	2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
19	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
20	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
21	8-Methyl-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
22	4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonic acid dimethylamide
23	2-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
24	1-[1-(3-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
25	2-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
26	8-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
27	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
28	2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
29	6-Chloro-1-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
30	2-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
31	6-Chloro-1-[1-(2,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
32	6-Chloro-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
33	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
34	1-[1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
35	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
36	8-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
37	8-Methyl-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
38	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
39	6-Chloro-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
40	1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
41	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
42	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
43	1-[1-(Butane-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
44	6-Chloro-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
45	6-Chloro-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
46	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
47	8-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
48	8-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
49	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
50	8-Methyl-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
51	6-Chloro-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
52	1-(1-Ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
53	1-[1-(Propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
54	1-[1-(Propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
55	6-Chloro-1-(1-ethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
56	6-Chloro-1-[1-(propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
57	6-Chloro-1-[1-(propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
58	6-Chloro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
59	1-[1-(4-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
60	6-Methyl-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
61	6-Methyl-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
62	6-Methyl-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
63	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
64	6-Methyl-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
65	6-Methyl-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

66	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
67	6-Methyl-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
68	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
69	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
70	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
71	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
72	1-[1-(4-Chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
73	6-Chloro-1-[1-(4-chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
74	6-Chloro-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
75	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
76	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
77	6-Chloro-1-[1-(4-methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
78	1-[1-(4-Methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
79	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
80	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
81	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
82	1-[1-(2-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
83	6-Chloro-1-[1-(2,3-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
84	1-[1-(2,3-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
85	1-[1-(2,4,5-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
86	8-Methyl-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
87	6-Chloro-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
88	6-Methyl-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
89	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-



	benzo[d][1,3]oxazin-2-one
90	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
91	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
92	1-[1-(5-Bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
93	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
94	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
95	6-Chloro-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
96	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
97	1-(1-Pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
98	8-Methyl-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
99	6-Chloro-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
100	6-Methyl-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
101	1-[1-[2-(2,2,2-Trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
102	8-Methyl-1-[1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
103	6-Chloro-1-[1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
104	6-Methyl-1-[1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
105	1-[1-(2-Methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
106	8-Methyl-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
107	6-Chloro-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
108	6-Methyl-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
109	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
110	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
111	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
112	1-[1-(4-Bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
113	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
114	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
115	6-Chloro-1-[1-(4-chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
116	1-[1-(4-Chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
117	1-[1-(4-Methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
118	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
119	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
120	6-Chloro-1-[1-(4-isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
121	1-[1-(4-Isopropyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
122	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
123	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
124	6-Chloro-1-[1-(3-chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
125	1-[1-(3-Chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
126	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
127	6-Methyl-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
128	6-Methyl-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
129	1-[1-(4-Trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
130	1-[1-(2-Nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
131	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
132	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
133	1-[1-(2,4,6-Trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
134	1-[1-(2-Trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
135	8-Methyl-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
136	8-Methyl-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
137	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
138	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
139	8-Methyl-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
140	8-Methyl-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
141	1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
142	1-[1-(4-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
143	1-[1-(3-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
144	1-[1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
145	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
146	1-[1-(2-Nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
147	8-Methyl-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
148	1-(1-Benzenesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
149	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
150	1-[1-(2,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
151	1-[1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
152	6-Methyl-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
153	1-[1-(Toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
154	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
155	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
156	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
157	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
158	1-(1-Pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
159	8-Methyl-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
160	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydrobenzo[d][1,3] oxazin-2-one
161	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-

	benzo[d][1,3] oxazin-2-one
162	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
163	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3] oxazin-2-one
164	8-Methyl-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
165	6-Methyl-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
166	1-[1-(5-Fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
167	1-[1-(4-Isopropoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
168	1-[1-(3-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
169	1-[1-(3,4-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
170	6-Methyl-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
171	6-Methyl-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
172	6-Methyl-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
173	1-[1-(3-Fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
174	1-[1-(2,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
175	6-Methyl-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
176	6-Methyl-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
177	1-[1-(3-Methoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
178	6-Methyl-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
179	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
180	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
181	6-Methyl-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
182	2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]benzoic acid methyl ester
183	6-Methyl-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
184	6-Chloro-1-[1-(4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
185	6-Chloro-1-[1-(3,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
186	1-{1-[4-(4-Bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl}-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
187	6-Chloro-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
188	6-Chloro-1-[1-(3-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
189	6-Chloro-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
190	6-Chloro-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
191	6-Chloro-1-[1-(5-fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
192	6-Chloro-1-[1-(4-isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
193	6-Chloro-1-[1-(3-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
194	6-Chloro-1-[1-(3,4-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
195	6-Chloro-1-(1-pentafluorobenzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
196	6-Chloro-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
197	6-Chloro-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
198	6-Chloro-1-[1-(3-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
199	6-Chloro-1-[1-(2,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
200	6-Chloro-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
201	6-Chloro-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
202	1-[1-(2-Oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
203	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
204	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
205	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
206	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
207	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
208	8-Methyl-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
209	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
210	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
211	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
212	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
213	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
214	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
215	6-Chloro-1-[1-(2,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
216	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
217	6-Chloro-1-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
218	6-Chloro-1-[1-(2,6-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
219	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
220	2-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
221	1-[1-(2,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
222	1-[1-(5-Bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
223	1-[1-(4-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
224	1-[1-(2,6-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
225	1-[1-(3,5-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
226	6-Methyl-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
227	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
228	1-[1-(4-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
229	1-[1-(1-Methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
230	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
231	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
232	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
233	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
234	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
235	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
236	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
237	6-Chloro-1-[1-(6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
238	6-Chloro-1-[1-(4-ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
239	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
240	1-[1-(6-Chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
241	1-[1-(4-Ethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
242	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
243	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
244	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
245	3-{4-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
246	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
247	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
248	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
249	3-{4-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
250	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
251	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
252	1-[1-(2-Methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
253	3-{4-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
254	1-[1-(2,4-Dinitro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
255	6-Chloro-1-[1-(7-chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
256	6-Chloro-1-[1-(2-methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
257	3-{4-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-

	phenyl)-propionic acid methyl ester
258	6-Chloro-1-[1-(2,4-dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
259	6-Chloro-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
260	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
261	8-Methyl-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
262	1-[1-(5-Bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
263	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
264	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
265	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
266	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
267	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
268	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
269	6-Chloro-1-[1-(2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
270	1-[1-(2,5-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
271	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
272	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
273	6-Chloro-1-[1-(4-chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
274	1-[1-(4-Chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
275	1-[1-(2,4,5-Trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
276	8-Methyl-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
277	6-Chloro-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
278	6-Methyl-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
279	1-[1-(3,5-Dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
280	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
281	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-



	benzo[d][1,3]oxazin-2-one
282	6-Chloro-1-[1-(2,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
283	1-[1-(2,6-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
284	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
285	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
286	6-Chloro-1-[1-(5-chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
287	1-[1-(5-Chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
288	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
289	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
290	6-Chloro-1-[1-(2-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
291	1-[1-(2-Chloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
292	6-Chloro-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
293	6-Bromo-1-[1-(4-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
294	6-Bromo-1-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
295	6-Bromo-1-[1-(2,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
296	6-Bromo-1-[1-(2-naphthalen-1-yl-ethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
297	6-Bromo-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
298	6-Bromo-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
299	6-Bromo-1-[1-(3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
300	6-Bromo-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
301	6-Bromo-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
302	1-(1-Benzenesulfonyl-piperidin-4-yl)-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
303	6-Bromo-1-[1-[4-(4-bromo-phenoxy)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
304	6-Bromo-1-[1-(thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
305	6-Bromo-1-[1-(2-methyl-5-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
306	6-Bromo-1-[1-(4-bromo-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
307	6-Bromo-1-[1-(toluene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
308	6-Bromo-1-[1-(5-fluoro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
309	6-Bromo-1-[1-(4-isopropoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
310	6-Bromo-1-[1-(3-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
311	6-Bromo-1-[1-(3,4-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
312	6-Bromo-1-(1-pentafluorobenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
313	6-Bromo-1-[1-(4-chloro-2,5-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
314	6-Bromo-1-[1-(3-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
315	6-Bromo-1-[1-(4-isopropyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
316	6-Bromo-1-[1-(4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
317	6-Bromo-1-[1-(3-chloro-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
318	6-Bromo-1-(1-pentamethylbenzenesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
319	6-Bromo-1-[1-(2-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
320	6-Bromo-1-[1-(4-chloro-3-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
321	6-Bromo-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
322	6-Bromo-1-[1-(4-nitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
323	1-[1-(4-Acetyl-benzenesulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
324	6-Bromo-1-[1-(4-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
325	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
326	6-Bromo-1-(1-phenylmethanesulfonyl-piperidin-4-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one
327	6-Bromo-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
328	6-Bromo-1-{1-[2-(2,2,2-trifluoro-acetyl)-1,2,3,4-tetrahydro-isoquinoline-7-sulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
329	6-Bromo-1-[1-(2,3-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
330	6-Bromo-1-[1-(2,4,5-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
331	6-Bromo-1-[1-(5-bromo-2-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
332	6-Bromo-1-[1-(4-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
333	6-Bromo-1-[1-(2-nitro-4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
334	6-Bromo-1-[1-(3-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
335	6-Bromo-1-[1-(2,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
336	6-Bromo-1-[1-(2,4,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
337	6-Bromo-1-[1-(2-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
338	6-Bromo-1-[1-(2-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
339	6-Bromo-1-[1-(4-methoxy-2,3,6-trimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
340	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
341	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
342	6-Chloro-1-[1-(3,5-dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
343	1-[1-(3,5-Dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
344	6-Bromo-1-[1-(3,5-dichloro-4-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
345	6-Chloro-1-[1-(3,5-dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
346	6-Bromo-1-[1-(3,5-dichloro-2-hydroxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
347	2-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
348	6-Bromo-1-[1-(4-methoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
349	2-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid methyl ester
350	6-Bromo-1-[1-(3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
351	6-Bromo-1-[1-(2-oxo-2H-chromene-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
352	6-Bromo-1-[1-(3,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
353	6-Bromo-1-[1-(2,5-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
354	6-Bromo-1-[1-(5-bromo-6-chloro-pyridine-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
355	6-Bromo-1-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
356	6-Bromo-1-[1-(2,6-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
357	6-Bromo-1-[1-(1-methyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
358	6-Bromo-1-[1-(5-bromo-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
359	6-Bromo-1-[1-(4-ethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
360	6-Bromo-1-[1-(6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
361	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
362	6-Bromo-1-[1-(7-chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
363	6-Bromo-1-[1-(2-methoxy-4-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
364	3-{4-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-propionic acid methyl ester
365	6-Bromo-1-[1-(2,4-dinitro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
366	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
367	6-Bromo-1-[1-(2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
368	6-Bromo-1-[1-(4-chloro-2,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
369	6-Bromo-1-[1-(2,4,5-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
370	6-Bromo-1-[1-(2,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
371	6-Bromo-1-[1-(5-chloro-2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
372	6-Bromo-1-[1-(2-chloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
373	6-Bromo-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
374	N-{4-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-2-chloro-phenyl}-acetamide
375	1-[1-(2,3,4-Trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
376	8-Methyl-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
377	6-Chloro-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
378	6-Methyl-1-[1-(2,3,4-trifluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
379	N-{2-Chloro-4-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
380	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
381	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
382	6-Chloro-1-[1-(3,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
383	1-[1-(3,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
384	6-Bromo-1-[1-(3,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
385	N-{2-Chloro-4-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
386	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
387	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
388	6-Chloro-1-[1-(2-chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
389	1-[1-(2-Chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
390	6-Bromo-1-[1-(2-chloro-4,5-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
391	N-{2-Chloro-4-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
392	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
393	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
394	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
395	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
396	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
397	N-{2-Chloro-4-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-phenyl}-acetamide
398	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
399	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
400	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
401	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
402	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6-bromo-1,4-dihydro-benzo[d][1,3]oxazin-2-one
403	1-(1-Ethanesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
404	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
405	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
406	6-Chloro-1-[1-(2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
407	1-[1-(2,4-Difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
408	6-Bromo-1-[1-(2,4-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
409	8-Methyl-1-[1-(propane-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
410	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
411	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
412	6-Chloro-1-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
413	1-[1-(3,4-Dichloro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
414	6-Bromo-1-[1-(3,4-dichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
415	8-Methyl-1-[1-(propane-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
416	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
417	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
418	6-Chloro-1-[1-(2-chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
419	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
420	1-[1-(2-Chloro-6-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
421	8-Methyl-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
422	1-[1-(2,3,4-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
423	8-Methyl-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
424	6-Chloro-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
425	6-Methyl-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
426	6-Bromo-1-[1-(2,3,4-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
427	1-[1-(2,3,5,6-Tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
428	1-[1-(Thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
429	8-Methyl-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
430	6-Chloro-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
431	6-Methyl-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
432	6-Bromo-1-[1-(thiophene-3-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
433	6-Chloro-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
434	1-[1-(2,4,6-Trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
435	8-Methyl-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
436	6-Chloro-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
437	6-Methyl-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
438	6-Bromo-1-[1-(2,4,6-trichloro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
439	6-Methyl-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
440	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
441	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
442	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
443	6-Bromo-1-[1-(2-bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
444	6-Bromo-1-[1-(2,3,5,6-tetramethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
445	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
446	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
447	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
448	1-[1-(4-Bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
449	6-Bromo-1-[1-(4-bromo-2-trifluoromethoxy-benzenesulfonyl)-piperidin-4-yl]-

	1,4-dihydro-benzo[d][1,3]oxazin-2-one
450	1-[1-(4-Phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
451	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
452	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
453	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
454	1-[1-(3-Bromo-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
455	6-Bromo-1-[1-(3-bromo-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
456	8-Methyl-1-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
457	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
458	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
459	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
460	1-[1-(4-tert-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
461	6-Bromo-1-[1-(4-tert-butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
462	6-Chloro-1-[1-(4-phenoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
463	1-[1-(2-Bromo-4,6-difluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
464	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
465	6-Chloro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
466	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
467	6-Bromo-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
468	8-Methyl-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
469	6-Chloro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
470	6-Methyl-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
471	6-Bromo-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
472	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
473	6-Chloro-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-



	dihydro-benzo[d][1,3]oxazin-2-one
474	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
475	6-Bromo-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
476	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
477	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
478	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
479	6-Bromo-1-[1-(4-butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
480	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
481	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
482	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
483	6-Bromo-1-[1-(4-bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
484	1-{1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl}-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
485	6-Chloro-1-{1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
486	1-{1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl}-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
487	6-Bromo-1-{1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
488	1-(1-Ethenesulfonyl-piperidin-4-yl)-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
489	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
490	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
491	3-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
492	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
493	6-Chloro-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
494	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
495	6-Bromo-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
496	N-{4-Methyl-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
497	N-{5-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-

	4-methyl-thiazol-2-yl}-acetamide
498	N-{4-Methyl-5-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
499	N-{5-[4-(6-Bromo-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
500	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
501	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
502	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
503	6-Bromo-1-[1-(2-bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
504	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
505	6-Chloro-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
506	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
507	6-Bromo-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
508	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
509	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
510	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
511	6-Bromo-1-[1-(4-bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
512	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
513	1-[1-(4-Propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
514	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
515	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
516	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
517	1-{1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl}-1,4-dihydro-benzo[d][1,3]oxazin-2-one
518	N-{4-Methyl-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiazol-2-yl}-acetamide
519	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
520	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
521	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
522	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
523	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
524	6-Fluoro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
525	6-Fluoro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
526	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
527	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
528	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
529	1-[1-(4-(1,1-Dimethyl-propyl)-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
530	N-{5-[4-(6-Fluoro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
531	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
532	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
533	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
534	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
535	6-Fluoro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
536	6-Fluoro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
537	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
538	6-Fluoro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
539	6-Fluoro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
540	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
541	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
542	8-Methoxy-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
543	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
544	8-Methoxy-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
545	8-Methoxy-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
546	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
547	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
548	5-Chloro-1-[1-(2-methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
549	5-Chloro-1-[1-(4-propyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
550	5-Chloro-1-[1-(3-chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
551	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
552	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
553	5-Chloro-1-[1-[4-(1,1-dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
554	N-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
555	5-Chloro-1-[1-(3-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
556	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
557	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
558	5-Chloro-1-[1-(5-chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
559	5-Chloro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
560	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
561	1-[1-(2-Methanesulfonyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
562	1-[1-(3-Chloro-2-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
563	1-[1-(4-Butyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
564	1-[1-(4-Bromo-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
565	1-[1-[4-(1,1-Dimethyl-propyl)-benzenesulfonyl]-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
566	N-{5-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-methyl-thiazol-2-yl}-acetamide
567	1-[1-(3-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
568	1-[1-(2-Bromo-4-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
569	1-[1-(4-Bromo-3-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-

	1,4-dihydro-benzo[d][1,3]oxazin-2-one
570	1-[1-(5-Chloro-2-fluoro-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
571	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
572	1-[1-(4-Methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
573	6-Chloro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
574	6-Methyl-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
575	8-Methyl-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
576	6-Fluoro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
577	8-Methoxy-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
578	5-Chloro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
579	5-Chloro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
580	5-Chloro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
581	5-Chloro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
582	5-Chloro-1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
583	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
584	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
585	6-Bromo-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
586	2-Chloro-4-fluoro-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
587	2-Chloro-5-[4-(6-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-fluoro-benzoic acid
588	2-Chloro-4-fluoro-5-[4-(6-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
589	2-Chloro-4-fluoro-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
590	2-Chloro-4-fluoro-5-[4-(8-methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
591	2-Chloro-5-[4-(5-chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-4-fluoro-benzoic acid
592	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
593	3-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid

594	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
595	1-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
596	6-Chloro-1-[1-(isoquinoline-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
597	-[1-(Isoquinoline-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; hydrochloride
598	6,7-Difluoro-1-[1-(quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
599	1-[1-(5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
600	6,7-Difluoro-1-[1-(naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
601	6,7-Difluoro-1-[1-(naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
602	1-[1-(Benzo[b]thiophene-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
603	1-[1-(Benzo[b]thiophene-3-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
604	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
605	1-[1-(Biphenyl-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
606	1-[1-(Benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
607	1-[1-(Benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
608	1-[1-(7-Chloro-benzo[1,2,5]oxadiazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
609	6,7-Difluoro-1-[1-(4-methyl-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
610	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
611	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
612	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
613	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
614	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
615	1-[1-(5-Isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
616	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
617	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

618	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
619	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
620	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
621	1-[1-(5-Isloxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
622	5-Chloro-1-[1-(4-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
623	5-Chloro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
624	5-Chloro-1-[1-(dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
625	5-Chloro-1-[1-(2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
626	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
627	5-Chloro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
628	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
629	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
630	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
631	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
632	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
633	1-[1-(5-Isloxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
634	6-Chloro-1-[1-(4-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
635	6-Chloro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
636	6-Chloro-1-[1-(dibenzofuran-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
637	6-Chloro-1-[1-(2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
638	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6-chloro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
639	6-Chloro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
640	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
641	1-[1-(4-Fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one

642	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
643	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
644	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
645	1-[1-(5-Isloxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
646	1-[1-(4-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
647	6,7-Difluoro-1-[1-(4-fluoro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
648	1-[1-(Dibenzofuran-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
649	1-[1-(2,3-Dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
650	1-[1-(Biphenyl-2-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
651	6,7-Difluoro-1-[1-(5-isoxazol-5-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
652	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
653	1-[1-(5-Methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
654	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
655	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
656	8-Methyl-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
657	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
658	6-Chloro-1-[1-(1,2-dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
659	6-Chloro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
660	6-Chloro-1-[1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
661	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
662	8-Methoxy-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
663	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
664	5-Chloro-1-[1-(1,2-dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
665	5-Chloro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one



666	5-Chloro-1-[1-(3,5-dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
667	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
668	6-Methyl-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
669	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
670	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
671	6-Fluoro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
672	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
673	1-[1-(1,2-Dimethyl-1H-imidazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
674	6,7-Difluoro-1-[1-(5-methyl-benzo[1,2,5]thiadiazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
675	1-[1-(3,5-Dimethyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
676	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
677	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
678	N-{5-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
679	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
680	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
681	N-{5-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
682	5-Chloro-1-[1-(5-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
683	5-Chloro-1-[1-(5-chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
684	N-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
685	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
686	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
687	N-{5-[4-(8-Methoxy-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-acetamide
688	2,5-Dimethyl-4-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-furan-3-carboxylic acid methyl ester
689	8-Methyl-1-[1-(2-oxo-2,3-dihydro-benzothiazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one

690	1-[1-(4-Fluoro-3-methyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
691	8-Methyl-1-[1-(2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
692	1-[1-(4-Cyclohexyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
693	2,5-Dimethyl-4-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-furan-3-carboxylic acid methyl ester
694	1-[1-(4-Fluoro-3-methyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
695	1-[1-(2-Oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
696	1-[1-(4-Cyclohexyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
697	2-Fluoro-5-[4-(8-methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
698	2-Fluoro-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzoic acid
699	1-[1-(2-Oxo-2,3-dihydro-benzothiazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
700	1-[1-(5-Pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
701	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
702	3-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
703	1-{5-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
704	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
705	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
706	8-Methyl-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
707	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
708	3-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
709	1-{5-[4-(8-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
710	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
711	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
712	5-Chloro-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
713	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
714	3-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-

	thiophene-2-carboxylic acid methyl ester
715	1-{5-[4-(5-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
716	5-Chloro-1-[1-(2-chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
717	5-Chloro-1-[1-(3,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
718	6-Methyl-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
719	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
720	3-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
721	1-{5-[4-(6-Methyl-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
722	1-[1-(2-Chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
723	1-[1-(3,4-Dimethyl-benzenesulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
724	6-Chloro-1-[1-(5-pyridin-2-yl-thiophene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
725	3-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-benzonitrile
726	3-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-thiophene-2-carboxylic acid methyl ester
727	1-{5-[4-(6-Chloro-2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-1-yl}-pyrrolidine-2,5-dione
728	6-Chloro-1-[1-(2-chloro-5-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
729	6-Chloro-1-[1-(3,4-dimethyl-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
730	1-[1-(5-Methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
731	1-[1-(2,2-Dimethyl-chroman-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
732	1-[1-(4-Methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
733	1-[1-(2,3-Dihydro-benzo[1,4]dioxine-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
734	1-[1-(1,3,5-Trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
735	1-[1-(3-Methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
736	8-Methyl-1-[1-(5-methyl-isoxazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
737	1-[1-(2,2-Dimethyl-chroman-6-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
738	8-Methyl-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-

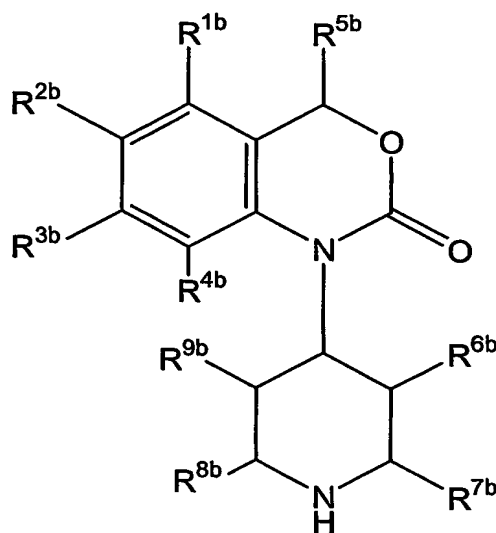
	piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
739	1-[1-(2,3-Dihydro-benzo[1,4]dioxine-6-sulfonyl)-piperidin-4-yl]-8-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
740	8-Methyl-1-[1-(1,3,5-trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
741	8-Methyl-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
742	8-Methoxy-1-[1-(1,3,5-trimethyl-1H-pyrazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
743	8-Methoxy-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
744	1-[1-(Benzo[d]isoxazol-3-ylmethanesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
745	1-[1-(2,2,4,6,7-Pentamethyl-2,3-dihydro-benzofuran-5-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
746	6-Methyl-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1H-pyrimidine-2,4-dione
747	1-[1-(3-Methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
748	1-[1-(2,2,5,7,8-Pentamethyl-chroman-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
749	1,4-Dimethyl-6-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1,4-dihydro-quinoxaline-2,3-dione
750	1-[1-(1H-Imidazole-4-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
751	1-[1-(2-Oxo-1,2,3,4-tetrahydro-quinoline-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
752	7-[4-(2-Oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-1,5-dihydro-benzo[b][1,4]diazepine-2,4-dione
753	8-Methyl-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
754	6-Chloro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
755	5-Chloro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
756	8-Methoxy-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
757	1-[1-(Pyridine-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
758	1-[1-(6,7-Dihydroxy-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
759	Acetic acid 3-acetoxy-5-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-sulfonyl]-naphthalen-2-yl ester
760	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
761	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
762	1-[1-(1H-Benzoimidazole-2-sulfonyl)-piperidin-4-yl]-5-chloro-1,4-dihydro-

	benzo[d][1,3]oxazin-2-one
763	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
764	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
765	1-[1-(2,5-Dimethoxy-benzenesulfonyl)-piperidin-4-yl]-6,7-difluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
766	5-Chloro-1-[1-(2,5-dimethoxy-benzenesulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
767	1-[1-(5-Dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-8-methoxy-1,4-dihydro-benzo[d][1,3]oxazin-2-one
768	5-Chloro-1-[1-(5-dimethylamino-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
769	6-Chloro-1-[1-(5-chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
770	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
771	1-[1-(5-Chloro-naphthalene-1-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
772	6-Chloro-1-[1-(5-chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
773	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-6-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one
774	1-[1-(5-Chloro-naphthalene-2-sulfonyl)-piperidin-4-yl]-6-fluoro-1,4-dihydro-benzo[d][1,3]oxazin-2-one
775	6-Methyl-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
776	6-Fluoro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
777	6,7-Difluoro-1-[1-(3-methyl-quinoline-8-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
778	6-Chloro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
779	6-Methyl-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
780	6-Fluoro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
781	6,7-Difluoro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
782	5-Chloro-1-[1-(3-methyl-2-oxo-2,3-dihydro-benzooxazole-6-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
783	6-Chloro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
784	6-Methyl-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
785	6-Fluoro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
786	8-Methoxy-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-

	piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one
787	5-Chloro-1-[1-(4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine-7-sulfonyl)-piperidin-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one.

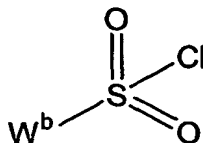
optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a physiologically acceptable salt thereof, or a solvate, respectively.

The benzoxazinone-derived sulphonamide compounds of general formula (Ib), wherein  $R^{1b}$ - $R^{9b}$  and  $W^b$  have the meaning given above, may be prepared preferably by way of reaction of at least one piperidine compound of general formula (IIb) and/or a corresponding salt thereof, preferably a hydrochloride salt,



(IIb)

wherein  $R^{1b}$  to  $R^{9b}$  have the meaning given above, with at least one compound of general formula (IIIb),



(IIIb)

5 wherein  $W^b$  has the meaning given above, in a suitable reaction medium, optionally in the presence of at least one base and/or at least one auxiliary agent, to yield a compound of general formula (Ib).

10 Suitable reaction media include e.g. organic solvents, such as ethers, preferably diethyl ether, dioxane, tetrahydrofurane, dimethyl glycol ether, or alcohols, e.g. methanol, ethanol, propanol, isopropanol, butanol, isobutanol, tert-butanol, or hydrocarbons, preferably benzene, toluene, xylene, hexane, cyclohexane, petroleum ether, or halogenated hydrocarbons, e.g. dichloromethane, trichloromethane, tetrachloromethane, dichloroethylene, trichloroethylene,  
15 chlorobenzene or/and other solvents, preferably ethyl acetate, triethylamine, pyridine, dimethylsulfoxide, diethylformamide, hexamethylphosphoramide, acetonitril, acetone or nitromethane, are included. Mixtures based one or more of the afore mentioned solvents may also be used.

20 Bases that may be used in the processes according to the present invention are generally organic or inorganic bases, preferably alkali metal hydroxides, e.g. sodium hydroxyde or potassium hydroxyde, or obtained from other metals such as barium hydroxyde or different carbonates, preferably potassium carbonate, sodium carbonate, calcium carbonate, or alkoxides, e.g. sodium methoxide,  
25 potassium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide or potassium tert-butoxide, or organic amines, preferably triethylamine, diisopropylethylamine or heterocycles, e.g. 1,4-diazabicyclo[2.2.2] octane, 1,8-diazabicyclo[5.4.0]undec-7-ene pyridine, diamino pyridine, dimethylaminopyridine, methylpiperidine or morpholine. Alkali metals such as

sodium or its hydrides, e.g. sodium hydride, may also be used. Mixtures based on one or more of the aforementioned bases may also be used.

During the synthetic reactions described above or while preparing the compounds of general formulas (IIb) or (IIIb) the protection of sensitive groups or of reagents may be necessary and/or desirable. This can be performed by using conventional protective groups like those described in the literature [Protective groups in Organic Chemistry, ed. J. F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, 1991. Said literature description is hereby incorporated by reference as part of the disclosure. The protective groups may also be eliminated as convenient by means well-known to those skilled in the art.

The compounds of general formulas (IIb) and (IIIb) are either commercially available or can be produced according to methods known to those skilled in the art. The reaction of compounds of general formulas (IIb) and (IIIb) to yield benzoxazinone-derived sulphonamide compounds of general formula (Ib) may also be facilitated by conventional methods known to those skilled in the art.

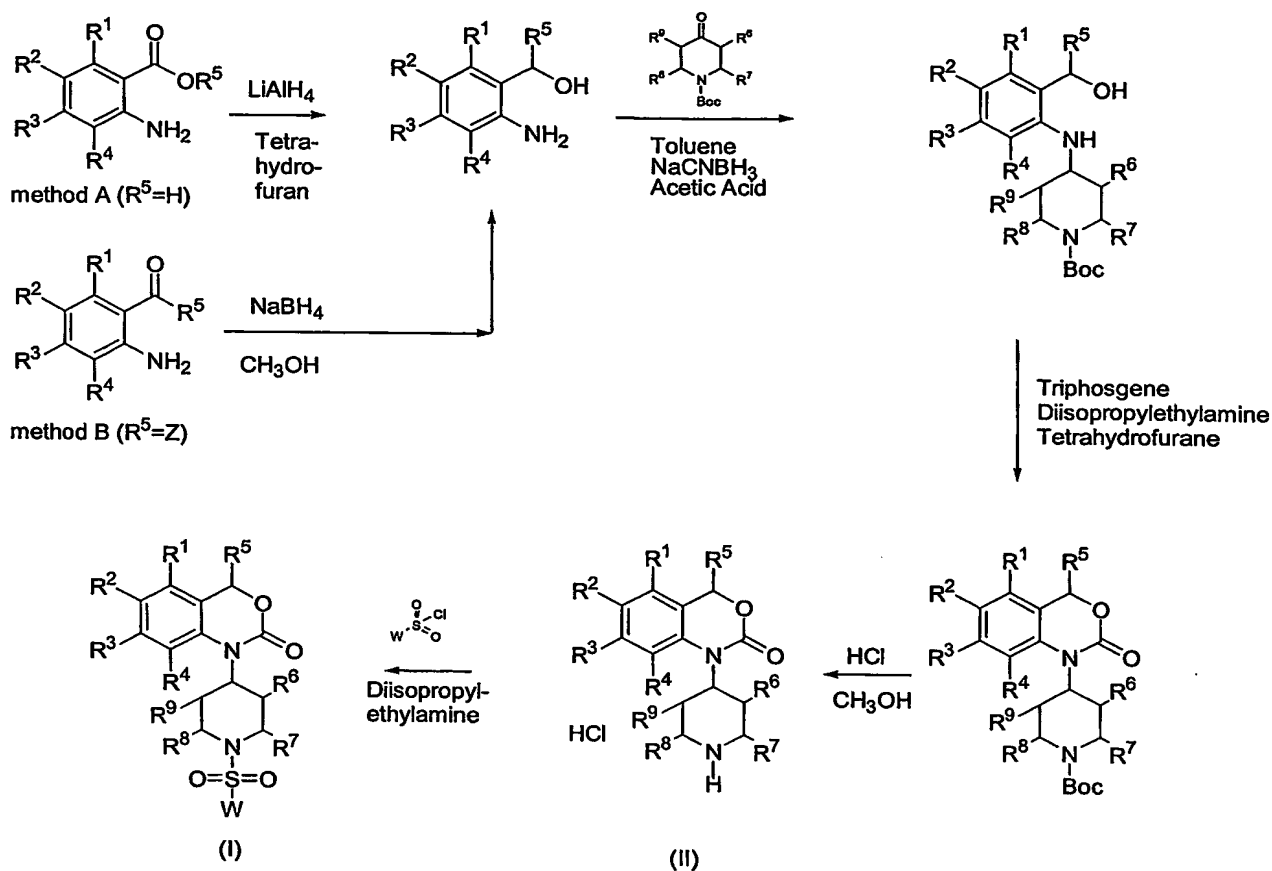
The substituted benzoxazinone compounds of general formula (IIb), wherein  $R^{5b}$  represents H, are preferably synthesized from substituted anthranilic acid or a corresponding ester via the corresponding substituted benzylalcohol (see scheme 1, method A). By reductive amination with 1-Boc-(tert.-Butylcarbonyloxy)-4-piperidone the Boc-piperidin-moiety is introduced into the substituted benzylalcohol. The benzoxazinone-ring is formed by cyclisation with triphosgene. The elimination of the Boc-protecting group is carried out by treatment in acidic media according to the method described in Williams et al., J. Med. Chem. 1995 38, 4634 and later by Bell et al., J. Med. Chem., 1998, 41, 2146 which are hereby incorporated by reference and form part of the disclosure. By reacting such a substituted benzoxazinone compound of general formula (IIb) with a substituted sulfonyl chloride of general formula (IIIb) compounds of general formula (Ib) are obtained.



By reduction of the corresponding ketones via conventional methods known to those skilled in the art, e.g. by reduction with sodium borohydride (see scheme 1, method B,  $R^{5b}=Z$ ) benzoxazinone derived sulphonamide compounds of general formula (Ib), wherein  $R^{5b}$  represents an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical (denoted by Z in method B) can be obtained.

The respective reagents used in said process for the preparation of benzoxazinone derived sulphonamide compounds of general formula (Ib) are either commercially available or can be obtained by methods well known to those skilled in the art. In the following scheme the respective substituents represent the afore mentioned substituents having an index b.

**Scheme 1:**



The salts of benzoxazinone-derived sulphonamide compounds of general formula (Ib), may be prepared in a way that at least one compound of general formula (Ib) having at least one basic group is reacted with at least one inorganic and/or organic acid, preferably in the presence of a suitable reaction medium. Suitable reaction media are, for example, the ones given above. Suitable inorganic acids include hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, nitric acid, suitable organic acids are e.g. citric acid, maleic acid, fumaric acid, tartaric acid, or derivatives thereof, p-toluenesulfonic acid, methanesulfonic acid or camphersulfonic acid.

The salts of benzoxazinone-derived sulphonamide compounds of general formula (Ib), may be prepared in a way that at least one compound of general formula (Ib) having at least one acidic group is reacted with one or more suitable bases, preferably in the presence of a suitable reaction medium.

Suitable bases are e.g. hydroxides, carbonates or alkoxides, which include suitable cations, derived e.g. from alkaline metals, alkaline earth metals or organic cations, e.g.  $[\text{NH}_n\text{R}_{4-n}]^+$ , wherein n is 0, 1, 2, 3 or 4 and R represents a branched or unbranched C<sub>1-4</sub>-alkyl-radical. Suitable reaction media are, for example, the ones given above.

Solvates, preferably hydrates, of the Benzoxazinone-derived sulphonamide compounds of general formula (Ib) or of the salts thereof may also be obtained by standard procedures known to those skilled in the art.

If the Benzoxazinone-derived compounds of general formula (Ib) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The purification and isolation of the Benzoxazinone-derived sulphonamide compounds of general formula (Ib) or a corresponding stereoisomer, or salt, or solvate respectively, if required, may be carried out by conventional methods known to those skilled in the art, e.g. chromatographic methods or recrystallization.

If one or more of the residues  $\text{R}^{1c}$ ,  $\text{R}^{3c}$ ,  $\text{R}^{4c}$  and  $\text{R}^{5c}$  represents an alkyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $\text{R}^{1c}$  represents a phenyl radical or a benzyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl and branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy.

If  $R^{2c}$  represents a saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which is substituted with one or more substituents and/or if it comprises a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched  $C_1$ - $C_4$ -alkyl, branched or unbranched  $C_1$ - $C_4$ -alkoxy, branched or unbranched  $C_1$ - $C_4$ -perfluoroalkyl, branched or unbranched  $C_1$ - $C_4$ -perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched  $C_1$ - $C_4$ -alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bicyclic cycloaliphatic ringsystem may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

If  $R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least one further heteroatom as ring member containing heterocyclic ring, which is substituted with one or more substituents and/or which is condensed with a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched  $C_1$ - $C_4$ -alkyl, branched or unbranched  $C_1$ - $C_4$ -alkoxy, branched or unbranched  $C_1$ - $C_4$ -perfluoroalkyl, branched or unbranched  $C_1$ - $C_4$ -perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched  $C_1$ - $C_4$ -alkyl and benzyl. If the heterocyclic ring contains one or more further heteroatoms and/or one or both of the mono- or bicyclic rings contain one or more heteroatoms, these heteroatoms may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

If A<sup>c</sup> represents a mono- or polycyclic aromatic ringsystem, which is substituted with one or more substituents, and which may be bonded via an optionally at least mono-substituted alkylene-, alkenylene- or alkynylene group and/or may contain at least one heteroatom as a ring member, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6 membered heteroaryl, preferably from the group consisting of halogen, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of fluorine, chlorine, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, an optionally at least mono-substituted phenyl radical, and 5- or 6-membered heteroaryl. If one or more of the rings of the mono- or polycyclic aromatic ringsystem contains one or more heteroatoms, these heteroatoms – like the heteroatoms of the afore mentioned 5- or 6 membered heteroaryl radical – may preferably be selected from the group consisting of oxygen, sulphur and nitrogen. If the afore mentioned phenyl radical is itself substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8c</sup>R<sup>9c</sup>-moiety, wherein R<sup>8c</sup> and R<sup>9c</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl.

If the afore mentioned alkylene-, alkenylene- or alkynylene group is substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkoxy, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkyl, branched or unbranched C<sub>1</sub>-C<sub>4</sub>-perfluoroalkoxy or an optionally at least mono-substituted phenyl radical. If said phenyl radical is itself substituted by one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine,

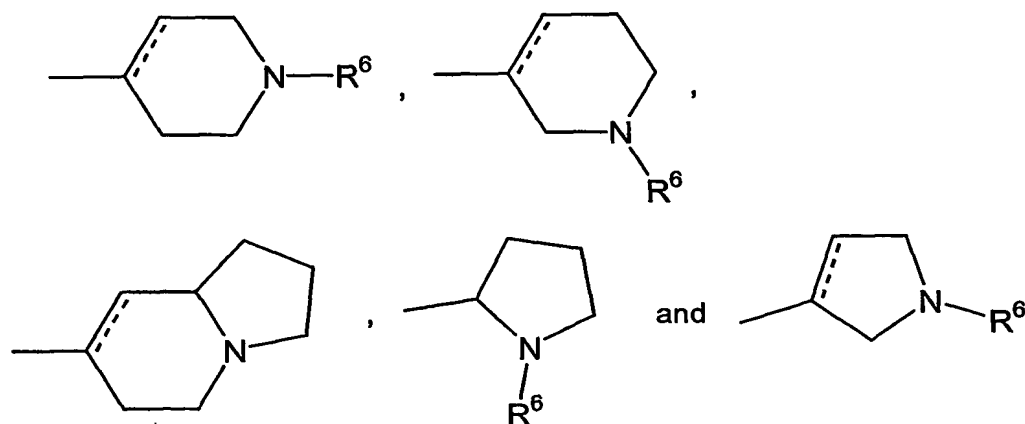
chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8c</sup>R<sup>9c</sup>-moiety, wherein R<sup>8c</sup> and R<sup>9c</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl.

5

Preferably used are sulphonamide derivatives of general formula (Ic), wherein R<sup>1c</sup> represents hydrogen, an optionally at least mono-substituted, linear or branched C<sub>1-4</sub>-alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical, preferably  
 10 hydrogen, a linear or branched C<sub>1-4</sub>-alkyl radical or a benzyl radical, more preferably hydrogen, and R<sup>2c</sup> to R<sup>5c</sup>, A<sup>c</sup> and n<sub>c</sub> are as defined above.

15

Preference is also given to the use of sulphonamide derivatives of general formula (Ic), wherein R<sup>2c</sup> represents a -NR<sup>4c</sup>R<sup>5c</sup> moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  
 20 mono- or bicyclic cycloaliphatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, preferably a -NR<sup>4c</sup>R<sup>5c</sup> moiety or a moiety selected from the group consisting of



wherein, if present, the dotted line represents an optional chemical bond and  $R^6$  represents hydrogen, a linear or branched  $C_1$ - $C_4$ -alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ ,  $R^{3c}$ - $R^{5c}$ ,  $A^c$  and  $nc$  are as defined above.

5

Also preferred is the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{3c}$  represents hydrogen or an optionally at least mono-substituted, linear or branched  $C_1$ - $C_4$ -alkyl radical, preferably hydrogen or a linear or branched  $C_1$ - $C_4$ -alkyl radical, more preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ ,  $R^{2c}$ ,  $R^{4c}$ ,  $R^{5c}$ ,  $A^c$  and  $nc$  are as defined above.

10

Furthermore, preference is also given to the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{4c}$  and  $R^{5c}$ , identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched  $C_1$ - $C_4$ -alkyl radical, or

15

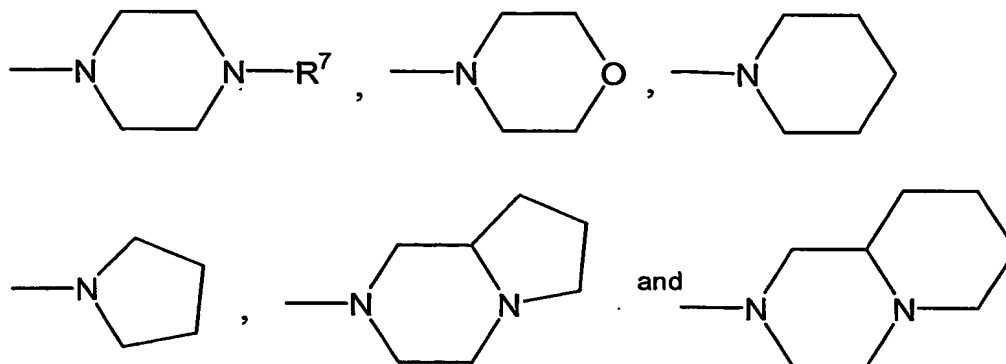
$R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated, 5- or 6-membered heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic aliphatic ringsystem, wherein the ring(s) is/are 5-, 6- or 7-membered, and  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $A^c$  and  $nc$  are as defined above.

20

Particularly preferred is the use of sulphonamide derivatives of general formula (Ic), wherein  $R^{4c}$  and  $R^{5c}$ , identical or different, represent hydrogen or a linear or branched  $C_1$ - $C_4$ -alkyl radical, preferably a linear or branched  $C_1$ - $C_4$ -alkyl radical, or

25

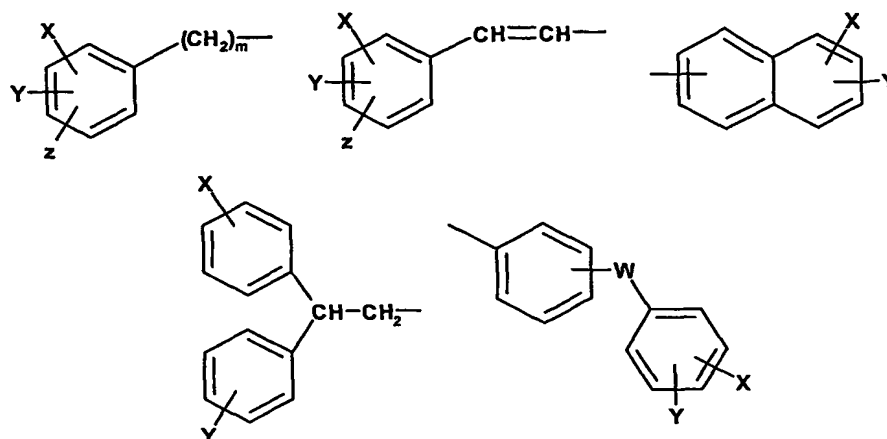
$R^{4c}$  and  $R^{5c}$  together with the bridging nitrogen atom form a moiety selected from the group consisting of



5 wherein  $R^7$  represents hydrogen, a linear or branched  $C_1$ - $C_4$ -alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1c}$ - $R^{3c}$ ,  $A^c$  and  $nc$  are as defined above.

10 Moreover, the use of sulphonamide derivatives of general formula (Ic) is preferred, wherein  $A^c$  represents an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via a an optionally at least mono-substituted  $C_1$ - $C_4$ -alkylene group, an optionally at least mono-substituted  $C_2$ - $C_4$ -alkenylene or an optionally at least mono-substituted  $C_2$ - $C_4$ -alkynylene group and/or may contain at least one heteroatom as a ring member, preferably an optionally at least  
15 mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered and wherein one or both of the rings contain(s) at least one heteroatom, or a moiety selected from the group consisting of





wherein X, Y, Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkoxy, linear or branched C<sub>1</sub>-C<sub>4</sub>-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR<sup>8</sup>R<sup>9</sup>-moiety, wherein R<sup>8</sup> and R<sup>9</sup>, identical or different, represent hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>-group, O, S or a NR<sup>10</sup>-moiety, wherein R<sup>10</sup> is hydrogen or linear or branched C<sub>1</sub>-C<sub>4</sub>-alkyl and

m is 0, 1, 2, 3 or 4.

and R<sup>1c</sup>-R<sup>5c</sup> and n are as defined above.

Most preferred is the use of one or more sulphonamide derivatives selected from the group consisting of:

[1] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[2] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[3] Hydrochloride N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

- [4] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-3,5-dichlorobenzenesulphonamide,
- 5 [5] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- [6] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,
- 10 [7] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [8] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- 15 [9] N-[3-(2-dimethylamino-ethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-*b*]thiazol-5-sulphonamide,
- [10] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- 20 [11] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide hydrochloride,
- [12] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- 25 [13] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride,
- [14] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,
- 30 [15] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- [16] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,

- [17] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide,
- 5 [18] N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,
- [19] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- 10 [20] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-(2-pyridil)thiophene-2-sulphonamide,
- [21] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-2,1,3- benzothiadiazol-4-sulphonamide,
- 15 [22] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,
- [23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide,
- 20 [24] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenoxybenzenesulphonamide,
- [25] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,
- 25 [26] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide,
- [27] N-{3-[2-(morpholin-4-yl)ethyl]-1*H*-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- 30 [28] N-{3-[2-(morpholin-4-yl)ethyl]-1*H*-indol-5-yl}naphthalene-1-sulphonamide,

[29] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[30] N-[3-dimethylaminomethyl-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[31] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[32] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[33] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[34] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[35] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,

[36] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-trans- $\beta$ -styrenesulphonamide,

[37] N-[3-(4-methylpiperazin-1-yl)methyl-1H-indol-5-yl]-trans- $\beta$ -styrenesulphonamide,

[38] N-[3-(octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[39] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,

[40] N-[3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl]naphthalene-2-sulphonamide,

[41] N-[3-(4-methylpiperazin-1-yl)methyl-1H-indol-5-yl]- $\alpha$ -toluenesulphonamide,

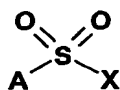
- [42] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- 5 [43] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- [44] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,
- 10 [45] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,
- [46] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,
- 15 [47] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [48] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,
- 20 [49] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,
- [50] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}quinoline-8-sulphonamide,
- 25 [51] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}-4-phenylbenzenesulphonamide,
- [52] N-[3-(4-methylpiperazin-1-yl)ethyl-1H-indol-5-yl]naphthalene-2-sulphonamide and
- 30 [53] N-[3-(4-methylpiperazin-1-yl)ethyl-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide.

The sulphonamide derivatives of general formula (Ic), wherein  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $n$  and  $A^c$  have the above defined meaning, may preferably be prepared according to the following methods, wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $n$  and  $A$  are  $R^{1c}$ ,  $R^{2c}$ ,  $R^{3c}$ ,  $n$  and  $A^c$ .

5

**METHOD A:**

At least one compound of general formula (IIc),

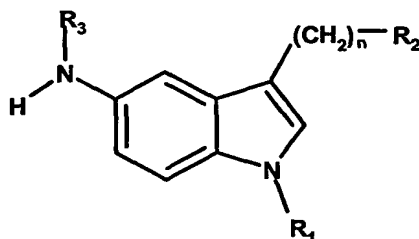


10

(IIc),

wherein A has the meaning as defined above in the general formula (Ic) and X is a suitable leaving group, preferably a halogen atom, more preferably chlorine; is reacted with at least one substituted 5-aminoindol of general formula (IIIc)

15



20

(IIIc)

wherein  $R_1$ ,  $R_2$ ,  $R_3$  and  $n$  have the meaning as defined above, or a suitably protected derivative thereof, and, if present, the protective groups are removed, in order to obtain the corresponding sulphonamide derivative of general formula (Ic), which may be purified and/or may be isolated by conventional methods known to those skilled in the art.

25

The reaction between the compounds of general formulas (IIc) and (IIIc) is usually carried out in the presence of an organic reaction medium, such as an dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofurane or dioxane, a halogenated organic hydrocarbon, particularly  
5 methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Mixtures of at least two of the above mentioned classes of compounds or of at least two compounds of one class may, of course, also be used.

10

The reaction is preferably carried out in the presence of a suitable base, e.g. an inorganic base such as hydroxides and/or carbonates of alkali metals, or an organic base, particularly triethylamine or pyridine.

15 The most suitable reaction temperatures range from 0° C to ambient temperature, i.e. approximately 25 °C, and the reaction time is preferably from 5 minutes to 24 hours.

20 The resulting sulphonamide derivative of general formula (Ic) may be purified and/or isolated according to conventional methods known to those skilled in the art.

25 Preferably the sulphonamide derivatives of general formula (Ic) can be isolated by evaporating the reaction medium, adding water and eventually adjusting the pH so that it is obtained as a solid that can be isolated by filtration; or it can be extracted by a solvent immiscible with water, such as chloroform, and purified by chromatography or recrystallisation from a suitable solvent.

30 The compounds of general formula (IIc) are commercially available or can be prepared according to standard methods known to those skilled in the art, e.g. by methods analogous to those described in the literature [E.E. Gilbert, *Synthesis*, 1969, 1, 3]. The compounds of general formula (IIIc) may also be prepared according to standard methods known to those skilled in the art, e.g.

by methods analogous to those described in the literature [J.E. Macor, R. Post and K. Ryan, *Synt Comm.*, **1993**, 23, 1, 65-72.; J. Guillaume, C. Dumont, J. Laurent and N. Nédélec, *Eur. J. Med. Chem.*, **1987**, 22, 33-43; M.L. Saccarello, R. Stradi, *Synthesis*, **1979**, 727]. The respective literature descriptions are  
5 incorporated by reference and form part of the disclosure.

## **METHOD B**

The sulphonamide derivatives of general formula (Ic), wherein  $R^1$ ,  $R^2$ ,  $n$  and  $A$   
10 are as defined above and  $R^3$  represents an optionally at least mono-substituted, linear or branched  $C_1$ - $C_4$  alkyl radical, may also be prepared by alkylation of a corresponding sulphonamide derivative of general formula (Ic), wherein  $R^1$ ,  $R^2$ ,  $n$  and  $A$  are as defined above and  $R^3$  represents a hydrogen atom, with an alkyl halogenide or a dialkyl sulphate.

15 The alkylation reaction is preferably carried out in the presence of a suitable base, such as hydroxides and/or carbonates of alkali metals, metal hydrides, alkoxides such as sodium methoxide or potassium tert-butoxide, organometallic compounds such as butyl lithium or tert.-butyl lithium, in the presence of an  
20 organic reaction medium, such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, a hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Mixtures of at least two of the above mentioned classes of  
25 compounds and/or of at least two compounds of one class may, of course, also be used.

The most suitable reaction temperatures range from 0° C to the boiling point of the reaction medium, and reaction times preferably range from 1 to 24 hours.

30 The resulting sulphonamide derivative of general formula (Ic) can preferably be isolated by filtration, concentrating the filtrate at reduced pressure, adding water and eventually adjusting the pH so that it is obtained as a solid that can be



isolated by filtration, or it can be extracted with a solvent immiscible in water such as chloroform and purified by chromatography or recrystallisation from a suitable solvent.

## 5 **METHOD C**

By condensation of a compound of general formula (Ic), wherein  $R_1$ ,  $R_3$ , and A are as defined above, n is 0 and  $R_2$  represents a hydrogen atom, with a suitably substituted 4-piperidone the corresponding compound of general formula (Ic) is  
10 obtained, wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 1,2,3,6-tetrahydropyridine-4-yl radical.

The reaction can take place in both an acid and a basic reaction medium, preferably in a suitable solvent, preferably at temperatures ranging from 25 to  
15 150°C.

Suitable basic conditions may be provided by the use of inorganic bases such as sodium or potassium hydroxide, or organic bases such as pyrrolidine or triethylamine in solvents such as methanol or ethanol. Preferably, solutions of  
20 sodium methoxide in methanol under reflux are used.

Reaction times range from 1 to 48 hours.

Suitable acidic conditions may be provided by the use of hydrochloric acid in ethanol or trifluoroacetic acid in acetic acid at temperatures ranging preferably  
25 from 50 to 100 °C and reaction times ranging from 1 to 48 hours.

The resulting sulphonamide derivative of general formula (Ic) can be isolated by dilution in water, eventually adjusting the pH, to obtain a solid that can be isolated by filtration; or it can be extracted with a solvent immiscible in water  
30 such as chloroform and purified by chromatography or by recrystallisation from a suitable solvent.

The compounds of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a hydrogen atom, can be prepared according to the method A from a corresponding 5-aminoindol.

## 5 **METHOD D**

10 The compound of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 4-piperidinyl radical, can be prepared by reducing a compound of general formula (Ic) wherein  $R_1$ ,  $R_3$  and A are as defined above, n is 0 and  $R_2$  represents a suitably substituted 1,2,3,6-tetrahydropyridin-4-yl radical prepared according to the method C.

15 Hydrogenation preferably takes place with the aid of a metallic catalyst such as palladium, platinum or rhodium on a suitable support such as carbon, aluminum oxide or barium sulphate, preferably palladium on carbon, with an initial hydrogen pressure of between 1 and 10 atmospheres, preferably between 2 and 5 atmospheres, in a solvent such as methanol or ethanol. The reaction time ranges from 1 hour to 3 days.

20 The resulting sulphonamide can be isolated by filtering the catalyst and concentrating the filtrate at reduced pressure. The product recovered can be used as is or it can be purified by chromatography or by recrystallisation from a suitable solvent.

25

**METHOD E**

The salts, preferably the pharmacologically acceptable salts of compounds with the general formula (Ic) can be prepared by conventional methods known to those skilled in the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulphuric, nitric acids or with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluensulphonic acid, methansulphonic acid, etc., in a suitable solvent such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone and obtained with the usual techniques of precipitation or crystallisation of the corresponding salts.

Preferred physiologically acceptable salts of the sulphonamide derivatives of general formula (Ic) are the additions salts of mineral acids, such as hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid, nitric acid, and of organic acids, such as citric acid, maleic acid, tartaric acid or derivatives thereof, *p*-toluenesulphonic acid, methansulphonic acid, camphorsulphonic acid, etc.

The solvates, preferably the physiologically acceptable solvates, particularly hydrates, of the sulphonamide derivatives of general formula (Ic) or of the corresponding physiologically acceptable salts may be prepared by conventional methods known to those skilled in the art.

During one of the synthesis sequences described above, or in the preparation of suitable reactands used it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules employed. This can be performed by means of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed J. F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, 1991]. The protective groups can be eliminated in a suitable latter stage by methods known to those skilled in the art.

The respective literature descriptions are hereby incorporated by reference and form part of the disclosure.

5 If the sulphonamide derivatives of general formula (Ic) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

10 If one or more of the moieties  $R^{2d}$ - $R^{9d}$  represent a saturated or unsaturated aliphatic radical, that is, an alkyl, alkenyl or alkynyl radical which is substituted by one or more substituents, each one of these substituents may preferably be chosen, unless otherwise defined, from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

15 If  $R^{1d}$  is a saturated or unsaturated, optionally at least one heteroatom as a ring member containing cycloaliphatic radical, which is substituted by one or more substituents and/or is condensed with a saturated or unsaturated, optionally at least one heteroatom as a ring member containing mono- or bicyclic  
20 cycloaliphatic ring system, which is substituted by one or more substituents, each one of these substituents may preferably be chosen, unless otherwise defined, from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl,  
25 preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl.

The heteroatoms of said cycloaliphatic radical and/or of said mono- or bicyclic cycloaliphatic ring may, independently from one another, preferably be chosen from the group consisting of nitrogen, sulphur and oxygen, more preferably  
30 nitrogen is chosen as a heteroatom.

Said cycloaliphatic radical may contain 0, 1, 2 or 3 heteroatoms chosen from the above mentioned group, preferably it contains 0, 1 or 2 heteroatoms chosen from the above mentioned group.

- 5 If R<sup>8d</sup> and R<sup>9d</sup> together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or which is condensed with a saturated or unsaturated mono- or bicyclic cycloaliphatic ring system, which may contain at least one heteroatom as a ring member and/or
- 10 which is substituted by one or more substituents, each one of these substituents may preferably be chosen, unless otherwise defined, from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group
- 15 consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl.

- If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both rings of the mono- or bicyclic ring system contain one or more heteroatoms, these heteroatoms may, independently from one another,
- 20 preferably be chosen from the group consisting of nitrogen, sulphur and oxygen, more preferably nitrogen is chosen as a heteroatom.

- Said heterocyclic ring may contain 0, 1, 2 or 3 additional heteroatoms chosen from the above mentioned group, preferably it contains 0 or 1 heteroatoms
- 25 chosen from the above mentioned group.

- If A<sup>d</sup> is a mono- or polycyclic aromatic ring system that is substituted with one or more substituents and which may be bonded via an optionally at least mono-substituted alkylene, alkenylene or alkynylene group and/or which may contain
- 30 at least one heteroatom as a ring member, unless otherwise defined, each one of these substituents may preferably be chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched

C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, -O-phenyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, -O-phenyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl.

If one or more of the rings of the mono- or polycyclic aromatic ring system contain one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned 5- or 6-membered heteroaryl radical – may preferably be chosen from the group consisting of nitrogen, sulphur and oxygen.

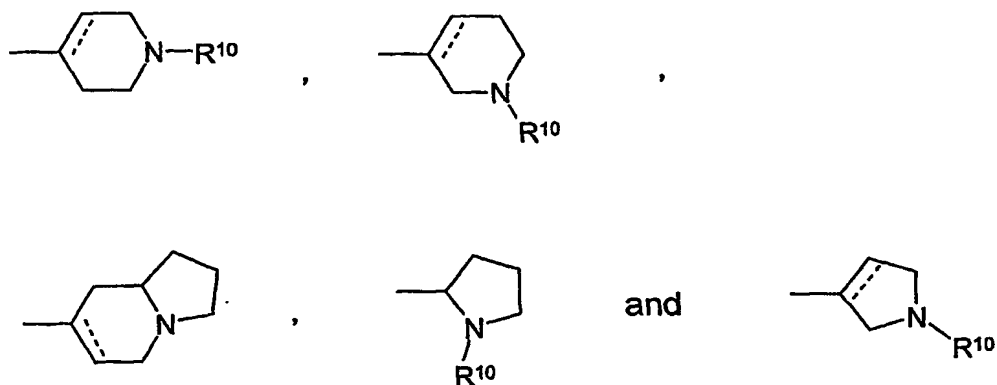
If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of these substituents may preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and a -NR<sup>12d</sup>R<sup>13d</sup> radical, wherein R<sup>12d</sup> and R<sup>13d</sup>, identical or different, represent hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of these substituents may preferably be chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or an optionally at least mono-substituted phenyl radical. If said phenyl radical is itself substituted by one or more substituents, each one of these substituents may preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and a -NR<sup>12d</sup>R<sup>13d</sup> radical, wherein R<sup>12d</sup> and R<sup>13d</sup>, identical or different, represent hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

If one or more of the substituents  $R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$  represents an alkoxy radical, said radical may have 1 to 6, preferably 1 to 3 carbon atoms.

5 Sulfonamide derivatives of general formula (Id) are preferred, wherein  $R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical or a saturated or unsaturated optionally at least mono-substituted 5- or 6-membered cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring, which may optionally contain at least one  
10 heteroatom as a ring member, whereby the rings of the ring system are 5- or 6-membered,

more preferably  $R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical or a radical chosen from the group consisting of



15

wherein, if present, the dotted line represents an optional chemical bond, and  $R^{10}$  represents hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical and  $R^{2d}$ - $R^{9d}$ ,  $A^d$  and  $nd$  are defined as above.

20

Sulfonamide derivatives of general formula (Id) are also preferred, wherein  $R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$ , identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted  $C_1$ - $C_6$  alkyl radical, a linear or

branched, optionally at least mono-substituted C<sub>2</sub>-C<sub>6</sub> alkenyl radical, or a linear or branched, optionally at least mono-substituted C<sub>2</sub>-C<sub>6</sub> alkynyl radical,

more preferably R<sup>2d</sup>, R<sup>3d</sup>, R<sup>5d</sup>, R<sup>6d</sup> and R<sup>7d</sup>, identical or different, each represent hydrogen or a linear or branched, optionally at least mono-substituted C<sub>1</sub>-C<sub>6</sub> alkyl radical,

even more preferably R<sup>2d</sup>, R<sup>3d</sup>, R<sup>5d</sup>, R<sup>6d</sup> and R<sup>7d</sup> each represent hydrogen or a C<sub>1</sub>-C<sub>2</sub> alkyl radical and R<sup>1d</sup>, R<sup>4d</sup>, R<sup>8d</sup>, R<sup>9d</sup>, A<sup>d</sup> and nd are defined as above.

Sulfonamide derivatives of general formula (Id) are also preferred, wherein R<sup>4d</sup> represents hydrogen, a linear or branched, optionally at least mono-substituted C<sub>1</sub>-C<sub>6</sub> alkyl radical, a linear or branched, optionally at least mono-substituted C<sub>2</sub>-C<sub>6</sub> alkenyl radical, a linear or branched, optionally at least mono-substituted C<sub>2</sub>-C<sub>6</sub> alkynyl radical

more preferably R<sup>4d</sup> represents hydrogen or a linear or branched, optionally at least mono-substituted C<sub>1</sub>-C<sub>6</sub> alkyl radical,

even more preferably R<sup>4d</sup> represents hydrogen or a C<sub>1</sub>-C<sub>2</sub> alkyl radical and R<sup>1d</sup>-R<sup>3d</sup>, R<sup>5d</sup>-R<sup>9d</sup>, A<sup>d</sup> and nd are defined as above.

Furthermore, the use of sulfonamide derivatives of general formula (Id) is also preferred, wherein R<sup>8d</sup> and R<sup>9d</sup>, identical or different, each represent hydrogen, a linear or branched, optionally at least mono-substituted C<sub>1</sub>-C<sub>6</sub> alkyl radical, or

R<sup>8d</sup> and R<sup>9d</sup> together with bridging nitrogen atom form a saturated or unsaturated, optionally at least mono-substituted 5- or 6-membered heterocyclic ring which may contain at least one additional heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring, which may optionally contain at least one heteroatom as a ring member, whereby the rings of the ring system are 5- 6- or 7-membered and R<sup>1d</sup>-R<sup>7d</sup>, A<sup>d</sup> and nd are defined

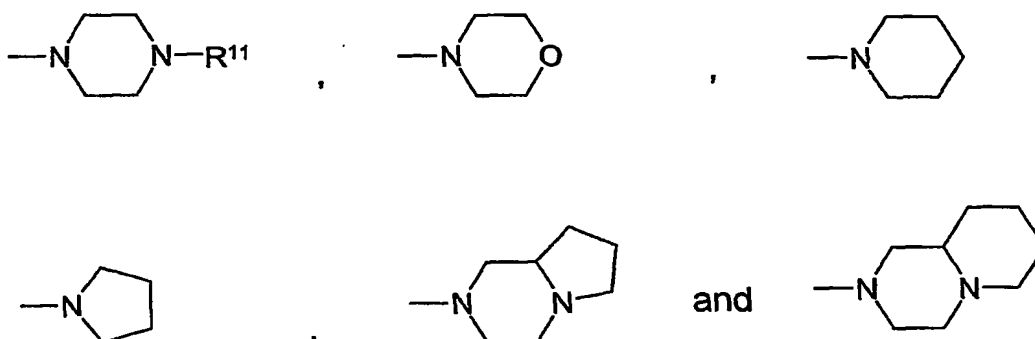


as above.

Particularly preferred is the use of sulfonamide derivatives of general formula (Id), wherein  $R^{8d}$  and  $R^{9d}$ , identical or different, each represent hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical,

or

$R^{8d}$  and  $R^{9d}$  together with bridging nitrogen atom form a radical chosen from the group consisting of

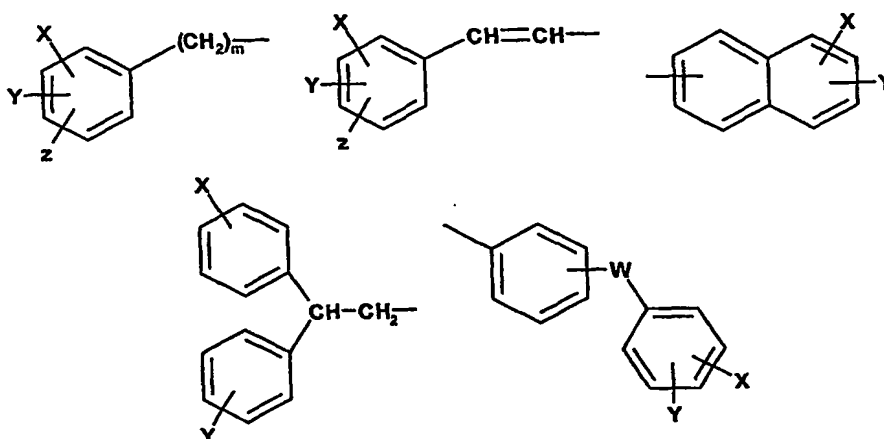


wherein  $R^{11}$ , if present, represents hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1d}$ - $R^{9d}$ , Ad and nd are defined as above.

Furthermore, sulfonamide derivatives of general formula (Id) are preferred, wherein  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

5 or a radical chosen from the group consisting of



10 wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and a - $NR^{12}R^{13}$  radical, wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

15 W represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical, wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

m is 0, 1, 2, 3 or 4 and

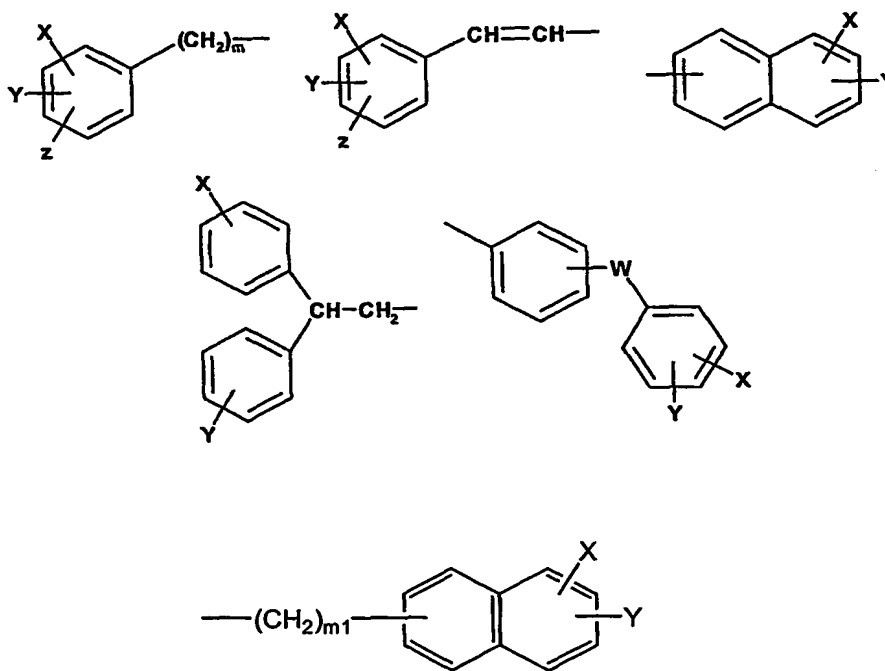
20 and  $R^{1d}$ - $R^{11d}$  are defined as described above. Furthermore, sulfonamide derivatives of general formula (Id) are preferred, wherein  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered,

which may be bonded via an optionally at least mono-substituted  $C_1-C_6$  alkylene group, an optionally at least mono-substituted  $C_2-C_6$  alkenylene group or an optionally at least mono-substituted  $C_2-C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

5

preferably  $A^d$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

10 or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1-C_6$  alkyl, linear or branched  $C_1-C_6$  alkoxy, linear or branched  $C_1-C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and a - $NR^{12}R^{13}$  radical,

15

20

wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

5 W represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical,

wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

10 m is 0, 1, 2, 3 or 4 and

m1 is 1 or 2, preferably 2, and  $R^{1d}$ - $R^{9d}$  and nd are defined as above.

Further preferred is the use of compounds of general formula (Id),

15

wherein

$R^{1d}$  represents a  $-NR^{8d}R^{9d}$  radical,

20  $R^{2d}$ ,  $R^{3d}$ ,  $R^{5d}$ ,  $R^{6d}$  and  $R^{7d}$  each represent hydrogen,

$R^{4d}$  represents hydrogen,

25  $R^{8d}$  and  $R^{9d}$ , identical or different, each represent methyl, ethyl, n-propyl, isopropyl, more preferably methyl,

$A^d$  represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl, phenyl and -O-phenyl and/or which may be bonded via a  $C_{1-2}$  alkylene group,  
30 and

nd is 2;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred compounds of general formula (Id) are selected from the group consisting of

- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphtalene-2-sulfonamide,
- [3] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphtalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide,
- [5] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphtalene-1-yl)-ethanesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide,
- [7] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide and
- [8] 6-chloro-N-[1-(2-dimethylaminoethyl)-1H-indol-4-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

and their corresponding salts and solvates.

Also, the most preferred compounds of general formula (Id) are selected from the group consisting of

- 5
- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphtalene-2-sulfonamide,
- 10 [3] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphtalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide,
- 15 [5] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphtalene-1-yl)-ethanesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide,
- 20 [7] N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide and

25 and their corresponding salts and solvates.

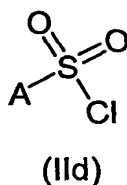
The present invention likewise refers to the salts, preferably the physiologically acceptable salts of the compounds of general formula (Id), preferably the addition salts of mineral acids, more preferably of hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid, nitric acid, and the salts of organic acids, more preferably of citric acid, maleic acid, fumaric acid, tartaric acid or their derivatives, *p*-toluenesulphonic acid, methanesulphonic acid, camphorsulphonic acid, etc.

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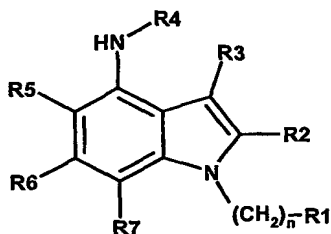
Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (IId) and (IIId) represent  $R^{1d}$ - $R^{7d}$ ,  $A^d$  and nd.

The derivatives of general formula (Id), wherein  $R^{1d}$ - $R^{9d}$ , nd and  $A^d$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general formula (IId),



wherein A has the previously mentioned meaning, and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; is reacted with at least one substituted 4-aminoindole of general formula (IIId)



(IIId)

wherein  $R^1$ - $R^7$  and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Id), which may be purified and/or isolated via conventional methods known in the prior art.

The reaction between the compounds of general formula (IIId) and (IIIId) is usually carried out in the presence of an organic reaction medium, preferably in the presence of dialkyl ether, more preferably diethyl ether or a cyclic ether, more preferably tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, more preferably methylene chloride or chloroform, an alcohol, more preferably methanol or ethanol, a dipolar aprotic solvent, more preferably acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class may also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base, more preferably alkaline metal hydroxides and alkaline metal carbonates, or in the presence of an organic base, more preferably triethylamine, N-ethyldiisopropylamine or pyridine.

The most suitable reaction temperatures range from 0 °C to room temperature, that is, approximately 25 °C, and the reaction time is preferably from 5 minutes to 24 hours.

The resulting sulfonamide derivative of general formula (Id) may be purified and/or isolated according to conventional methods known in the prior art.

Preferably, the sulfonamide derivatives of general formula (Id) may be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which may be isolated by filtration is obtained; or the sulfonamide derivatives may be extracted with a water immiscible solvent, preferably chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (IIId) are commercially available, or they may be prepared according to standard methods known in the prior art, for example by methods similar to those described in the literature [E.E.Gilbert, Synthesis, 1969, 1, 3]. The compounds of general formula (IIIId) may also be prepared



according to standard methods known in the prior art, for example by methods similar to those described in: [Abou-Gharbia, Magid; Patel, Usha; Tokolics, Joseph; Freed, Meier. European Journal of Medicinal Chemistry (1988), 23(4), 373-7]. The respective literature descriptions are incorporated by reference and  
5 form part of the disclosure.

Another aspect of the present invention consists in a process for preparing the sulfonamide derivatives of general formula (Id), wherein  $R^{1d}$ - $R^{3d}$ ,  $R^{5d}$ - $R^{9d}$ , nd and  $A^d$  have the previously indicated meaning and  $R^{4d}$  is an alkyl radical,  
10 preferably a linear or branched, optionally at least mono-substituted  $C_1$ - $C_6$  alkyl radical, by alkylation of a sulfonamide derivative of general formula (I), wherein  $R^{1d}$ - $R^{3d}$ ,  $R^{5d}$ - $R^{7d}$ , nd and  $A^d$  have the previously indicated meaning, and  $R^{4d}$  is an hydrogen atom, with an alkyl halogenide or a dialkyl sulfate.

15 The alkylation reaction is carried out preferably in the presence of a suitable base, more preferably in the presence of alkaline metal hydroxides and alkaline metal carbonates, metal hydrides, metal alkoxides, even more preferably sodium methoxide or potassium tert-butoxide, organometallic compounds, even more preferably butyllithium or tert-butyllithium, in the presence of an organic  
20 reaction medium, more preferably dialkyl ether, even more preferably diethyl ether, or a cyclic ether, even more preferably tetrahydrofuran or dioxane, an hydrocarbon, even more preferably toluene, an alcohol, even more preferably methanol or ethanol, a dipolar aprotic solvent, even more preferably acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium.

25 Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class may also be used.

The most suitable reaction temperatures range from 0 °C to the boiling temperature of the reaction medium, and the reaction times are preferably from  
30 1 to 24 hours.

Preferably, the resulting sulfonamide derivative of general formula (Id) may be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which may be isolated by filtration is obtained; or the sulfonamide derivatives may be extracted with a water immiscible solvent, preferably chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The salts, preferably pharmaceutically acceptable salts of the compounds of general formula (Id), may be prepared by means of conventional methods known in the prior art, preferably by reaction with a mineral acid, more preferably by reaction with hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid or nitric acid, or by reaction with organic acids, more preferably by reaction with citric acid, maleic acid, fumaric acid, tartaric acid, or their derivatives, *p*-toluenesulphonic acid, methanesulphonic acid, camphorsulphonic acid, etc., in a suitable solvent, preferably methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, and obtaining the resulting salts by using the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Id) are the addition salts of mineral acids, more preferably of hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid or nitric acid, and the addition salts of organic acids, more preferably citric acid, maleic acid, fumaric acid, tartaric acid, or their derivatives, *p*-toluenesulphonic acid, methanesulphonic acid, camphorsulphonic acid, etc.

The solvates, preferably the physiologically acceptable solvates, more preferably hydrates, of the sulfonamide derivatives of general formula (Id) or of the corresponding physiologically acceptable salts, may be prepared by methods known in the prior art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This may be carried out via the use of conventional protective groups preferably those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups may be removed in the suitable subsequent stage by methods known in the prior art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Id) are obtained in form of a mixture of stereoisomers, preferably enantiomers or diastereomers, said mixtures may be separated via standard processes known in the prior art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the  $R^{2e}$ - $R^{9e}$  moieties represent an alkyl radical which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If  $R^{1e}$  is a saturated or unsaturated cycloaliphatic radical, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi-cyclic cycloaliphatic ring can, independently from one another, be chosen preferably

from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

If  $R^{8e}$  and  $R^{9e}$  together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, more preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi-cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

If  $A^e$  is a mono or poly-cyclic aromatic ring system, which is substituted by one or more substituents, and which can be bonded by means of an optionally at least monosubstituted alkylene, alkenylene or alkynylene group, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, more preferably from the group consisting of halogen, linear or branched  $C_1$ - $C_6$  alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, much more preferably from the group consisting of fluorine, chlorine, linear or branched  $C_1$ - $C_6$  alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6

members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12e</sup>R<sup>13e</sup> radical, wherein R<sup>12e</sup> and R<sup>13e</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

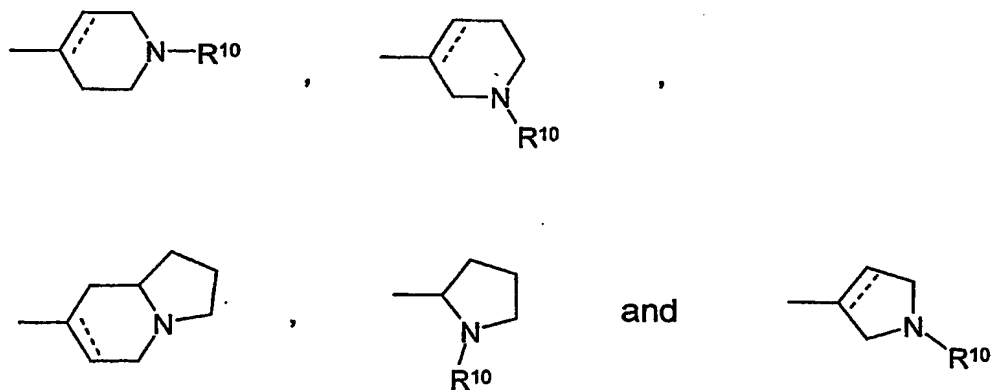
Also preferably, the substituents for Ae may be selected from the group consisting of nitro, -O-phenyl, -O-C<sub>1-6</sub> alkyl, -C(=O)-C<sub>1-6</sub> alkyl, hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of nitro, -O-phenyl, -C(=O)-C<sub>1-6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl, even more preferably from the group consisting of nitro, -O-phenyl, -O-CH<sub>3</sub>, -C(=O)-CH<sub>3</sub>, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, an optionally at least mono-substituted phenyl radical and 5- or 6-membered heteroaryl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub>

alkylthio, trifluoromethyl radical, cyano radical and an  $\text{NR}^{12e}\text{R}^{13e}$  radical, wherein  $\text{R}^{12e}$  and  $\text{R}^{13e}$ , identical or different, are hydrogen or linear or branched  $\text{C}_1\text{-C}_6$  alkyl.

- 5 Sulfonamide derivatives of general formula (Ie) are preferred, wherein  $\text{R}^{1e}$  is an  $-\text{NR}^{8e}\text{R}^{9e}$  radical or a saturated or unsaturated, optionally at least mono-substituted 5- or 6-membered cycloaliphatic radical which may optionally contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted
- 10 mono- or bicyclic cycloaliphatic ring system, which may optionally contain at least one heteroatom as a ring member, whereby the rings of the ring system are 5- or 6-membered,

- preferably  $\text{R}^{1e}$  represents an  $-\text{NR}^{8e}\text{R}^{9e}$  radical or a radical chosen from the
- 15 group consisting of



wherein, if present, the dotted line is an optional chemical bond, and  $\text{R}^{10}$  represents hydrogen, a linear or branched  $\text{C}_1\text{-C}_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $\text{C}_1\text{-C}_2$  alkyl radical.

Sulfonamide derivatives of general formula (Ie) are also preferred, wherein  $R^{2e}$ ,  $R^{3e}$ ,  $R^{4e}$ ,  $R^{6e}$  and  $R^{7e}$ , are hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical, a linear or branched  $C_2$ - $C_6$  alkenyl radical, or a linear or branched  $C_2$ - $C_6$  alkynyl radical, preferably hydrogen.

5

The use of sulfonamide derivatives of general formula (Ie) is also preferred, wherein  $R^{5e}$ , is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, preferably hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, more preferably hydrogen or an  $C_1$ - $C_2$  alkyl radical and  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{9e}$ ,  $A^e$  and  $ne$  are defined as above.

10

Furthermore, the use of sulfonamide derivatives of general formula (Ie) is also preferred, wherein  $R^{8e}$  and  $R^{9e}$ , identical or different, are hydrogen or a linear or branched, optionally at least monosubstituted  $C_1$ - $C_6$  alkyl radical, or

15

$R^{8e}$  and  $R^{9e}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, where the ring/rings is/are of 5, 6 or 7 members, and  $R^{1e}$ - $R^{7e}$ ,  $A^e$  and  $ne$  are defined as above.

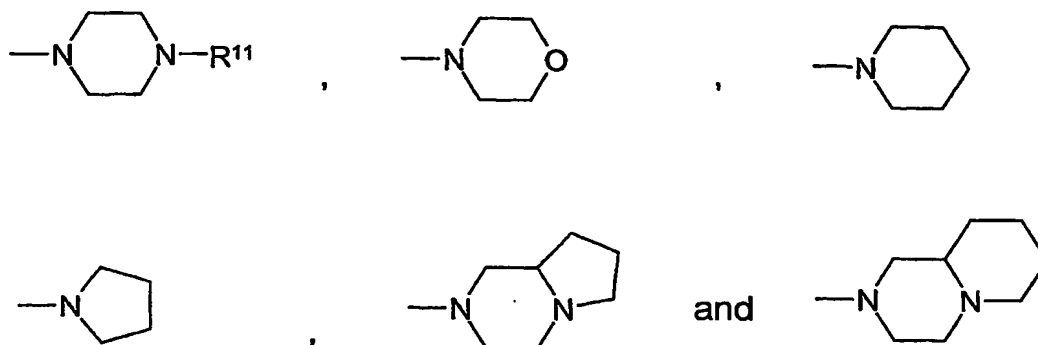
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Particularly preferred is the use of sulfonamide derivatives of general formula (Ie), wherein  $R^{8e}$  and  $R^{9e}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

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$R^{8e}$  and  $R^{9e}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of

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wherein  $R^{11}$ , if it is present, is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1e}$ - $R^{9e}$ ,  $A^e$  and  $ne$  are defined as above.

5

Furthermore, sulfonamide derivatives of general formula (Ie) are preferred, wherein  $A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

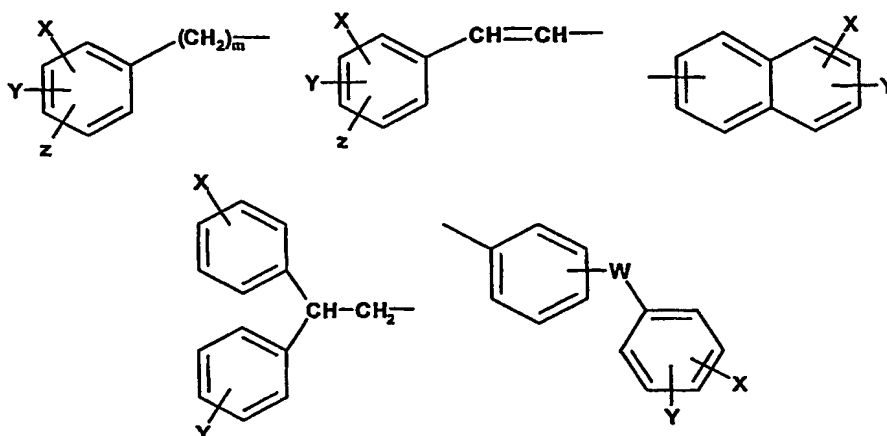
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preferably  $A^e$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

15

or a radical chosen from the group consisting of





wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a -NR<sup>12</sup>R<sup>13</sup> radical,

wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

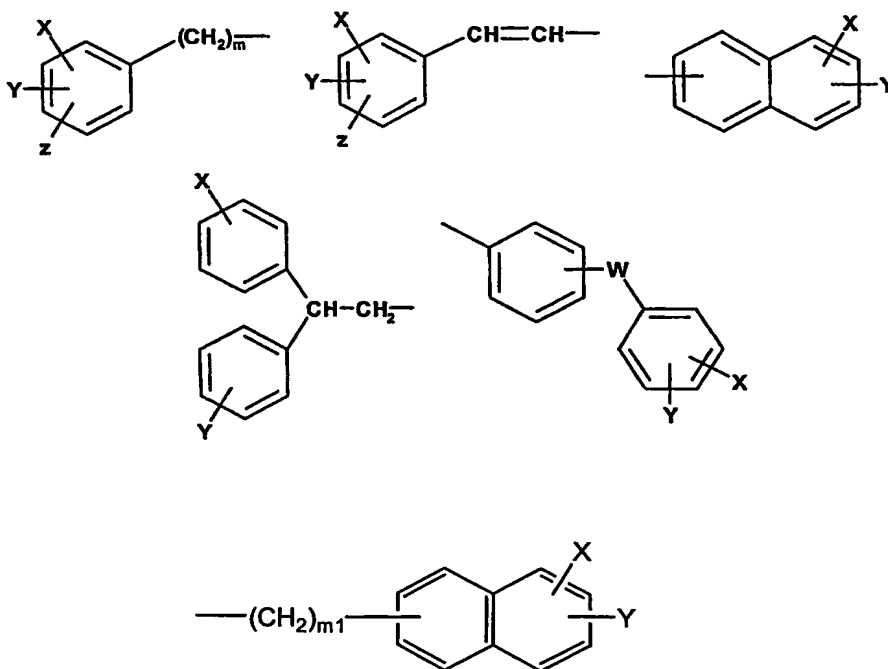
m is 0, 1, 2, 3 or 4 and

and R<sup>1e</sup>-R<sup>11e</sup> and ne are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ie) are preferred, wherein A<sup>e</sup> represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted C<sub>1</sub>–C<sub>6</sub> alkylene group, an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkenylene group or an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably A represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, nitro, acetyl, linear or branched C<sub>1</sub>–C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>–C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>–C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and

a -NR<sup>12</sup>R<sup>13</sup> radical,

wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

5

W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

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m is 0, 1, 2, 3 or 4 and

m<sub>1</sub> is 1 or 2, preferably 2, and R<sup>1e</sup>-R<sup>9e</sup> and n<sub>e</sub> are defined as above.

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Also preferred are compounds of general formula (Ie) given above,

wherein

R<sup>1e</sup> represents a -NR<sup>8e</sup>R<sup>9e</sup> radical,

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R<sup>2e</sup> represents hydrogen or an alkyl radical selected from the group consisting of methyl, ethyl, n-propyl and iso-propyl, more preferably hydrogen or methyl,

R<sup>3e</sup>, R<sup>4e</sup>, R<sup>6e</sup> and R<sup>7e</sup> each represent hydrogen,

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R<sup>5e</sup> represents hydrogen,

R<sup>8e</sup> and R<sup>9e</sup>, identical or different, each represent methyl, ethyl, n-propyl or iso-propyl, more preferably methyl or ethyl,

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or

R<sup>8e</sup> and R<sup>9e</sup> together with the bridging nitrogen form a 5- or 6-membered heterocyclic ring, more preferably form pyrrolidine or piperidine,

A<sup>e</sup> represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, quinoliny, benzo[b]thiophenyl, benzo[1,2,5]thiadiazolyl, thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of fluorine, bromine, chlorine, methyl, phenyl, nitro, -C(=O)-CH<sub>3</sub>, -O-CH<sub>3</sub> and -O-phenyl and/or which may be bonded via a C<sub>1-2</sub> alkylene group or a C<sub>2</sub> alkenylene group,

and

ne is 2 or 3,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred compounds of general formula (Ie) are:

[1] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

[2] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,

[3] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,

[4] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloronaphthalene-1-sulfonamide,

[5] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzenesulfonamide,

- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-quinoline-8-sulfonamide,
- 5 [7] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-phenoxybenzenesulfonamide,
- [8] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methylbenzenesulfonamide,
- 10 [9] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chlorothiophene-2-sulfonamide,
- [10] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo[1,2,5]thiadiazole-4-sulfonamide,
- 15 [11] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [12] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3,5-dichlorobenzenesulfonamide,
- 20 [13] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-bromobenzenesulfonamide,
- [14] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-nitrobenzenesulfonamide,
- 25 [15] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-1-phenylmethanesulfonamide,
- [16] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- 30 [17] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,

[18] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]- 5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

5 [19] *trans*-N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-2-phenylethenesulfonamide,

[20] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4,5-dichlorothiophene-2-sulfonamide,

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[21] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-acetylbenzenesulfonamide,

[22] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-bromobenzenesulfonamide,

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[23] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methoxybenzenesulfonamide,

20 [24] N-[3-(2-diethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

[25] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-nitrobenzenesulfonamide,

25 [26] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-fluorobenzenesulfonamide,

[27] N-[1-(2-diethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

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[28] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]- ]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

and their corresponding salts and solvates.

Also, the most preferred compounds of general formula (Ie) may be selected from the group consisting of:

- 5
- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- 10 [3] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chloronaphthalene-1-sulfonamide,
- 15 [5] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzenesulfonamide,
- [6] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-quinoline-8-sulfonamide,
- 20 [7] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-phenoxybenzenesulfonamide,
- [8] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methylbenzenesulfonamide,
- 25 [9] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-5-chlorothiophene-2-sulfonamide,
- [10] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo[1,2,5]thiadiazole-4-sulfonamide,
- 30 [11] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

- [12] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3,5-dichlorobenzenesulfonamide,
- 5 [13] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-bromobenzenesulfonamide,
- [14] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-3-nitrobenzenesulfonamide,
- 10 [15] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-1-phenylmethanesulfonamide,
- [16] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide,
- 15 [17] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,
- [18] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- 20 [19] *trans*-N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-2-phenylethenesulfonamide,
- [20] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4,5-dichlorothiophene-2-sulfonamide,
- 25 [21] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-acetylbenzenesulfonamide,
- [22] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-bromobenzenesulfonamide,
- 30 [23] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-methoxybenzenesulfonamide,



- [24] N-[3-(2-diethylaminoethyl)-1H-indole-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- 5 [25] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-nitrobenzenesulfonamide,
- [26] N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-4-fluorobenzenesulfonamide,
- 10 [27] N-[1-(2-diethylaminoethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- [28] N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,
- 15 [29] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-naphthalene-2-sulfonamide,
- [30] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-naphthalene-1-sulfonamide,
- [31] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-4-phenylbenzenesulfonamide,
- 20 [32] 5-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-3-methylbenzo[b]thiophene-2-sulfonamide,
- [33] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-2-sulfonamide,
- 25 [34] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-1-sulfonamide,
- 30 [35] 6-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide,

- [36] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenylbenzenesulfonamide,
- 5 [37] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-2-(naphth-1-yl)-ethanesulfonamide,
- [38] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenoxybenzenesulfonamide,
- 10 [39] 3,5-dichloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-benzenesulfonamide,
- [40] N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide,
- 15 [41] N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide and
- [42] N-(1-(2-(dimethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide,
- 20 [43] 5-chloro-3-methyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzo[b]thiophene-2-sulfonamide,
- [44] N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-2-sulfonamide,
- 25 [45] N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide,
- [46] 6-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide,
- 30

[47] 4-phenyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide,

5 [48] 2-(naphth-1-yl)-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)ethanesulfonamide,

[49] 4-phenoxy-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide,

10 [50] 3,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonylamide,

[51] 4,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)thiophene-2-sulfonamide and

15 [52] 5-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide,

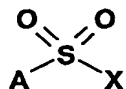
and their corresponding salts and solvates.

20 The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (Ie), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their  
25 derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (Ile) to (Ve) are  $R^{1e}$ - $R^{7e}$ ,  $A^e$  and  $ne$ .

30 The derivatives of general formula (Ie), wherein  $R^{1e}$ - $R^{9e}$ ,  $ne$  and  $A^e$  have the previously indicated meaning, may be preferably prepared in a way that:

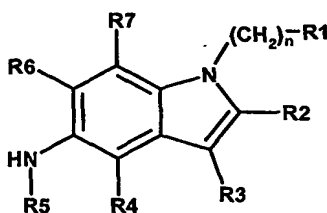
At least one compound of general Formula (Ile),



(Ile)

5

wherein A has the previously mentioned meaning in the general formula (Ie), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 5-aminoindole of general formula (IIIe)



10

(IIIe)

15

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Ie), which can be purified and/or isolated by means of conventional methods known in the state of the art.

20

The reaction between the compounds of general Formula (Ile) and (IIIe) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the

25

classes of the mentioned compounds or at least two compounds of one class can also be used.

5 The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine, N-ethyl-diisopropylamine or pyridine.

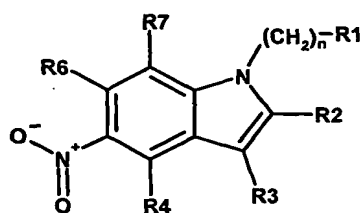
10 The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (Ie) can be purified and/or isolated according to conventional methods known in the state of the art.

15 Preferably, the sulfonamide derivatives of general Formula (Ie) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified  
20 by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (Ile) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E. Gilbert, 25 Synthesis, 1969, 1, 3]. The compounds of general formula (IIle) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature: Pigerol, Charles; De Cointet de Fillain, Paul; Eymard, Pierre; Werbenec, Jean Pierre; Broll, Madeleine. (Labaz S. A., Fr.). Ger. Offen. (1977). DE 2727047  
30 19771229. Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias.  
Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes. WO 0315769 A1 20030227. One of them consists of nitro group reduction of derivatives of general formula (IVe) by

methods known in the art, as for example: BRATTON, L. D.; ROTH, B. D.;  
TRIVEDI, B. K.; UNANGST, P. C.; J Heterocycl Chem , 2000, 37 (5), 1103-  
1108. FANGHAENEL, E.; CHTCHEGLOV, D.; J Prakt Chem/Chem-Ztg, 1996,  
338 (8), 731-737. KUYPER, L. F.; BACCANARI, D. P.; JONES, M. L.;  
5 HUNTER, R. N.; TANSIK, R. L.; JOYNER, S. S.; BOYTOS, C. M.; RUDOLPH,  
S. K.; KNICK, V.; WILSON, H. R.; CADDELL, J. M.; FRIEDMAN, H. S.; ET AL.;  
J Med Chem, 1996, 39 (4), 892-903.



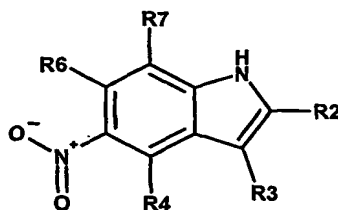
(IVe)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their  
suitably protected derivatives, and, if necessary, the protective groups are  
removed in order to obtain the corresponding amine of general formula (IIIe),  
15 which can be purified and/or isolated by means of conventional methods known  
in the state of the art.

The compounds of general formula (IVe) can also be prepared according to  
standard methods known in the state of the art, for example by methods similar  
20 to those described in the literature: Journal of Heterocyclic Chemistry, 37(5),  
1103-1108; 2000; Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias.  
Preparation of indol-5-ylureas and relate compounds for the treatment of  
obesity and type II diabetes WO 0315769 A1 20030227; Baxter, Andrew;  
Brough, Stephen; Mcinally, Thomas; Mortimore, Michael; Cladingboel, David.  
25 Preparation of N-aryl-1-adamantaneacetamides and analogs as purinergic P2Z  
receptor antagonists WO 9929660 A1 19990617 ; Pigerol, Charles; De  
Cointet de Fillain, Paul; Eymard, Pierre; Werbenec, Jean Pierre; Broll,  
Madeleine. Indole derivatives. Ger. Offen. (1977), DE 2727047

19771229

One of them consists in the alkylation of nitro derivatives of general formula (Ve) by methods known in the art, as for example: BHAGWAT, S. S.; GUDE, C.;  
5 Tetrahedron Lett, 1994, 35 (12), 1847-1850. BRATTON, L. D.; ROTH, B. D.; TRIVEDI, B. K.; UNANGST, P. C.; J Heterocycl Chem, 2000, 37 (5), 1103-1108



(Ve)

10 wherein R<sup>2</sup>-R<sup>7</sup> and n have the previously mentioned meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (Ile), which can be purified and/or isolated by means of conventional methods known in the state of the art.

15 The compounds of general formula (Ve) are commercially available or can also be prepared according to standard methods known in the state of the art, as for example YAMASHKIN, S. A.; YUROVSKAYA, M. A.; Chem Heterocycl Compd (N Y) 1999, 35 (12), 1426-1432. OTTONI, O.; CRUZ, R.; KRAMMER, N. H.;  
20 Tetrahedron Lett, 1999, 40 (6), 1117-1120. EZQUERRA, J.; PEDREGAL, C.; LAMAS, C.; BARLUENGA, J.; PEREZ, M.; GARCIA-MARTIN, M. A.; GONZALEZ, J. M.; J Org Chem, 1996, 61 (17), 5804-5812. FADDA, A. A.; Indian J Chem, Sect B: Org Chem Incl Med Chem, 1990, 29 (11), 1017-1019. KATRITZKY, A. R.; RACHWAL, S.; BAYYUK, S.; Org Prep Proced Int, 1991, 23  
25 (3), 357-363. Inada, A.; Nakamura, Y.; Morita, Y.; Chem Lett, 1980, 1287.

The respective literature descriptions are incorporated by reference and form part of the disclosure.

The sulfonamide derivatives of general Formula (Ie), wherein  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{7e}$ ,  $A^e$ , ne and  $A^e$  have the previously indicated meaning and  $R^{5e}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least  
5 monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general Formula (Ie), wherein  $R^{1e}$ - $R^{4e}$ ,  $R^{6e}$ - $R^{7e}$ , ne and  $A^e$  have the previously indicated meaning, and  $R^{5e}$  is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

10 The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a  
15 cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be  
20 used.

The most suitable reaction temperatures range between  $0^{\circ}\text{C}$  and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

25 Preferably, the resulting sulfonamide derivative of general Formula (Ie) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent,  
30 such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.



The pharmaceutically acceptable salts of the compounds of general formula (Ie), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ie) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ie) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Ie) are obtained in the form of

a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

5

If one or more of the residues  $R^{2f}$ - $R^{9f}$  are an alkyl radical, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

10

If  $R^{1f}$  is a saturated or unsaturated cycloaliphatic radical, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi-cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as an heteroatom.

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If  $R^{8f}$  and  $R^{9f}$  together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi-cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl,

30

linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi-

5 cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

10 If A<sup>f</sup> is a mono or poly-cyclic aromatic ring system, substituted by one or more substituents, and which can be bonded by means of an optionally at least monosubstituted alkylene, alkenylene or alkynylene group, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of

15 hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl optionally at least monosubstituted and

20 heteroaryl of 5 or 6 members, more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6 members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously

25 mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-

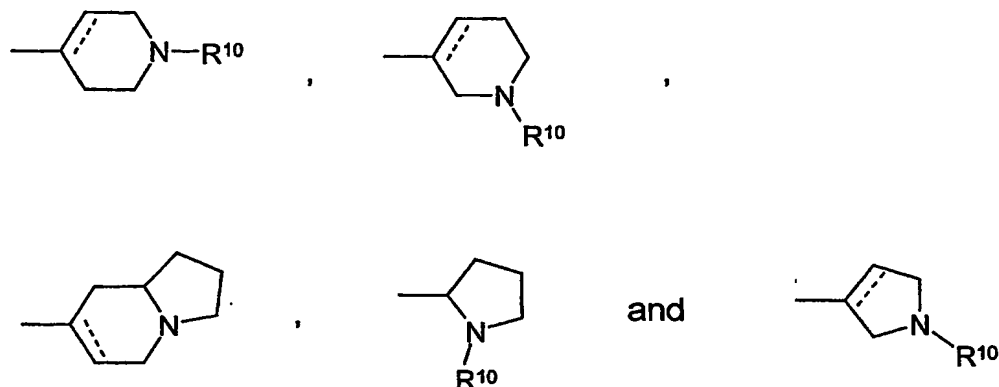
30 C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12f</sup>R<sup>13f</sup> radical, wherein R<sup>12f</sup> and R<sup>13f</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Also preferably the substituents for  $A^f$  may be selected from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, -O-phenyl, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy, an optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl, more preferably from the group consisting of halogen, linear or branched  $C_1$ - $C_6$  alkyl, -O-phenyl, optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, -O-phenyl, linear or branched  $C_1$ - $C_6$  alkyl, optionally at least mono-substituted phenyl and 5- to 6-membered heteroaryl.

If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, trifluoromethyl radical, cyano radical and an  $NR^{12f}R^{13f}$  radical, wherein  $R^{12f}$  and  $R^{13f}$ , identical or different, are hydrogen or linear or branched  $C_1$ - $C_6$  alkyl.

Sulfonamide derivatives of general formula (If) are preferred, wherein  $R^{1f}$  is an - $NR^{8f}R^{9f}$  radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least heteroatom as a ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system, whereby the rings of the ring system are 5- or 6-membered,

preferably  $R^1$  represents an  $-NR^{8f}R^{9f}$  radical or a radical chosen from the group consisting of



wherein, if present, the dotted line represents an optional chemical bond, and  $R^{10}$  represents hydrogen, a linear or branched  $C_1-C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1-C_2$  alkyl radical and  $R^{2f}-R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

Sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{2f}$ ,  $R^{3f}$ ,  $R^{4f}$ ,  $R^{5f}$  and  $R^{7f}$  are hydrogen, a linear or branched  $C_1-C_6$  alkyl radical, a linear or branched  $C_2-C_6$  alkenyl radical, or a linear or branched  $C_2-C_6$  alkynyl radical, preferably hydrogen and  $R^{1f}$ ,  $R^{6f}$ ,  $R^{8f}-R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

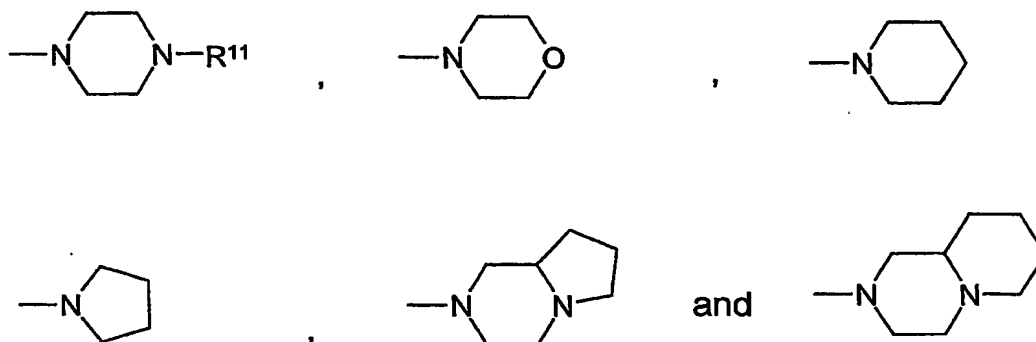
Sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{6f}$  is hydrogen, a linear or branched, optionally at least monosubstituted  $C_1-C_6$  alkyl radical, preferably hydrogen or a linear or branched  $C_1-C_6$  alkyl radical, more preferably hydrogen or an  $C_1-C_2$  alkyl radical and  $R^{1f}-R^{5f}$ ,  $R^{7f}-R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (If) are also preferred, wherein  $R^{8f}$  and  $R^{9f}$ , identical or different, are hydrogen, a linear or branched, optionally at least mono-substituted  $C_1-C_6$  alkyl radical, or

$R^{8f}$  and  $R^{9f}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, where the ring/rings is/are of 5, 6 or 7 members, and  $R^{1f}$ - $R^{7f}$ ,  $A^f$  and  $nf$  are defined as above.

- 10 Particularly preferred are sulfonamide derivatives of general formula (If), wherein  $R^{8f}$  and  $R^{9f}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

- 15  $R^{8f}$  and  $R^{9f}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



wherein  $R^{11}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1f}$ - $R^{9f}$ ,  $A^f$  and  $nf$  are defined as above.

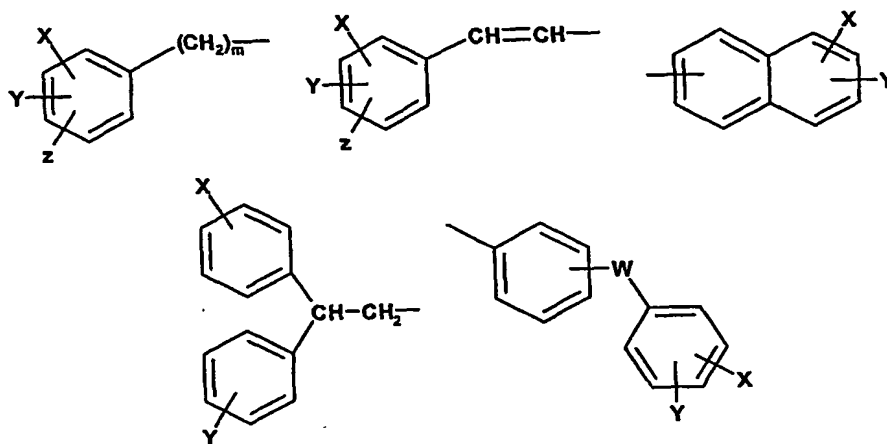
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Furthermore, sulfonamide derivatives of general formula (If) are preferred, wherein  $A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$

alkylene group, an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkenylene group or an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

- 5 preferably A<sup>f</sup> represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



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wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>–C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>–C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>–C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a -NR<sup>12</sup>R<sup>13</sup> radical,

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wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>–C<sub>6</sub> alkyl,

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W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>–C<sub>6</sub> alkyl,

m is 0, 1, 2, 3 or 4 and

and  $R^{1f}$ - $R^{11f}$  and nf are defined as above.

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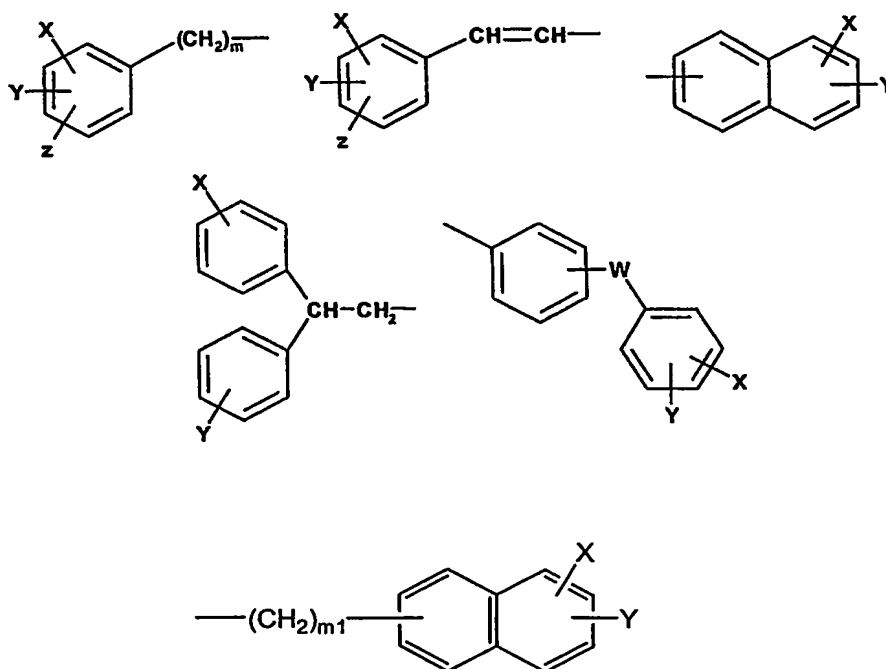
Furthermore, sulfonamide derivatives of general formula (If) are preferred, wherein  $A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

10

preferably  $A^f$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

15

or a radical chosen from the group consisting of



20



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a - NR<sup>12</sup>R<sup>13</sup> radical,

wherein R<sup>12</sup> and R<sup>13</sup>, identical or different, each represent hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

W represents a single chemical bond between the two rings, a CH<sub>2</sub>, O, S group or a NR<sup>14</sup> radical,

wherein R<sup>14</sup> is hydrogen or a linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

m is 0, 1, 2, 3 or 4 and

m<sub>1</sub> is 1 or 2, preferably 2, and R<sup>1f</sup>-R<sup>11f</sup> and n<sub>f</sub> are defined as above.

Also preferred are compounds of general formula (If),

wherein

R<sup>1f</sup> represents a -NR<sup>8f</sup>R<sup>9f</sup> radical,

R<sup>2f</sup>, R<sup>3f</sup>, R<sup>4f</sup>, R<sup>5f</sup> and R<sup>7f</sup> each represent hydrogen,

R<sup>6f</sup> represents hydrogen,

R<sup>8f</sup> and R<sup>9f</sup>, identical or different, each represent methyl, ethyl, n-propyl or n-propyl, more preferably methyl,

or

R<sup>8f</sup> and R<sup>9f</sup>, together with the bridging nitrogen atom form a 5- or 6-membered heterocyclic ring, more preferably form a pyrrolidine ring or a piperidine ring

and

A<sup>f</sup> represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl, phenyl and -O-phenyl and/or which may be bonded via a C<sub>1-2</sub> alkylene group,

and n<sub>f</sub> is 2,

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

The most preferred sulfonamide derivatives of general formula (If) may be selected from the group consisting of:

[1] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

[2] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-2-sulfonamide,

[3] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-1-sulfonamide,

[4] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

[5] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenylbenzenesulfonamide,

[6] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-2-(naphthalene-1-yl)-ethanesulfonamide,

[7] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenoxybenzenesulfonamide,

[8] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-3,5-dichlorobenzenesulfonamide,

and their corresponding salts and solvates.

The most preferred ones of the sulfonamide derivatives of general formula (If), may also be selected from the group consisting of:

[1] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,

[2] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-2-sulfonamide,

[3] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-naphthalene-1-sulfonamide,

[4] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide,

[5] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenylbenzenesulfonamide,

[6] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-2-(naphthalene-1-yl)-ethanesulfonamide,

- [7] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-4-phenoxybenzenesulfonamide,
- 5 [8] N-[1-(2-Dimethylaminoethyl)-1H-indol-6-yl]-3,5-dichlorobenzenesulfonamide,
- [9] 5-Chloro-3-methyl-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-benzo[b]thiophene-2-sulfonamide,
- 10 [10] N-(1-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-6-yl)-naphthyl-2-sulfonamide,
- [11] N-[1-[2-Pyrrolidin-1-yl]ethyl]-1H-indol-6-yl]-naphthalene-1-sulfonamide,
- 15 [12] 6-Chloro-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-imidazo[2,1-b]thiazole-5-sulfonamide,
- [13] 4-Phenyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide
- 20 [14] 2-(Naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-ethansulfonamide,
- [15] 4-Phenoxy-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide and
- 25 [16] 3,5-Dichloro-N-(1-(2-(pyrrolidin-1-yl)-1H-indol-6-yl)-benzenesulfonamide,
- and their corresponding salts and solvates.

30

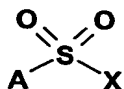
The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (If), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and

with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

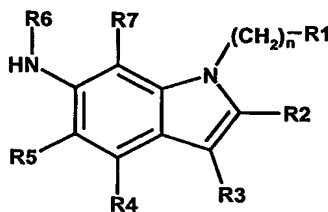
Below, the residues  $R^1$ - $R^7$ , A and n in the general formulas (II<sub>f</sub>) to (V<sub>f</sub>) are  $R^{1f}$ - $R^{7f}$ ,  $A^f$  and  $n^f$ .

The derivatives of general formula (I<sub>f</sub>), wherein  $R^{1f}$ - $R^{9f}$ ,  $n^f$  and  $A^f$  have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (II<sub>f</sub>),

(II<sub>f</sub>)

wherein A has the previously mentioned meaning in the general formula (I<sub>f</sub>), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 6-aminoindole of general formula (III<sub>f</sub>)

(III<sub>f</sub>)

wherein  $R^1$ - $R^7$  and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (I<sub>f</sub>), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general Formula (II<sub>f</sub>) and (III<sub>f</sub>) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine, N-ethyldiisopropylamine or pyridine.

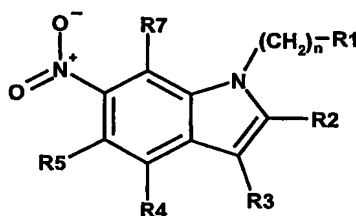
The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

The resulting sulfonamide derivative of general Formula (I<sub>f</sub>) can be purified and/or isolated according to conventional methods known in the state of the art.

Preferably, the sulfonamide derivatives of general Formula (I<sub>f</sub>) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

The compounds of general formula (II<sub>f</sub>) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, Synthesis, 1969, 1, 3]. The compounds of general formula (III<sub>f</sub>) can also be

prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [Ham, Peter; Gaster, Laramie Mary; King, Francis David; Duckworth, David Malcolm. Preparation of N-heteroaryl-4'-oxadiazolylbiphenylcarboxamides as 5HT1D antagonists. WO 9532967 A1 19951207; Basanagoudar, L.D.; Siddappa, S. Cyanoethylation of nitroindoles. Journal of the Indian Chemical Society (1972), 49 (8), 811-13.; Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang. Preparation of heterocyclalkylamine derivatives as remedies for angiogenesis mediated diseases. WO 0266470 A1 20020829. European Journal of Medicinal Chemistry, 23 (4), 373-7; 1988]. One of them consists of nitro group reduction of derivatives of general formula (IVf) by methods known in the art, as for example YAMASHKIN, S.A.; YUROVSKAYA, M.A.; Chem Heterocycl Compd (N.Y.), 1999, 35 (12), 1426-1432. BOOTHROYD, S.R.; KERR, M.A.; Tetrahedron Lett, 1995, 36 (14), 2411-2414. MACOR, J.E.; POST, R.; RYAN, K.; Synth Common, 1993, 23 (1), 65-72,

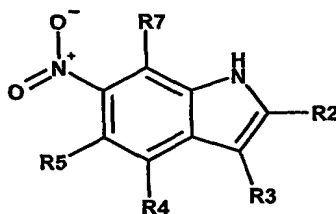


(IVf)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (III f), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (IVf) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the European Journal of Medicinal Chemistry, 23 (4), 373-7; 1988; Farmaco, 51 (1), 75-8; 1996; Heterocycles, 55 (6), 1151-1159; 2001; Ham, Peter; Gaster, Laramie Mary; King, Francis David; Duckworth, David Malcolm. Preparation of N-heteroaryl-4'-oxadiazolylbiphenylcarboxamides as 5HT<sub>1D</sub> antagonists, WO 9532967 A1 19951207.

One of them consists in the alkylation of nitro derivatives of general formula (IVf) by methods known in the art: MACCHIA, M.; MANERA, C.; NENCETTI, S.; ROSSELLO, A.; BROCCALI, G.; LIMONTA, D.; Farmaco, Ed Sci [FRPSAX] 1996, 51 (1), 75-78. BHAGWAT, S. S.; GUDE, C.; Tetrahedron Lett, 1994, 35 (12), 1847-1850. BRATTON, L.D.; ROTH, B.D.; TRIVEDI, B.K.; UNANGST, P.C.; J Heterocycl Chem, 2000, 37 (5), 1103-1108,



(Vf)

wherein R<sup>2</sup>-R<sup>7</sup> and n have the previously mentioned meaning, or one of their suitably protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general formula (III<sub>f</sub>), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The compounds of general formula (Vf) are commercially available or can also be prepared according to standard methods known in the state of the art, as for example OTTONI, O.; CRUZ, R.; KRAMMER, N.H.; Tetrahedron Lett [TELEAY] 1999, 40 (6), 1117-1120. VOROB'EVA, S.L.; BUYANOV, V.N.; SUVOROV, N.N.; Khim Geterosikl Soedin [KGSSAQ] 1991, (5), 636-637. KATRITZKY, A.R.;



RACHWAL, S.; BAYYUK, S.; Org Prep Proceed Int [OPPIAK] 1991, 23 (3), 357-363. MOSKALEV, N.; MAKOSZA, M.; Heterocycles [HTCYAM] 2000, 52 (2), 533-536.

- 5 The respective literature descriptions are incorporated by reference and form part of the disclosure.

10 The sulfonamide derivatives of general formula (If), wherein  $R_{1f}$ ,  $n_f$  and  $A^f$  have the previously indicated meaning and  $R^{6f}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general Formula (If), wherein  $R^{1f}$ - $R^{5f}$ ,  $R^{7f}$ ,  $n_f$  and  $A^f$  have the previously indicated meaning, and  $R^{6f}$  is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

- 15 The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides, alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a  
20 cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be  
25 used.

30 The most suitable reaction temperatures range between 0°C and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

Preferably, the resulting sulfonamide derivative of general formula (If) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated

by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

5 The pharmaceutically acceptable salts of the compounds of general formula (If), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic,  
10 methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

15 The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (If) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

20 The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (If) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

25 During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those  
30 described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the

art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

5 If the sulfonamide derivatives of general formula (If) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

10 If one or more of the substituents  $R^{2g}$ - $R^{9g}$  represent an alkyl radical which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

15 If  $R^{1g}$  is a saturated or unsaturated cycloaliphatic radical, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless  
20 otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The  
25 heteroatoms of the cycloaliphatic radical and/or of the mono- or bi- cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as an heteroatom.

30 If  $R^{8g}$  and  $R^{9g}$  together with the nitrogen atom bridge form a saturated or unsaturated heterocyclic ring, which can contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic

cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi-cyclic rings contain one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as heteroatom.

If A<sup>9</sup> is a mono or poly-cyclic aromatic ring system, substituted by one or more substituents, and which can be bonded by means of an optionally at least monosubstituted alkylene, alkenylene or alkynylene group, and/or can contain at least one heteroatom as a ring member, unless otherwise defined, each one of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, a phenyl radical, optionally at least monosubstituted, and heteroaryl of 5 or 6 members, more preferably from the group consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl optionally at least monosubstituted and heteroaryl of 5 or 6 members, much more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl radical, optionally at least monosubstituted and heteroaryl of 5 or 6 members. If one or more of the rings of a mono or poly-cyclic aromatic ring system contains one or more heteroatoms, these heteroatoms – like the heteroatoms of a previously mentioned heteroaryl radical of 5 or 6 members – can be preferably chosen from the group consisting of nitrogen, sulfur and oxygen. If the previously mentioned phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl,

linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12g</sup>R<sup>13g</sup> radical, wherein R<sup>12g</sup> and R<sup>13g</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

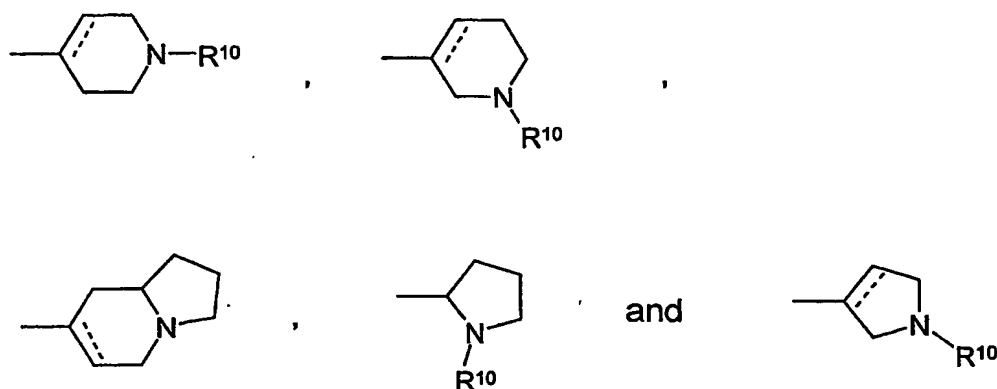
The substituents for A<sup>g</sup> may also preferably be selected from the group

5 consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, an optionally at least mono-substituted phenyl, -O-phenyl and 5- to 6-membered heteroaryl, more preferably from the group  
10 consisting of halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, optionally at least mono-substituted phenyl, -O-phenyl and 5- to 6-membered heteroaryl, even more preferably from the group consisting of fluorine, chlorine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, optionally at least mono-substituted phenyl, -O-phenyl, and 5- to 6-membered heteroaryl.

15 If the previously mentioned alkylene, alkenylene or alkynylene group is substituted by one or more substituents, each of the substituents can be preferably chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical,  
20 optionally at least monosubstituted. If said phenyl radical is itself substituted by one or more substituents, each one of the substituents can be preferably chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, trifluoromethyl radical, cyano radical and an NR<sup>12g</sup>R<sup>13g</sup> radical, wherein  
25 R<sup>12g</sup> and R<sup>13g</sup>, identical or different, are hydrogen or linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl.

Sulfonamide derivatives of general formula (Ig) are preferred, wherein R<sup>1g</sup> is an  
30 -NR<sup>8g</sup>R<sup>9g</sup> radical or a saturated or unsaturated, optionally at least mono-substituted 5- or 6-membered cycloaliphatic radical, which may optionally contain at least one heteroatom as a ring member and/or which may be condensed with a saturated or unsaturated, optionally at least mono-substituted mono- or bicyclic cycloaliphatic ring system, which may optionally contain at

least one heteroatom as a ring member, whereby the rings of the ring system are 5- or 6-membered, preferably an  $-NR^{8g}R^{9g}$  radical or a radical chosen from the group consisting of



- 5 where, if present, the dotted line is an optional chemical bond, and  $R^{10}$  is hydrogen, a linear or branched  $C_1-C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1-C_2$  alkyl radical, and  $R^{2g}-R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

- 10 Sulfonamide derivatives of general formula (Ig) are also preferred, wherein  $R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$ , are hydrogen or a linear or branched  $C_1-C_6$  alkyl radical, a linear or branched  $C_2-C_6$  alkenyl radical, a linear or branched  $C_2-C_6$  alkynyl radical, preferably hydrogen and  $R^{1g}$ ,  $R^{7g}-R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

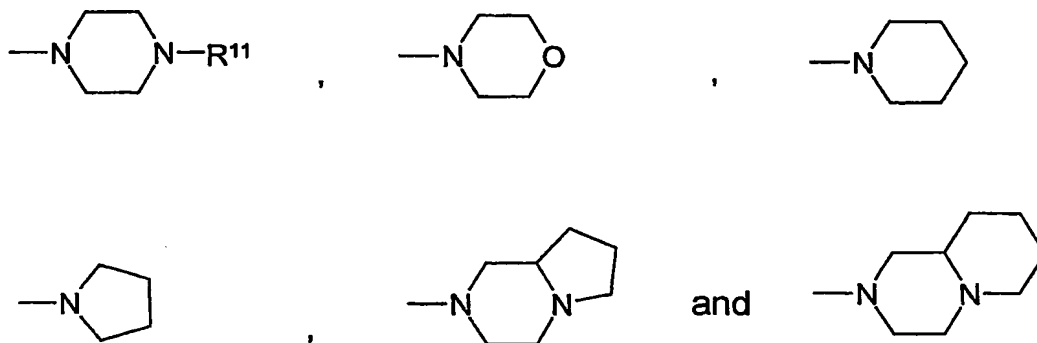
- 15 The use of sulfonamide derivatives of general formula (Ig) is also preferred, wherein  $R^{7g}$ , is hydrogen or a linear or branched, optionally at least monosubstituted  $C_1-C_6$  alkyl radical, preferably hydrogen or a linear or branched  $C_1-C_6$  alkyl radical, more preferably hydrogen or an  $C_1-C_2$  alkyl radical and  $R^{1g}-R^{6g}$ ,  $R^{8g}$ ,  $R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

- 20 Furthermore, sulfonamide derivatives of general formula (Ig) are also preferred, wherein  $R^{8g}$  and  $R^{9g}$ , identical or different, are hydrogen or a linear or branched, optionally at least monosubstituted  $C_1-C_6$  alkyl radical, or

$R^{8g}$  and  $R^{9g}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, where the ring/rings is/are of 5, 6 or 7 members, and  $R^{1g}$ - $R^{7g}$ ,  $A^g$  and  $ng$  are defined as above.

Particularly preferred is the use of sulfonamide derivatives of general formula (Ig), wherein  $R^{8g}$  and  $R^{9g}$ , identical or different, are hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, or

$R^{8g}$  and  $R^{9g}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of

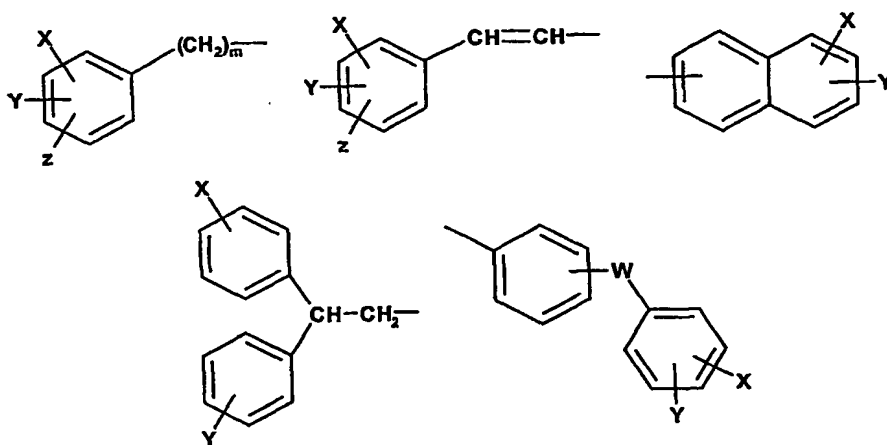


wherein  $R^{11}$ , if it is present, is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1$ - $C_2$  alkyl radical, and  $R^{1g}$ - $R^{9g}$ ,  $A^g$  and  $ng$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ig) are preferred, wherein A<sup>9</sup> represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted C<sub>1</sub>–C<sub>6</sub> alkylene group, an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkenylene group or an optionally at least mono-substituted C<sub>2</sub>–C<sub>6</sub> alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

preferably A<sup>9</sup> represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C<sub>1</sub>–C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>–C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>–C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and a -NR<sup>12</sup>R<sup>13</sup> radical,



wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

5  $W$  represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical,

wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

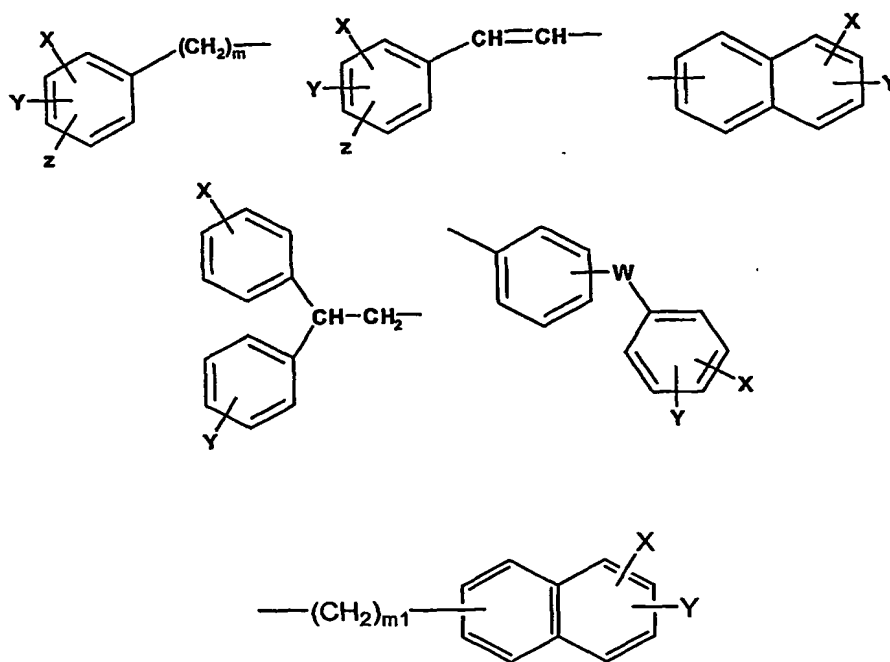
$m$  is 0, 1, 2, 3 or 4 and

10 and  $R^{19}$ - $R^{11g}$  and  $ng$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ig) are preferred, wherein  $A^9$  represents an optionally at least mono-substituted mono- or  
15 polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via an optionally at least mono-substituted  $C_1$ - $C_6$  alkylene group, an optionally at least mono-substituted  $C_2$ - $C_6$  alkenylene group or an optionally at least mono-substituted  $C_2$ - $C_6$  alkynylene group and/or wherein the ring(s) may contain at least one heteroatom as a ring member,

20 preferably  $A^9$  represents an optionally at least mono-substituted mono- or polycyclic aromatic ring system, wherein the ring(s) is/are 5- or 6-membered and wherein one or more of the rings contain at least one heteroatom,

25 or a radical chosen from the group consisting of



wherein X, Y, Z, independently from one another, each represent a radical selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and a - $NR^{12}R^{13}$  radical,

wherein  $R^{12}$  and  $R^{13}$ , identical or different, each represent hydrogen or linear or branched  $C_1$ - $C_6$  alkyl,

W represents a single chemical bond between the two rings, a  $CH_2$ , O, S group or a  $NR^{14}$  radical,

wherein  $R^{14}$  is hydrogen or a linear or branched  $C_1$ - $C_6$  alkyl,

m is 0, 1, 2, 3 or 4 and

$m_1$  is 1 or 2, preferably 2, and  $R^{19}$ - $R^{99}$  and g n are defined as above.

Also preferred are compounds of general formula (Ig),

wherein

5  $R^{1g}$  is a  $-NR^{8g}R^{9g}$  radical,

$R^{2g}$ ,  $R^{3g}$ ,  $R^{4g}$ ,  $R^{5g}$  and  $R^{6g}$  each represent hydrogen,

$R^{7g}$  represents hydrogen,

10  $R^{8g}$  and  $R^{9g}$ , identical or different, each represent methyl, ethyl, n-propyl or isopropyl, more preferably methyl,

or

15  $R^{8g}$  and  $R^{9g}$  together with the bridging nitrogen atom form a 5- or 6-membered heterocyclic ring, more preferably form a pyrrolidine or piperidine ring,

20  $A^g$  represents an aryl or heteroaryl radical selected from the group consisting of phenyl, naphthyl, benzo[b]thiophenyl and imidazo[2,1-b]thiazolyl which may be substituted by 1, 2 or 3 substituents selected from the group consisting of chlorine, methyl and phenyl and/or which may be bonded via a  $C_{1-2}$  alkylene group,

25 and

ng is 2;

30 optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding, physiologically acceptable salt thereof, or a corresponding solvate thereof.

Those most preferred ones are the sulfonamide derivatives of general formula Ig may be selected from the group consisting of:

- 5
- [1] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphtalene-1-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- 10 [3] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide and
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

15 and their corresponding salts and solvates.

Also most preferably the sulfonamide derivatives of general formula (Ig) may be selected from the group consisting of:

- 20 [1] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphtalene-1-sulfonamide,
- [2] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide,
- 25 [3] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide and
- [4] N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide
- 30 [5] 5-chloro-3-methyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)-benzo[b]thiophen-2-sulfonamide,

[6] N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)naphthalene-1-sulfonamide,

[7] 6-chloro-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)imidazo[2,1-b]thiazole-5-sulfonamide and

5

[8] 2-(naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)ethansulfonamide

and their corresponding salts and solvates.

10

The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (I<sub>g</sub>), particularly the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

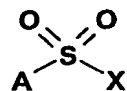
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Below, the residues R<sup>1</sup>-R<sup>7</sup>, A and n in the general formulas (II<sub>g</sub>) and (III<sub>g</sub>) are R<sup>1g</sup>-R<sup>7g</sup>, A<sup>g</sup> and n<sub>g</sub>.

20

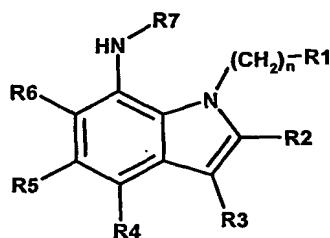
The derivatives of general formula (I<sub>g</sub>), wherein R<sup>1g</sup>-R<sup>9g</sup>, n<sub>g</sub> and A<sup>g</sup> have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (IIg),



(IIg)

wherein A has the previously mentioned meaning in the general formula (Ig), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted 7-aminoindole of general formula (IIIg)



(IIIg)

wherein R<sup>1</sup>-R<sup>7</sup> and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of general formula (Ig), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction between the compounds of general formula (IIg) and (IIIg) is usually carried out in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether or a cyclic ether, particularly tetrahydrofuran or dioxane, an halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent, particularly acetonitrile, pyridine or dimethylformamide,

or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

- 5 The reaction is preferably carried out in the presence of a suitable base, for example, an inorganic base such as alkaline metal hydroxides and carbonates, or in the presence of an organic base, particularly triethylamine or pyridine.

- 10 The most suitable reaction temperatures range between 0°C and room temperature, that is, approximately 25°C, and the reaction time is preferably comprised between 5 minutes and 24 hours.

- 15 The resulting sulfonamide derivative of general Formula (I<sub>g</sub>) can be purified and/or isolated according to conventional methods known in the state of the art.

- 20 Preferably, the sulfonamide derivatives of general Formula (I<sub>g</sub>) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

- 25 The compounds of general formula (II<sub>g</sub>) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [E.E.Gilbert, Synthesis, 1969, 1, 3]. The compounds of general formula (III<sub>g</sub>) can also be prepared according to standard methods known in the state of the art, for example by methods similar to those described in: [Abou-Gharbia, Magid; Patel, Usha; Tokolics, Joseph; Freed, Meier. European Journal of Medicinal Chemistry (1988), 23(4), 373-7].

30

The sulfonamide derivatives of general Formula (Ig), wherein  $R^{1g}$ ,  $ng$  and  $A^g$  have the previously indicated meaning and  $R^{7g}$  is an alkyl radical, preferably a linear or branched  $C_1$ - $C_6$  alkyl radical, optionally at least monosubstituted, they can also be prepared by alkylation of a sulfonamide derivative of general  
5 formula (Ig), wherein  $R^{1g}$ - $R^{6g}$ ,  $ng$  and  $A^g$  have the previously indicated meaning, and  $R^{7g}$  is an hydrogen atom, with an alkyl halogenide or dialkyl sulfate.

The alkylation reaction is carried out preferably in the presence of a suitable base, such as alkaline metal hydroxides and carbonates, metal hydrides,  
10 alkoxides such as sodium metoxide or potassium tert-butoxide, organometallic compounds such as butyllithium or tert-butyllithium, in the presence of an organic reaction medium such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofuran or dioxane, an hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, a dipolar aprotic solvent,  
15 particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Naturally, mixtures of at least two of the classes of the mentioned compounds or at least two compounds of one class can also be used.

20 The most suitable reaction temperatures range between  $0^{\circ}\text{C}$  and the boiling temperature of the reaction medium, and the reaction times are preferably comprised between 1 and 24 hours.

25 Preferably, the resulting sulfonamide derivative of general formula (Ig) can be isolated by filtration, concentrating the filtrate under reduced pressure, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.



The pharmaceutically acceptable salts of the compounds of general formula (Ig), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc., in a suitable solvent, such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ig) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ig) or of the salts, preferably the corresponding, physiologically acceptable salts, can be prepared by methods known in the state of the art.

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

If the sulfonamide derivatives of general formula (Ig) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

If one or more of the  $R^{2h}$ - $R^{8h}$  moieties, A and B, represent an alkyl radical, an alkenyl radical or an alkynyl radical, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy, or a phenyl radical optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, a linear or branched  $C_1$ - $C_6$  alkyl, a linear or branched  $C_1$ - $C_6$  alkoxy, a linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{11h}R^{12h}$  radical, where  $R^{11h}$  and  $R^{12h}$ , identical or different, are defined as  $R^{7h}$  and  $R^{8h}$ .

If  $R^{1h}$  is a saturated or unsaturated cycloaliphatic radical, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents and/or if it comprises a saturated or unsaturated, mono- or bi-cyclic cycloaliphatic ring system, optionally containing at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, more preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bi-cyclic cycloaliphatic ring can, independently from one another, be chosen preferably from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

If  $R^{7h}$  and  $R^{8h}$  together with the nitrogen atom to which they are bonded form a saturated or unsaturated heterocyclic ring, which can optionally contain at least one additional heteroatom as a ring member, which is substituted by one or more substituents and/or condensed with a saturated or unsaturated mono- or bi- cyclic cycloaliphatic ring system, which can contain at least one heteroatom as a ring member, which is substituted by one or more substituents, unless otherwise defined, each one of the substituents can preferably be chosen from the group consisting of hydroxy, fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy and benzyl, preferably from the group consisting of linear or branched  $C_1$ - $C_6$  alkyl and benzyl. If the heterocyclic ring contains one or more additional heteroatoms, and/or if one or both mono- or bi- cyclic rings contains one or more heteroatoms, these heteroatoms can, independently from one another, be preferably chosen from the group consisting of nitrogen, sulfur and oxygen, more preferably nitrogen as a heteroatom.

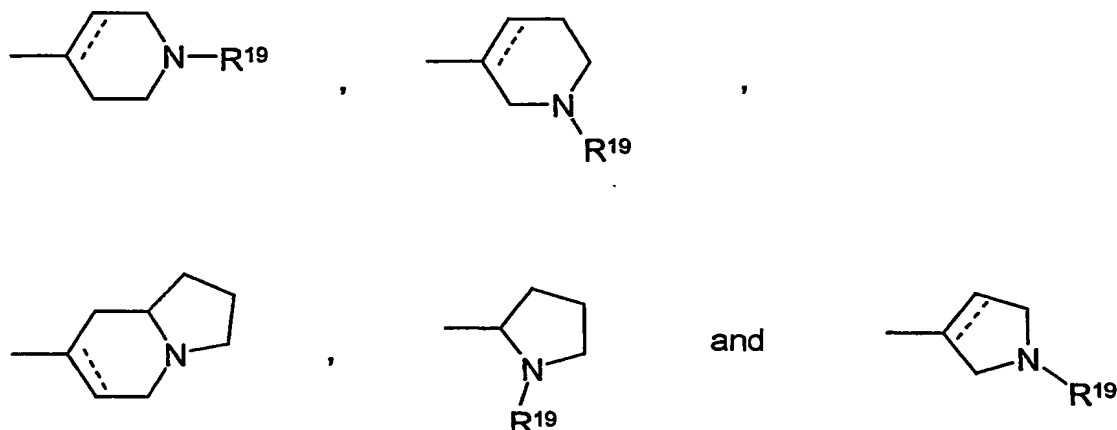
If  $A^h$  is an alkyl radical, an alkenyl radical or an alkynyl radical, which is substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  perfluoroalkyl, linear or branched  $C_1$ - $C_6$  perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched  $C_1$ - $C_6$  alkyl, linear or branched  $C_1$ - $C_6$  alkoxy, linear or branched  $C_1$ - $C_6$  alkylthio, a trifluoromethyl radical, a cyano radical and an  $NR^{13h}R^{14h}$  radical, where  $R^{13h}$  and  $R^{14h}$ , identical or different, are defined as  $R^{7h}$  and  $R^{8h}$ .

If B<sup>h</sup> is an alkyl radical, an alkenyl radical or an alkynyl radical, which substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy, or a phenyl radical optionally at least monosubstituted. If said phenyl radical is the same one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and an NR<sup>15h</sup>R<sup>16h</sup> radical, where R<sup>15h</sup> and R<sup>16h</sup>, identical or different, are defined as R<sup>7h</sup> and R<sup>8h</sup>.

If A<sup>h</sup> and B<sup>h</sup> together with the carbon atom to which they are bonded form a saturated or unsaturated, but not aromatic, cycloalkyl ring, substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of hydroxy, halogen, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> perfluoroalkoxy or a phenyl radical, optionally at least monosubstituted. If said phenyl radical is the one substituted by one or more substituents, each one of the substituents can preferably be chosen from the group consisting of fluorine, chlorine, bromine, linear or branched C<sub>1</sub>-C<sub>6</sub> alkyl, linear or branched C<sub>1</sub>-C<sub>6</sub> alkoxy, linear or branched C<sub>1</sub>-C<sub>6</sub> alkylthio, a trifluoromethyl radical, a cyano radical and an NR<sup>17h</sup>R<sup>18h</sup> radical, where R<sup>17h</sup> and R<sup>18h</sup>, identical or different, are defined as R<sup>7h</sup> and R<sup>8h</sup>.

Sulfonamide derivatives of general formula (Ih) are preferred, where R<sup>1h</sup> represents an NR<sup>7h</sup>R<sup>8h</sup> radical or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as a ring member containing mono- or bicyclic cycloaliphatic ring system, whereby the rings of the ring system are 5- or 6-

membered, preferably an  $-NR^{7h}R^{8h}$  radical or a radical chosen from the group consisting of



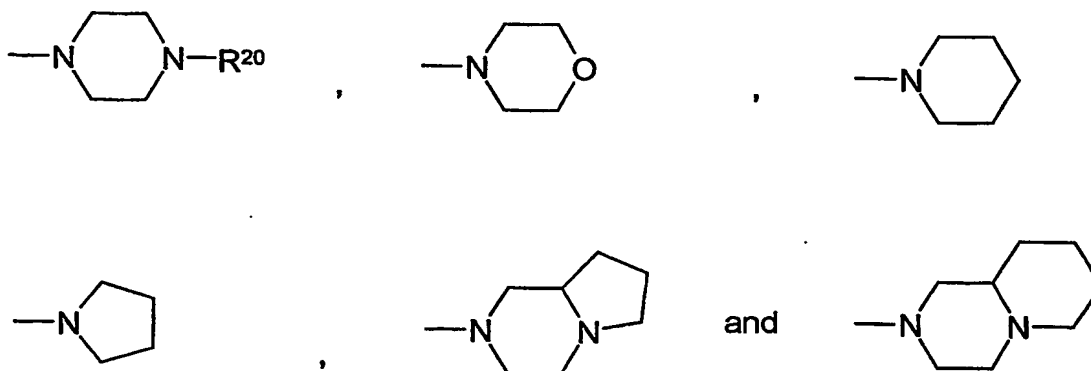
where, if present, the dotted line represents an optional chemical bond, and  $R^{19}$  is hydrogen, a linear or branched  $C_1$ - $C_6$  alkyl radical or a benzyl radical, preferably hydrogen or a  $C_1$ - $C_2$  alkyl radical, and  $R^{2h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ih) are also preferred, where  $R^{7h}$  and  $R^{8h}$ , identical or different, are hydrogen, a optionally at least monosubstituted, linear or branched  $C_{1-6}$  alkyl radical, a linear or branched optionally at least monosubstituted,  $C_{2-6}$  alkenyl radical, or a linear or branched optionally at least monosubstituted,  $C_{2-6}$  alkynyl, or

$R^{7h}$  and  $R^{8h}$ , together with the nitrogen atom bridge, form a saturated or unsaturated heterocyclic ring of 5 or 6 members, optionally at least monosubstituted, which can contain at least one additional heteroatom as a ring member, and/or can be condensed with a saturated or unsaturated, mono- or bi- cyclic cycloaliphatic ring system, optionally at least monosubstituted, which can optionally contain at least one heteroatom as a ring member, where the ring/rings is/are of 5, 6 or 7 members, and  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Particularly preferred are sulfonamide derivatives of general formula (Ih), where  $R^{7h}$  and  $R^{8h}$ , identical or different, are hydrogen or a linear or branched  $C_1-C_6$  alkyl radical, preferably a linear or branched  $C_1-C_6$  alkyl radical, or

- 5  $R^{7h}$  and  $R^{8h}$  together with the nitrogen atom bridge form a radical chosen from the group consisting of



where  $R^{20}$ , if present, is hydrogen, a linear or branched  $C_1-C_6$  alkyl radical or a benzyl radical, preferably hydrogen, or a  $C_1-C_2$  alkyl radical, and  $R^{1h}-R^{6h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Furthermore, sulfonamide derivatives of general formula (Ih) are preferred, where  $A^h$  and  $B^h$ , identical or different, are a linear or branched  $C_1-C_6$  alkyl radical, a linear or branched  $C_2-C_6$  alkenyl radical or a linear or branched  $C_1-C_6$  alkynyl radical, preferably a linear or branched  $C_1-C_6$  alkyl radical, or

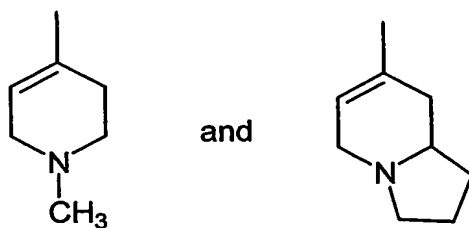
$A^h$  and  $B^h$ , together with the carbon atom to which they are bonded, form a saturated or unsaturated, but not aromatic, cycloalkyl ring, optionally substituted by one or more substituents, preferably a  $C_3-C_8$  cycloalkyl ring. Particularly preferably a cyclohexyl ring, and  $R^{1h}-R^{8h}$ ,  $A^h$ ,  $B^h$  and  $nh$  are defined as above.

Sulfonamide derivatives of general Formula (Ih) are also preferred, wherein  $R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$ ,  $R^{5h}$  and  $R^{6h}$ , identical or different, independently from one another, are, hydrogen, halogen, cyano, nitro,  $C_{1-6}$  alk(en/yn)yl,  $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylthio, hydroxy, trifluoromethyl,  $C_{3-8}$  cycloalk(en)yl,  $C_{1-6}$ -alkylcarbonyl, phenylcarbonyl  
 5 or a  $-NR^{9h}R^{10h}$  group, where where  $R^{9h}$  and  $R^{10h}$ , are defined as  $R^{7h}$  and  $R^{8h}$ .

Also preferred are compounds of general formula (Ih),

wherein

$R^{1h}$  represents an unsaturated, optionally at least one nitrogen atom as a ring member containing 5- or 6-membered cycloaliphatic radical, which may be substituted by a methyl group and/or which may be condensed with a 5-membered cycloaliphatic ring, more preferably  $R^1$  represents a moiety selected  
 15 from the group consisting of



$R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$  and  $R^{6h}$  each represent hydrogen,

20  $R^{5h}$  represents H, fluorine, chlorine, nitro or a  $-NR^{9h}R^{10h}$  group,

$R^{9h}$  and  $R^{10h}$  each represent hydrogen,

$A^h$  and  $B^h$  together with the carbon atom to which they are bonded form a saturated or unsaturated, but not aromatic,  $C_3$ - $C_8$  cycloalkyl ring, more preferably form a cyclohexyl ring,  
 25

and

nh is 0;

5 optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemate or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a salt thereof, preferably a corresponding physiologically acceptable salt thereof or a corresponding solvate thereof.

10

Those most preferred are the sulfonamide derivatives of general Formula (Ih), selected from the group consisting of:

- 15 [1] 1-Cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole,
- [2] 5-Chloro-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole,
- 20 [3] 5-Amino-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole and
- [4] 1-Cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole hydrochloride

25

and their corresponding salts and solvates.

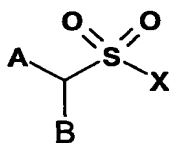
The present invention likewise refers to the physiologically acceptable salts of the compounds of general formula (Ih), particularly the addition salts of mineral  
30 acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.



Below, the residues  $R^1$ - $R^6$ , A, B and n in the general formulas (IIh) to (IVh) are  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and nh.

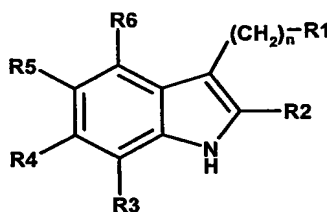
The derivatives of general formula (Ih), wherein  $R^{1h}$ - $R^{6h}$ ,  $A^h$ ,  $B^h$  and nh have the previously indicated meaning, may be preferably prepared in a way that:

At least one compound of general Formula (IIh),



(IIh)

wherein A and B have the previously mentioned meaning in the general formula (Ih), and X is an acceptable leaving group, preferably an halogen atom, more preferably chlorine; reacts with at least one substituted indole of general formula



(IIIh)

where  $R^1$ - $R^6$  and n have the previously indicated meaning, or one of their suitable protected derivatives, and, if necessary, the protective groups are removed in order to obtain the corresponding sulfonamide derivative of formula (Ih), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The reaction is preferably carried out in the presence of a suitable strong base, for example, lithium diisopropylamide, butyllithium, sodium hydride, or sodium bis(trimethylsilyl)amide in an inert solvent, such as tetrahydrofuran, hexane or dimethylformamide.

5

The most suitable reaction temperatures range between  $-100^{\circ}\text{C}$  and room temperature, and the reaction time is preferably comprised between 5 minutes and 24 hours. The preferred conditions are sodium hydride in dimethylformamide at approximately  $0^{\circ}\text{C}$ .

10

The resulting sulfonamide derivative of general formula (Ih) can be purified and/or isolated according to conventional methods known in the state of the art.

15

Preferably, the sulfonamide derivatives of general formula (Ih) can be isolated by evaporating the reaction medium, adding water and, if necessary, adjusting the pH so that a solid which can be isolated by filtration is obtained; or it can be extracted with a water immiscible solvent, such as chloroform, and be purified by chromatography or recrystallization of a suitable solvent.

20

The compounds of general formula (IIh) are commercially available, or they can be prepared according to standard methods known in the state of the art, for example by methods similar to those described in the literature [KHANNA, V.; TAMILSELVAN, P.; KALRA, S.J.S.; IQBAL, J.; Tetrahedron 1994, 35 (32), 5935-5938; L.N. Aristarkhova et al., *J. Org. Chem. USSR*, **1970**, 6, 2454-2458;

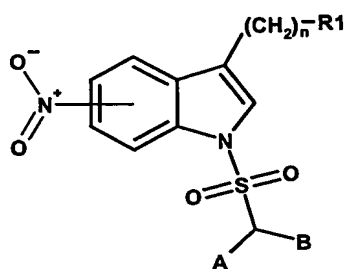
25

E.E. Gilbert, *Synthesis*, **1969**, 1,3]. The compounds of general Formula (IIIh) can also be prepared according to standard methods known in the state of the art, for example, methods similar to those described in the literature. Substituted aromatic 5-HT<sub>1f</sub> agonist, WO9846570. Piperidine-indole compounds having 5-HT<sub>6</sub> affinity, US 6,133,287.

30

The respective descriptions in the literature are incorporated by reference and form part of the disclosure.

The sulfonamide derivatives of general formula (Ih), wherein  $R^{2h}$ ,  $R^{3h}$ ,  $R^{4h}$ ,  $R^{5h}$  or  $R^{6h}$  are an amino group by reduction of the nitro group of derivatives of general formula (IVh) by methods known in the art, for example BRATTON, L.D.; ROTH, B.D.; TRIVEDI, B.K.; UNANGST, P.C.; J. Heterocycl Chem, 2000, 37 (5), 1103-1108. FANGHAENEL, E.; CHTCHEGLOV, D.; J Prakt Chem/Chem-Ztg, 1996, 338 (8), 731-737. KUYPER, L.F.; BACCANARI, D.P.; JONES, M.L.; HUNTER, R.N.; TANSIK, R.L.; JOYNER, S.S.; BOYTOS, C.M.; RUDOLPH, S.K.; KNICK, V.; WILSON, H.R.; CADDELL, J.M.; FRIEDMAN, H.S.; ET AL.; J Med Chem, 1996, 39 (4), 892-903,



(IVh)

and the others  $R^1$ - $R^6$ , A, B and n have the previously mentioned meaning, or one of their derivatives suitably protected, and, if necessary, the protective groups are removed in order to obtain the corresponding amine of general Formula (Ih), which can be purified and/or isolated by means of conventional methods known in the state of the art.

The respective literature descriptions are incorporated by reference and form part of the disclosure.

The pharmaceutically acceptable salts of the compounds of general Formula (Ih), can be prepared by means of conventional methods known in the state of the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, or with organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic acids, etc., in a suitable solvent, such as methanol, ethanol,

diethyl ether, ethyl acetate, acetonitrile or acetone, being obtained with the usual techniques for the precipitation or crystallization of the corresponding salts.

5 The preferred physiologically acceptable salts of the sulfonamide derivatives of general formula (Ih) are the addition salts of mineral acids, such as hydrochloric, hydrobromic, phosphoric, sulfuric, nitric acids, and of organic acids, such as citric, maleic, fumaric, tartaric acids, or their derivatives, *p*-toluenesulfonic, methanesulfonic, camphorsulfonic acids, etc.

10

The physiologically acceptable solvates, particularly hydrates, of the sulfonamide derivatives of general formula (Ih) or of the corresponding physiologically acceptable salts, can be prepared by methods known in the state of the art.

15

During some of the synthetic sequences described or in the preparation of the suitable reagents used, it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules used. This can be carried out by means of the use of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed. J.F.W. McOmie, Plenum Press, 1973; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & Sons, 1991]. The protective groups can be removed in the suitable subsequent stage by methods known in the state of the art. The respective literature descriptions are incorporated by reference and form part of the disclosure.

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If the sulfonamide derivatives of general Formula (Ih) are obtained in the form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures can be separated by means of standard processes known in the state of the art, for example chromatographic methods or crystallization with chiral agents.

The active substance combination according to this invention comprises preferably 1-99% by weight of the component (A) and 99-1% by weight of the component (B), more preferably 10-80% by weight of the component (A) and 90-20% by weight of the component (B), these percentages referring to the total weight of both components (A) and (B).

Another aspect of the present invention is a medicament, which comprises an inventive active substance combination and optionally one or more pharmacologically acceptable adjuvants.

Said medicament is particularly suitable for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y5-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome, for prophylaxis and/or treatment of Peripheral Nervous System Disorders, Central Nervous System Disorders, arthritis, epilepsy, anxiety, panic, depression, cognitive disorders, memory disorders, cardiovascular diseases, senile dementia processes, such as Alzheimer's, Parkinson's and/or Huntington's Disease, schizophrenia, psychosis, infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder), pain, hypertensive syndrome, inflammatory diseases, immunologic diseases or for improvement of cognition.

Said medicament is more particularly suitable for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y5-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract

disorders, preferably of the irritable bowel syndrome.

Another aspect of the present invention is the use of an inventive active substance combination for the manufacture of a medicament for simultaneous  
5 regulation of neuropeptide Y-receptors, preferably neuropeptide Y<sub>5</sub>-receptors, and 5-HT<sub>6</sub> receptors, for the regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-  
10 dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably of the irritable bowel syndrome, for prophylaxis and/or treatment of Peripheral Nervous System Disorders, Central Nervous System Disorders, arthritis, epilepsy, anxiety, panic, depression, preferably bipolar disorders, cognitive disorders, memory disorders,  
15 cardiovascular diseases, senile dementia processes, neurodegenerative disorders, preferably Alzheimer's disease, Parkinson's disease, Huntington's Disease and/or multiple sclerosis, schizophrenia, psychosis, infantile hyperkinesia (ADHD, attention deficit / hyperactivity disorder), pain, hypertensive syndrome, inflammatory diseases, immunologic diseases or for  
20 improvement of cognition.

Particularly preferred is the use of an inventive active substance combination for the manufacture of a medicament for simultaneous regulation of neuropeptide Y-receptors, preferably neuropeptide Y<sub>5</sub>-receptors, and 5-HT<sub>6</sub> receptors, for the  
25 regulation of appetite, for maintenance, increase or reduction of body weight, for prophylaxis and/or treatment of disorders related to food ingestion, preferably for prophylaxis and/or treatment of obesity, anorexia, cachexia, bulimia, diabetes, preferably type II diabetes (non-insulin-dependent diabetes mellitus), or for prophylaxis and/or treatment of gastrointestinal tract disorders, preferably  
30 of the irritable bowel syndrome.

Those skilled in the art understand that the components (A) and (B) of the active substance combination according to the present invention may be administered simultaneously or sequentially to one another, whereby in each case components (A) and (B) may be administered via the same or different administration pathways, e.g. orally or parenterally. preferably both components (A) and (B) are administered simultaneously in one and the same administration form.

Yet another aspect of the present invention are pharmaceutical formulations in different pharmaceutical forms comprising an inventive active substance combination and optionally one or more pharmacologically acceptable adjuvants.

As well known to somebody skilled in the art the pharmaceutical formulations may - depending on their route of administration, also contain one or more auxiliary substances known to those skilled in the art.

The pharmaceutical formulations according to the present invention may be produced according to standard procedures known to those skilled in the art, e.g. from the tables of contents from „Pharmaceutics: the Science of Dosage Forms“, Second Edition, Aulton, M.E. (Ed.) Churchill Livingstone, Edinburgh (2002); „Encyclopedia of Pharmaceutical Technology“, Second Edition, Swarbrick, J. and Boylan J.C. (Eds.), Marcel Dekker, Inc. New York (2002); „Modern Pharmaceutics“, Fourth Edition, Banker G.S. and Rhodes C.T. (Eds.) Marcel Dekker, Inc. New York 2002 and „The Theory and Practice of Industrial Pharmacy“, Lachman L., Lieberman H. and Kanig J. (Eds.), Lea & Febiger, Philadelphia (1986). The respective descriptions are incorporated by reference and are part of the disclosure.

Preferred pharmaceutical formulations are solid pharmaceutical forms, preferably tablets, chewing tablets, chewing gums, dragées, capsules, suppositories, powder preparations, transdermal therapeutic systems, transmucosal therapeutic systems, preferably tablets or capsules.

Preferred pharmaceutical formulations are also liquid and semi-liquid pharmaceutical forms such as drops or such as juice, sirup, solution, emulsion, suspension, preferably drops or solutions.

- 5 In an additional preferred embodiment, the pharmaceutical formulations are in the form of multiparticulates, preferably microtablets, microcapsules, microspheroids, granules, crystals or pellets, optionally compacted in a tablet, filled in a capsule or suspended in a suitable liquid.
- 10 The pharmaceutical formulations according to the present invention are particularly suitable for oral, intravenous, intramuscular, subcutaneous, intrathecal, epidural, buccal, sublingual, pulmonal, rectal, transdermal, nasal or intracerebroventricular application, more particularly for oral, intravenous or intraperitoneal application.
- 15 In one embodiment of the present invention the pharmaceutical formulation comprises at least one of the components (A) and (B) of the active substance combination at least partially in a sustained-release form.
- 20 By incorporating one or both of these components (A) and (B) at least partially or completely in a sustained-release form it is possible to extend the duration of their effect, allowing for the beneficial effects of such a sustained-release form, e.g. the maintenance of even concentrations in the blood.
- 25 Suitable sustained-release forms as well as materials and methods for their preparation are known to those skilled in the art, e.g. from the tables of contents from „Modified-Release Drug Delivery Technology“, Rathbone, M.J. Hadgraft, J. and Roberts, M.S. (Eds.), Marcel Dekker, Inc., New York (2002); „Handbook of Pharmaceutical Controlled Release Technology“, Wise, D.L. (Ed.), Marcel
- 30 Dekker, Inc. New York, (2000); „Controlled Drug Delivery“, Vol. I, Basic Concepts, Bruck, S.D. (Ed.), CRC Press Inc., Boca Raton (1983) and from Takada, K. and Yoshikawa, H., „Oral Drug delivery“, Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999),



Vol. 2, 728-742; Fix, J., „Oral drug delivery, small intestine and colon“, Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 698-728. The respective descriptions are incorporated by reference and are part of the disclosure.

5

If the pharmaceutical formulation according to the present invention comprises at least one of the components (A) and (B) at least partially in a sustained-release form, said sustained release may preferably be achieved by the application of at least one coating or provision of a matrix comprising at least one sustained-release material.

10

The sustained-release material is preferably based on an optionally modified, water-insoluble, natural, semisynthetic or synthetic polymer, or a natural, semisynthetic or synthetic wax or fat or fatty alcohol or fatty acid, or on a mixture of at least two of these afore mentioned components.

15

The water-insoluble polymers used to produce a sustained-release material are preferably based on an acrylic resin, which is preferably selected from the group of poly(meth)acrylates, particularly preferably poly(C<sub>1-4</sub>)alkyl (meth)acrylates, poly(C<sub>1-4</sub>)dialkylamino(C<sub>1-4</sub>)alkyl (meth)acrylates and/or copolymers or mixtures thereof, and very particularly preferably copolymers of ethyl acrylate and methyl methacrylate with a monomer molar ratio of 2:1 (Eudragit NE30D®), copolymers of ethyl acrylate, methyl methacrylate and trimethylammonium ethyl methacrylate-chloride with a monomer molar ratio of 1:2:0.1 (Eudragit RS®), copolymers of ethyl acrylate, methyl methacrylate and trimethylammonium ethyl methacrylate-chloride with a monomer molar ratio of 1:2:0.2 (Eudragit RL®), or a mixture of at least two of the above-mentioned copolymers. These coating materials are commercially available as 30 wt.% aqueous latex dispersions, i.e. as Eudragit RS30D®, Eudragit NE30D® or Eudragit RL30D®, and may also be used as such for coating purposes.

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30

In another embodiment, the sustained-release material is based on water-insoluble cellulose derivatives, preferably alkyl celluloses, particularly preferably ethyl cellulose, or cellulose esters, e.g. cellulose acetate. Aqueous ethyl cellulose dispersions are commercially available, for example, under the trademarks Aquacoat® or Surelease®.

As natural, semisynthetic or synthetic waxes, fats or fatty alcohols, the sustained-release material may be based on carnauba wax, beeswax, glycerol monostearate, glycerol monobehenate, glycerol ditripalmitostearate, microcrystalline wax, cetyl alcohol, cetylstearyl alcohol or a mixture of at least two of these components.

The afore mentioned polymers of the sustained-release material may also comprise a conventional, physiologically acceptable plasticizer in amounts known to those skilled in the art.

Examples of suitable plasticizers are lipophilic diesters of a C<sub>6</sub>-C<sub>40</sub> aliphatic or aromatic dicarboxylic acid and a C<sub>1</sub>-C<sub>8</sub> aliphatic alcohol, e.g. dibutyl phthalate, diethyl phthalate, dibutyl sebacate or diethyl sebacate, hydrophilic or lipophilic citric acid esters, e.g. triethyl citrate, tributyl citrate, acetyltributyl citrate or acetyltriethyl citrate, polyethylene glycols, propylene glycol, glycerol esters, e.g. triacetin, Myvacet® (acetylated mono- and diglycerides, C<sub>23</sub>H<sub>44</sub>O<sub>5</sub> to C<sub>25</sub>H<sub>47</sub>O<sub>7</sub>), medium-chain triglycerides (Miglyol®), oleic acid or mixtures of at least two of said plasticizers.

Aqueous dispersions of Eudragit RS® and optionally Eudragit RL® preferably contain triethyl citrate. The sustained-release material may comprise one or more plasticisers in amounts of, for example, 5 to 50 wt.% based on the amount of polymer(s) used.

The sustained-release material may also contain other conventional auxiliary substances known to those skilled in the art, e.g. lubricants, coloured pigments or surfactants.

5 The pharmaceutical formulation of the present invention may also comprise at least one of the components (A) and (B) covered by an enteric coating form which dissolves as a function of pH. Because of this coating, part or all of the pharmaceutical formulation can pass through the stomach undissolved and the components (A) and/or (B) are only released in the intestinal tract. The enteric  
10 coating preferably dissolves at a pH of between 5 and 7.5.

The enteric coating may be based on any enteric material known to those skilled in the art, e.g. on methacrylic acid/methyl methacrylate copolymers with a monomer molar ratio of 1:1 (Eudragit L<sup>®</sup>), methacrylic acid/methyl  
15 methacrylate copolymers with a monomer molar ratio of 1:2 (Eudragit S<sup>®</sup>), methacrylic acid/ethyl acrylate copolymers with a monomer molar ratio of 1:1 (Eudragit L30D-55<sup>®</sup>), methacrylic acid/methyl acrylate/methyl methacrylate copolymers with a monomer molar ratio of 7:3:1 (Eudragit FS<sup>®</sup>), shellac, hydroxypropyl methyl cellulose acetate-succinates, cellulose acetate-phthalates  
20 or a mixture of at least two of these components, which can optionally also be used in combination with the above-mentioned water-insoluble poly(meth)acrylates, preferably in combination with Eudragit NE30D<sup>®</sup> and/or Eudragit RL<sup>®</sup> and/or Eudragit RS<sup>®</sup>.

25 The coatings of the pharmaceutical formulations of the present invention may be applied by the conventional processes known to those skilled in the art, e.g. from Johnson, J.L., „Pharmaceutical tablet coating“, Coatings Technology Handbook (Second Edition), Satas, D. and Tracton, A.A. (Eds), Marcel Dekker, Inc. New York, (2001), 863-866; Carstensen, T., „Coating Tablets in Advanced  
30 Pharmaceutical Solids“, Swarbrick, J. (Ed.), Marcel Dekker, Inc. New York (2001), 455-468; Leopold, C.S., „Coated dosage forms for colon-specific drug delivery“, Pharmaceutical Science & Technology Today, 2(5), 197-204 (1999),

Rhodes, C.T. and Porter, S.C., Coatings, in Encyclopedia of Controlled Drug Delivery. Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 1, 299-311. The respective descriptions are incorporated by reference and are part of the disclosure.

5

In another embodiment, the pharmaceutical formulation of the present invention contains one or both of components (A) and (B) not only in sustained-release form, but also in non-sustained-release form. By combination with the immediately released form, a high initial dose can be achieved for the rapid onset of the beneficial effect. The slow release from the sustained-release form then prevents the beneficial effect from diminishing. Such a pharmaceutical formulation is particularly useful for the treatment of acute health problems.

10

This may be achieved, for example, by a pharmaceutical formulation having at least one immediate-release coating comprising at least one of the components (A) and (B) to provide for rapid onset of the beneficial effect after administration to the patient.

15

## Pharmacological Methods

### MEASUREMENTS OF FOOD INGESTION (BEHAVIOURAL MODEL)

- 5 Male W rats (200-270 g) from Harlan, S.A. are used. The animals are acclimatized to the housings during at least 5 days prior to being subjected to any treatment. During this period, the animals are housed (in groups of five) in translucent cages and have free access to water and food. The animals are housed in individual cages at least 24 hours prior to starting the treatment.
- 10 The effect of the active substance combination and of each one of the components (A) and (B) on food ingestion in rats in fasting conditions is then determined as follows:
- 15 The rats are kept in fasting conditions for 23 hours in their individual cages. After this period, the rats are distributed in four groups. To three of these groups doses of the component (A) (with vehicle), of the component (B) (with vehicle) and of the active substance combination (vehicle) have been administered respectively by the intraperitoneal route. To the fourth group just vehicle has
- 20 been administered in the same way.
- Immediately after this, the rat is left in the cage with pre-weighed food and the accumulated food intake is measured after 1, 2, 4 and 6 hours.
- 25 This food ingestion measuring method is also described in publications of Kask et al., *European Journal of Pharmacology* 414 (2001), 215-224, and Turnbull et al., *Diabetes*, Vol. 51, August, 2002. The respective bibliographic descriptions are incorporated as a reference and they form part of the disclosure.
- 30

**NEUROPEPTIDE Y5 RECEPTOR BINDING STUDIES:**

The methods used for membrane preparation and binding are similar to those described by Y. Hu, B. T. Bloomquist et al. in Y. Hu, B. T. Bloomquist et al., The Journal of Biological Chemistry, 1996, 271, 26315-26319 with modifications. Said literature description is herewith incorporated by reference and forms part of the disclosure. Cells C6 were transfected with the rat Y5 receptor. The cells were grown under standard culture conditions in 150 cm<sup>2</sup> dishes and they were harvested using a rubber scraper and 10 ml PBS. The cells from five dishes were collected and centrifuged 2.500 g for 5 min (4°C). The pellet was washed by resuspending in 3 ml buffer (Tris-HCl 10 mM, pH 7.4), homogenized using a Potter S homogenizer, 10 strokes at 600 rpm and centrifuged 48.000 g for 20 min (4°C). The pellet was resuspended in 8 ml membrane buffer (Tris-HCl 25 mM, NaCl 120 mM, KCl 5 mM, KH<sub>2</sub>PO<sub>4</sub> 1,2 mM, CaCl<sub>2</sub> 2,5 mM, MgSO<sub>4</sub> 1,2 mM, BSA 0,15 mg/ml, Bacitracine 0,5 mg/ml, pH 7,4) and rehomogenized using the Potter S, 10 strokes at 600 rpm. The protein concentration in the incubation was 40 µg/ml. The radioligand was [<sup>125</sup>I]-PYY (100 pM) in a total incubation volume of 200 µl. Following incubation at 25°C for 2 h, the reaction was stopped by addition of 5 ml ice-cold buffer (Tris-HCl 25 mM, NaCl 120 mM, KCl 5 mM, KH<sub>2</sub>PO<sub>4</sub> 1,2 mM, CaCl<sub>2</sub> 2,5 mM, MgSO<sub>4</sub> 1,2 mM, pH 7,4) and rapid filtration in a Harvester Brandell Cell using filters (Schleicher & Schuell GF 3362) pretreated for two hours with 0,5% polyethyleneimine. Filters were washed one time with 5 ml ice-cold buffer. The filters were placed into plastic scintillation vials and 5 ml scintillation cocktail Ecoscint H were added. The quantity of radioactivity present was determined in a Wallac Winspectral 1414 counter. Non specific binding was determined in the presence of 1 µM de pNPY. All binding assays were done in triplicate.

## BINDING TO NEUROPEPTIDE Y<sub>2</sub> RECEPTOR

The experimental protocol follows the method by Y. Dumont et al. as described in Y. Dumont, A. Fournier, S. St-Pierre, R. Quirion: Characterization of  
5 Neuropeptide Y Binding Sites in Rat Brain Preparations Using [<sup>125</sup>I][Leu<sup>31</sup>,  
Pro<sup>34</sup>]Peptide YY and [<sup>125</sup>I]Peptide YY<sub>3-36</sub> as Selective Y1 and Y2 Radioligands, The Journal of Pharmacology and Experimental Therapeutics, 1995, 272, 673-680, with slight modifications. Said literature description is herewith incorporated by reference and forms part of the disclosure.

10 Male Wistar rats are sacrificed by decapitation, their brains are rapidly removed and the hypoccampus is dissected. Homogenization is performed in cold conditions in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose, pH 7.4, by means of a Ultra-Turrax homogenizer for 15 seconds at 13,500 rpm. The ratio between  
15 fresh tissue weight and buffer volume is of ten times. The membrane is centrifuged for 10 min at 48,000 g. The supernatant is discarded and the pellet is washed, resuspended and recentrifuged two more times. The final membrane resuspension is performed in the buffer: 120 mM NaCl, 4.7 mM KCl, 2.2 mM CaCl<sub>2</sub>, 1.2 mM KH<sub>2</sub>PO<sub>4</sub>, 1.2 mM MgSO<sub>4</sub>, 25 mM NaHCO<sub>3</sub>, 5.5 mM glucose,  
20 0.1% BSA, 0.05% bacitracin, pH 7.4, at a 90 ml/g ratio of fresh issue. The radioligand used is [<sup>125</sup>I]-PYY<sub>3-36</sub> at the concentration of 28 pM. Incubation volume: 500 µl. Incubation is performed at 25 °C for 150 minutes and ended by rapid filtration in a Harvester Brandel Cell through fiber glass filters of the brand Schleicher & Schuell GF 3362 pretreated with a 0.5% polyethylenimine  
25 solution. The filters are cold-washed three times with three milliliters of the same buffer used in homogenization. The filters are transferred to vials and 5 ml of Ecoscint H liquid scintillation cocktail are added to each vial. The vials are allowed to reach steady state for a few hours before counting in a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the  
30 presence of 1 µM of pNPY (Neuropeptide Y of porcine origin). The assays are performed in triplicate.

## BINDING TO THE 5HT<sub>6</sub> SEROTONIN RECEPTOR

HEK-293 cell membranes expressing the recombinant human 5HT<sub>6</sub> receptor were supplied by Receptor Biology. The receptor concentration in said membranes is 2.18 pmol/mg of protein and the protein concentration is 9.17 mg/ml. The experimental protocol follows the method of B.L. Roth et al. [B.L. Roth, S.C. Craig, M.S. Choudhary, A. Uluer, F.J. Monsma, Y. Shen, H.Y. Meltzer, D.R. Sibley: Binding of Typical and Atypical Antipsychotic Agents to 5-Hydroxytryptamine-6 and Hydroxytryptamine-7 Receptors. *The Journal of Pharmacology and Experimental Therapeutics*, 1994, 268, 1403], with following slight modifications. The respective part of the literature descriptions is incorporated here by reference and form part of the disclosure. The commercial membrane is diluted (1:40 dilution) with the binding buffer: 50 mM Tris-HCl, 10 mM MgCl<sub>2</sub>, 0.5 mM EDTA (pH 7.4). The radioligand used is [<sup>3</sup>H]-LSD at a concentration of 2.7 nM, the final volume being 200 µl. Incubation begins by adding 100 µl of the membrane suspension (≈ 22.9 µg of membrane protein), and is prolonged for 60 minutes at a temperature of 37°C. Incubation ends by quick filtration in a Harvester Brandel Cell through fiberglass filters of the Schleicher & Schuell GF 3362 trademark, pretreated with a 0.5% polyethyleneimine solution. The filters are washed three times with three milliliters of 50 mM Tris HCl buffer, pH 7.4. The filters are transferred to vials and 5 ml of Ecoscint H. liquid scintillation cocktail are added to each vial. The vials are left to equilibrate for several hours prior to their counting in a 1414 Wallac Winspectral scintillation counter. The non-specific binding is determined in the presence of 100 µM of serotonin. The assays are carried out in triplicate. The inhibition constants (K<sub>i</sub>, nM) are calculated by non-linear regression analysis using the EBDA/LIGAND program [Munson and Rodbard, *Analytical Biochemistry*, 1980, 107, 220], which is incorporated here by reference and form part of the disclosure.

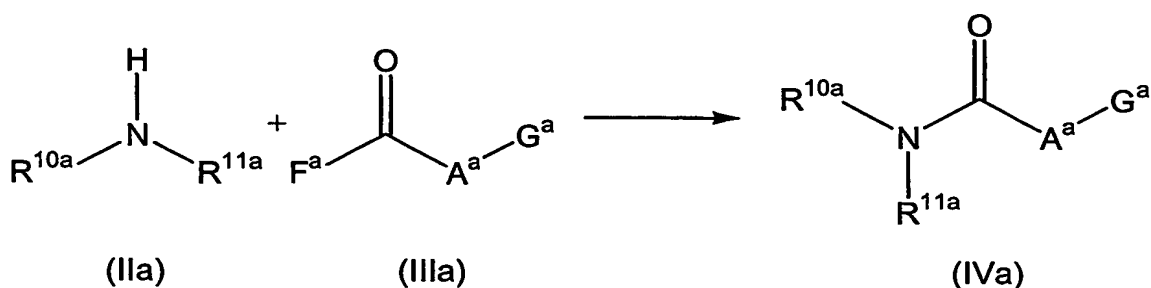


The present invention is illustrated below by the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

## 5 Examples:

### Preparation of the compounds of general formula (Ia):

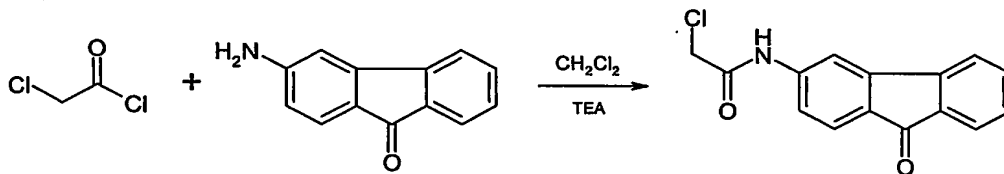
General method for obtaining haloamides derived of the general formula (IVa)



The haloamides used for obtaining the products object of our invention are either marketed ones or have been prepared according to the scheme 2, employing conventional methods. Essentially the corresponding amines are reacted with chloroacetyl chloride or with a derivative of the general formula (IIIa), the reaction is carried out using an organic solvent, usually dichloromethane, and a base, usually triethylamine.

### EXAMPLE A :

#### 20 2-Chloro-N-(9-oxo-9H-fluoren-3-yl)-acetamide

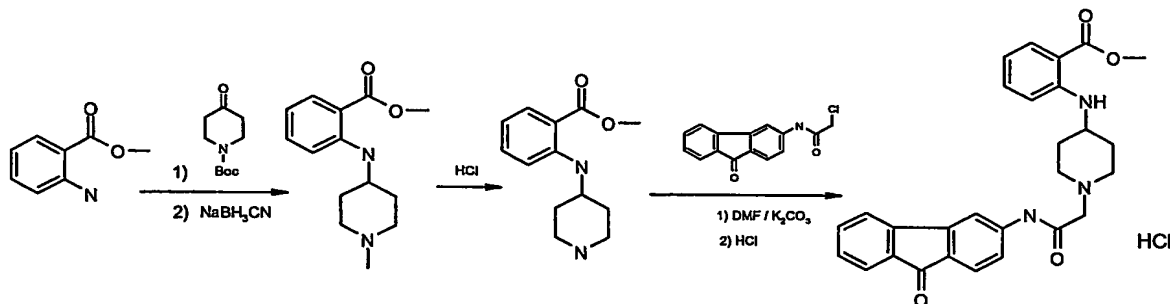


A solution of 3-amino-9-fluorenone ( 1.95 g, 10 mmols ), triethylamine ( 2.07 ml, 15 mmols), in 25 ml of dried dichloromethane, is cooled to 10° C and a solution of chloroacetyl chloride (1.18g, 10.5 mmoles) in 10 ml of dried dichloromethane is then added drop by drop. It is kepted under stirring during 1 hour and at room temperature overnight. It is washed 2x30 ml of water, dried over sodium sulfate and evaporated. 2,63 g 2-Chloro-N-(9-oxo-9H-fluoren-3-yl)-acetamide (97%) are obtained.

<sup>1</sup>H NMR (d<sub>6</sub>-DMSO): 10.7 (s, 1H), 7.98 (s, 1H), 7.66 (d, 1H), 7.57 (m, 3H), 7.50 (d, 1H), 7.37 (t, 1H), 4,32 (s, 2H)

#### EXAMPLE 6a :

**2-{1-[(9-Oxo-9H-fluoren-3-yl-carbamoyl)-methyl]-piperidin-4-yl-amino}benzoic acid methyl ester chlorohydrate**



**a) 4-(2-metoxycarbonyl-phenylamino)-piperidine-1- tert-butylcarboxylate.**

A solution of 1-(*tert*-butoxycarbonyl)-4-piperidinone (2 g, 0.01 mol), methyl anthranilate (1.66 g, 0.011 mol) y acetic acid (1.4 ml, 0.022 mol) in dried toluene (50 mL) were heated at reflux temperature, removing the water by means of azeotropic distillation with a Dean-Stark, over 30 hours. Then, the mixture was cooled and concentrated under vacuum to the half of the volume. NaBH<sub>3</sub>CN (2 g, 0.032 mol) and dried THF (30 mL) is added to a resulting solution.

Afterwards, acetic acid (1 mL, 0.017 mol) was added drop by drop over one hour (1 mL, 0.017 mol). The reaction was stirred at room temperature over 24 hours. The mixture was concentrated under vacuum and the residue was solved in ethyl acetate (75 mL), washed with a saturated NaHCO<sub>3</sub> (4 x 25 mL) and a saturated NaCl solution (25 mL), dried and evaporated to dryness. This raw material was used in the following step.

**b) 2-(Piperidine-4-yl-amino)-methyl benzoate**

A solution of 3.2 g of the previous raw material in 40 mL of dried ethyl acetate, was cooled to 0°C. Then a 5 M hydrogen chloride solution in ethyl ether (40 mL) was added and the resulting mixture was kept at 0°C over 4 hours. The solvent was evaporated and the residue was suspended in water and was alcalinized with sodium hydroxide, and was extracted with chloroform (3 x 20 mL), the combined organic extracts were washed with water, dried over sodium sulfate and were evaporated. The raw material was passed through a chromatographic column by eluting with chloroform:methanol 9:1.

In this way 1,45 g of a yellow solid is obtained.

IR (cm<sup>-1</sup>) KBr.: 3349, 3232, 2941, 2812, 1686, 1578, 1518, 1436, 1253, 1162, 1079, 742.

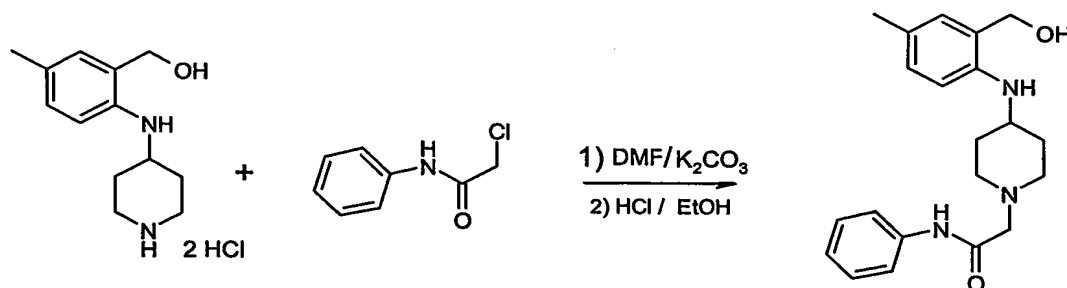
M.P.: 113-115° C

**c) 2-{1-[(9-Oxo-9H-fluoren-3-yl-carbamoyl)-methyl]-piperidine-4-yl-amino}benzoic acid methyl ester chloride**

A mixture of 2-(Piperidine-4-yl-amino)-methyl benzoate (1100 mg, 4.70 mmol), 2-Chloro-N-(9-oxo-9H-fluoren-3-yl)-acetamide (1358 mg, 5 mmol) and  $K_2CO_3$  (1380 mg, 10 mmol) in DMF (40 mL) were kept under stirring at 10°C over 2 hours and at room temperature overnight. The mixture of reaction was dropped over 50 mL water and 100 mL ethyl acetate, the organic phase was decanted and was washed with water (3 x 50 mL), was dried over sodium sulfate and a 2.8 M hydrogen chloride solution in absolute ethanol (1.80 mL) was added, the hydrochloride precipitated, was filtrated and was washed with ethyl acetate. 1840 mg of a white solid were obtained. Yield: 77%.

#### EXAMPLE 7a.

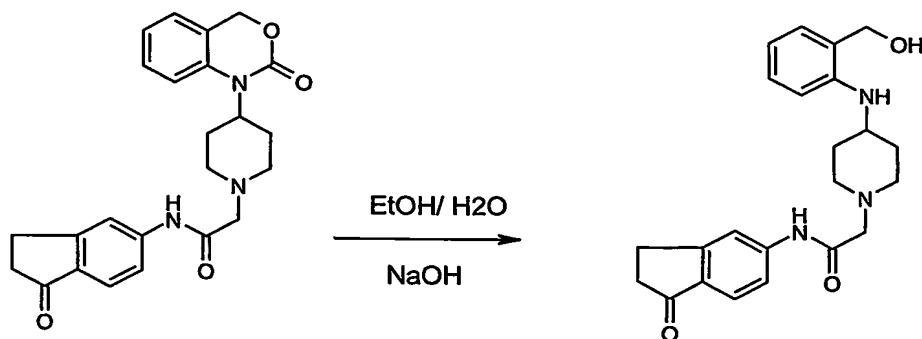
Preparation of: 2-[4-2(2-Hidroxymethyl-4-methyl-phenylamino)-piperidine-1-yl]-N-phenyl-acetamide.



A mixture of 4-methyl-(2-hydroxymethylphenylamino)piperidine dihydrochloride (234mg, 0,80 mmol), 2-chloro-N-phenylacetamide (149 mg, 0,88 mmol) and  $K_2CO_3$  (440 mg, 3.20 mmol) in DMF (10 mL) is kept under stirring at room temperature overnight. The solvent is evaporated and then  $H_2O$  (15 mL) are added and the formed precipitate is extracted with ethyl acetate, washed with water, dried and evaporated to dryness. The raw material crystallizes from ethyl acetate, is filtrated and dried. 178 mg of a white solid are obtained. Yield: 63%.

**EXAMPLE 8a.**

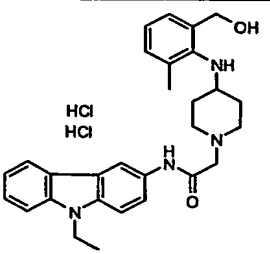
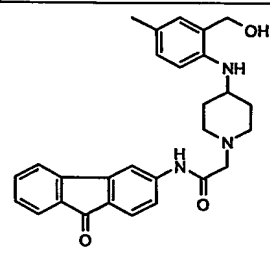
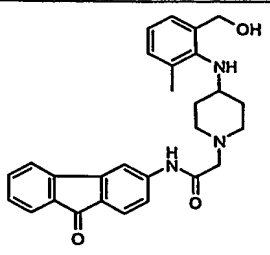
Preparation of 2-[4-(2-Hydroxymethyl-phenylamino)-piperidine-1-yl]-N-(1-oxo-indan-5-yl)-acetamide.:

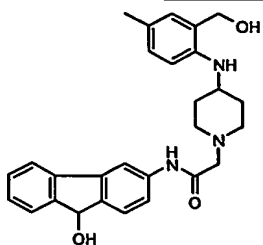


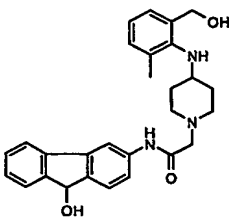
5 mL 10% sodium hydroxide were added to a suspension of 2-[4-(2-oxo-4H-benzo[d][1,3]oxazin-1-yl)-piperidine-1-yl]-N-(1-oxo-indan-5-yl)-acetamide (25 mg, 0.06 mmols) in 5 mL ethanol, it was heated at 50°C over 2 hours, was cooled, the ethanol was evaporated and the aqueous phase was neutralized and was extracted with methylene chloride (2x15mL). The organic extracts were washed with water, dried over sodium sulfate and evaporated to dryness. The raw material of reaction was passed through a silica gel column, eluting with ethyl acetate. 15 mg of a white solid were obtained, with a yield of 64%.

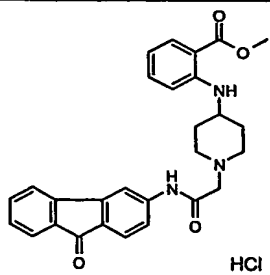
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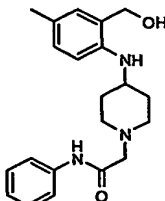
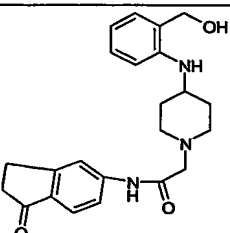
15

Ex. 1a		<p>N-(9-Ethyl-9H-carbazol-3-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidine-yl]acetamide dihydrochloride</p> <p>1H-NMR 1H NMR (300 MHz, DMSO-d<sub>6</sub>) δ ppm: 1.3 (t, <i>J</i>=7.0 Hz, 3 H) 2.1 (s, 4 H) 2.4 (s, 3 H) 3.2 (m, 2H) 3.5-4.1 (4 H) 4.2 (s, 2 H) 4.4 (m, 2 H) 4.7 (s, 2 H) 7.2 (m, 4 H) 7.4 (t, <i>J</i>=7.7 Hz, 1 H) 7.6 (d, <i>J</i>=8.2 Hz, 3 H) 8.0 (d, <i>J</i>=7.7 Hz, 1 H) 8.4 (s, 1 H) 10.3(s,1 H) 11.0 (s, 1 H)</p> <p>IR (KBr) : 3398, 2974, 1685, 1597, 1560, 1491, 1471, 1230,749.</p> <p>Melting point: 218-222 °C</p>
Ex. 2a		<p>2-[4-(2-Hydroxymethyl-phenylamino)-piperidine-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide</p> <p>1H NMR (300 MHz, CDCl<sub>3</sub>-d) δ ppm: 1.6 (m, 2 H) 2.2 (d, <i>J</i>=13.9 Hz, 2 H) 2.2 (s, 3 H) 2.5 (t, <i>J</i>=10.2 Hz, 2 H) 2.9 (d, <i>J</i>=10.6 Hz, 2 H) 3.2 (s, 2 H) 3.4 (m, 1 H) 4.7 (s, 2 H) 6.6 (d, <i>J</i>=8.2 Hz, 1 H) 6.9 (d, <i>J</i>=1.6 Hz, 1 H) 7.0 (dd, <i>J</i>=8.1, 1.7 Hz, 1 H) 7.3 (m, 2 H) 7.5 (td, <i>J</i>=7.4, 1.1 Hz, 1 H) 7.6 (m, 3 H) 8.0 (d, <i>J</i>=1.6 Hz, 1 H) 9.5 (s, 1 H)</p> <p>IR (KBr): 3330, 3148, 1710, 1590, 1516, 1291, 1109, 980, 722</p> <p>Melting point: 152 °C</p>
Ex. 3a		<p>2-[4-(2-Hydroxymethyl-6-methyl-phenylamino)-piperidine-1-yl]-N-(9-oxo-9H-fluoren-3-yl)-acetamide</p> <p>1H NMR (300 MHz, CDCl<sub>3</sub>-d) δ ppm: 1.6 (d, <i>J</i>=11.8, 2 H) 2.0 (d, <i>J</i>=12.3 Hz, 2 H) 2.3 (s, 3 H) 2.4 (m, 2 H) 2.9 (d, <i>J</i>=7.0 Hz, 2 H) 3.1 (m, 1 H) 3.2 (s, 2 H) 4.7 (s, 2 H) 6.9 (t, <i>J</i>=7.4 Hz, 1 H) 7.0 (m, 1 H) 7.1 (d, <i>J</i>=9.2 Hz, 1 H) 7.3 (m, 2 H) 7.5 (td, <i>J</i>=7.4, 1.1 Hz, 1 H) 7.6 (m, 3 H) 8.0 (d, <i>J</i>=1.8 Hz, 1 H) 9.4 (s, 1 H)</p> <p>IR (KBr) :.3414, 3269, 2920, 1710, 1692,1609, 1508, 1230,1101, 1002, 737</p> <p>Melting point: 113 °C</p>

Ex. 4a	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-4-methyl-phenylamino)-piperidine-1-yl]-acetamide	
		1H NMR (300 MHz, CDCl <sub>3</sub> -d) $\delta$ ppm: 1.6 (m, 2 H) 2.1 (d, $J=14.3$ Hz, 2 H) 2.2 (s, 3 H) 2.5 (m, 2 H) 2.9 (d, $J=12.5$ Hz, 2 H) 3.1 (s, 2 H) 3.4 (m, 1 H) 4.6 (s, 2 H) 5.6 (s, 1 H) 6.6 (d, $J=8.2$ Hz, 1 H) 6.9 (d, $J=1.8$ Hz, 1 H) 7.0 (dd, $J=8.1$ , 1.9 Hz, 1 H) 7.4 (m, 3 H) 7.6 (m, 3 H) 8.0 (d, $J=1.8$ Hz, 1 H) 9.3 (s, 1 H)
	IR (KBr) : 3300, 2920, 1670, 1613, 1521, 1025, 767	
	Melting point: 124 °C	

Ex. 5a	N-(9-Hydroxy-9H-fluoren-3-yl)-2-[4-(2-hydroxymethyl-6-methyl-phenylamino)-piperidine-1-yl]-acetamide	
		1H NMR (300 MHz, CDCl <sub>3</sub> -d) $\delta$ ppm: 1.6 (m, 2 H) 2.0 (d, $J=10.4$ Hz, 2 H) 2.3 (m, 5 H) 2.9 (d, $J=11.9$ Hz, 2 H) 3.0 (m, 1 H) 3.1 (s, 2 H) 4.7 (s, 2 H) 5.6 (s, 1 H) 6.9 (t, $J=7.4$ Hz, 1 H) 7.0 (m, 1 H) 7.1 (d, $J=9.0$ Hz, 1 H) 7.4 (m, 3 H) 7.6 (m, 3 H) 8.0 (d, $J=2.0$ Hz, 1 H) 9.2 (s, 1 H)
	IR (KBr): 3315, 2927, 1676, 1527, 1097, 1025, 771, 737	
	Melting point: 133° C	

Ex. 6a	2-{1-[(9-Oxo-9H-fluoren-3-yl-carbamoyl)-methyl]-piperidine-4-yl-amino}benzoic acid methyl ester hydrochloride	
		1H NMR (300 MHz, DMSO-d <sub>6</sub> ) $\delta$ ppm: 1.8 (m, 2 H) 2.2 (d, $J=13.8$ Hz, 2 H) 3.3 (m, 2 H) 3.6 (d, $J=10.8$ Hz, 2 H) 3.8 (s, 3 H) 3.8 (m, 1 H) 4.2 (s, 2 H) 6.6 (t, $J=7.8$ Hz, 1 H) 6.9 (d, $J=8.6$ Hz, 1 H) 7.3 (m, 2 H) 7.5 (m, 4 H) 7.6 (m, 1 H) 7.8 (dd, $J=8.0$ , 1.6 Hz, 1 H) 8.0 (d, $J=1.3$ Hz, 1 H) 10.1 (s, 1 H) 11.1 (s, 1 H)
	IR (KBr): 2946, 2539, 1700, 1684, 1603, 1560, 1255, 748	
	Melting point: 258 °C	

Ex. 7a	2-[4-(2-Hydroxymethyl-4-methyl-phenylamino)-piperidine-1-yl]-N-phenyl-acetamide	
		1H NMR (300 MHz, CDCl <sub>3</sub> -d) $\delta$ ppm: 1.6 (m, 2 H) 2.1 (d, $J$ =13.0 Hz, 2 H) 2.2 (s, 3 H) 2.4 (t, $J$ =10.3 Hz, 2 H) 2.9 (d, $J$ =11.9 Hz, 2 H) 3.1 (s, 2 H) 3.4 (m, 1 H) 4.6 (s, 2 H) 6.6 (d, $J$ =8.2 Hz, 1 H) 6.9 (s, 1 H) 7.0 (dd, $J$ =8.2, 1.5 Hz, 1 H) 7.1 (t, $J$ =7.4 Hz, 1 H) 7.3 (t, $J$ =7.9 Hz, 2 H) 7.6 (d, $J$ =7.7 Hz, 2 H) 9.2 (s, 1 H)
		IR (KBr): 3346, 1691, 1598, 1543, 1438, 1317, 748
		Melting point: 128 °C
Ex. 8a	2-[4-(2-Hydroxymethyl-phenylamino)-piperidine-1-yl]-N-(1-oxo-indan-5-yl)-acetamide	
		1H NMR
		IR (KBr): 3398, 2923, 1710, 1655, 1590, 1541, 1425, 1287, 1126, 1013
		Melting point: 138-140 °C

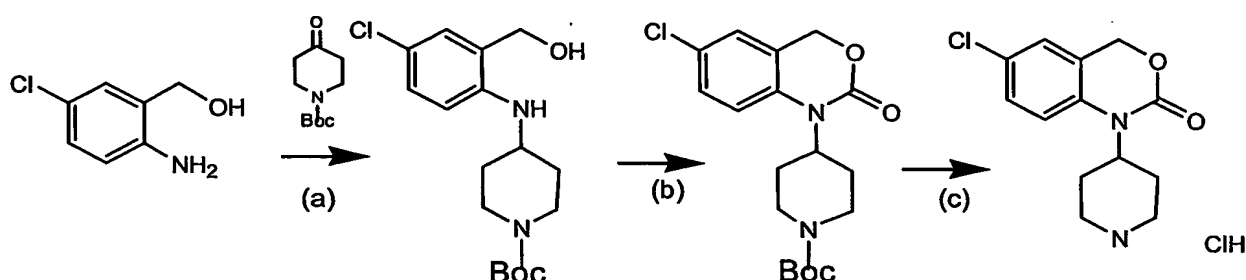


## Preparation of the compound of general formula (Ib):

### Example Ab:

#### 5 Synthesis of an intermediate compound of general formula (IIb)

Preparation of 6-Chloro-1-(piperidine-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride



#### a) 1-(*tert*-Butyloxycarbonyl)-4-[4-chloro-(2-hydroxymethylphenyl)amine] piperidine

A solution of 1-(*tert*-butyloxycarbonyl)-4-piperidinone (20 g, 0.10 mol), 2-amino-5-chlorobenzyl alcohol (17.34 g, 0.11 mol) and acetic acid (14 mL, 0.22 mol) in dry toluene (500 mL) was heated at reflux temperature, with water elimination by means of azeotrope distillation with Dean-Stark, for 6 hours. The mixture was then cooled and vacuum concentrated up to half volume. NaBH<sub>3</sub>CN (20 g, 0.32 mol) and dry THF (300 mL) were added to the resulting solution. Acetic acid (10 mL, 0.17 mol) was then dripped for one hour. The reaction was stirred at room temperature for 24 hours. The mixture was vacuum concentrated and the residue was dissolved in ethyl acetate (750 mL), washed with a NaHCO<sub>3</sub>-saturated solution (4 x 250 mL) and a NaCl-saturated solution (250 mL), dried and evaporated to dryness. The residue was purified by means of flash chromatography eluting with a mixture of ethyl acetate: petroleum ether (1:3).

The desired product was thus obtained as an oil (32.7 g, 96%). <sup>1</sup>H NMR (CDCl<sub>3</sub>): 1.32 (d, *J*=11.2 Hz, 2H), 1.41 (s, 9H), 1.92 (d, *J*=11.2 Hz, 2H), 2.92 (t, *J*=12.0 Hz, 1H), 3.10 (s, 1H), 3.37 (m, 1H), 3.88 (d, *J*= 13.7 Hz, 2H), 4.49 (s, 2H), 4.75 (s, 1H), 6.52 (d, *J*= 8.6 Hz, 1H), 6.96 (s, 1H), 7.07 (d, *J*= 8.6 Hz, 1H).

5

**b.) 1-(1-*tert*-Butyloxycarbonyl-4-piperidinyl)-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one**

N, N-diisopropylethylamine (DIEA) (43 mL, 0.25 mol) and triphosgene (8.65 g, 29.2 mmol) were added to a solution of 1-(*tert*-Butyloxycarbonyl)-4-[(4-chloro-(2-hydroxymethyl) phenyl-amino)]piperidine (27.0 g, 79 mmol) in dry THF (250 mL) cooled at 0°C. The reaction was stirred at 0°C for 1 h and at room temperature for 72 h. Ethyl ether was added and the mixture was cooled at 0°C for 3 h and the DIEA hydrochloride was then filtered. The filtered solution was evaporated to dryness and the residue was dissolved in ethyl acetate (750 mL), washed with 5% solution of citric acid (2 x 500 mL), water (250 mL) and NaHCO<sub>3</sub>-saturated solution (2 x 500 mL). The ethyl acetate solution was dried (MgSO<sub>4</sub>), filtered and evaporated under reduced pressure. The residue was brought to the boil with ethyl ether until the whole solid was dissolved and then cooled overnight to yield the desired compound in crystalline form (28.9 g, 67%).

15

20

Melting point: 177-179 °C

25

<sup>1</sup>H NMR (CDCl<sub>3</sub>): 1.46 (s, 9H), 1.79 (d, *J*= 10.1 Hz, 1H), 2.54 (m, 2H), 2.78 (m, 2H), 3.96 (m, 1H), 4.28 (m, 2H), 5.02 (s, 2H), 6.98 (d, *J*= 8.7 Hz, 1H) 7.13 (d, *J*= 2.4 Hz, 1H), 7.28 (dd, *J*= 8.7 Hz, *J*= 2.4 Hz, 1H).

30

**c.) 6-chloro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one hydrochloride**

A solution of 1-[(1-*tert*-Butyloxycarbonyl)-4-piperidiny]-6-chloro-1,4-dihydro-2H-3,1-benzoxazin-2-one (24 g, 65 mmol) in ethyl acetate (500 mL) was cooled at 0°C. A 5 M solution of hydrogen chloride in ethyl ether (500 mL) was then added and the resulting mixture was stirred at 0°C for 4 h. The precipitate formed was collected by filtration, washed with ether and vacuum dried to yield the desired product as a solid (16.95 g, 97%).

Melting point: 254-257 °C

<sup>1</sup>H NMR (CD<sub>3</sub>OD): 2.13 (d, *J* = 12.2 Hz, 2H), 2.88 (m, 2H), 3.20 (m, 2H), 3.53 (d, *J* = 12.8 Hz, 2H), 4.24 (m, 1H), 5.16 (s, 2H), 7.31 (m, 2H), 7.41 (dd, *J* = 8.8 Hz, *J* = 2.6 Hz, 1H).

Several substituted 3,1-benzoxazin-2-one compounds were prepared via the respectively substituted benzyl alcohols by reducing the respectively substituted anthranilic acids with lithium aluminium hydride and other known reducing agents by methods well known to those skilled in the art (see scheme 1), e.g. por ejemplo 6-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methoxy-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-methyl-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-fluoro-1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 6-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 5-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 7-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-chloro-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one and others. The reaction of the respective 5-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-methoxy-1-(piperidiny)-1,4-dihydro-2H-3,1-benzoxazin-2-one

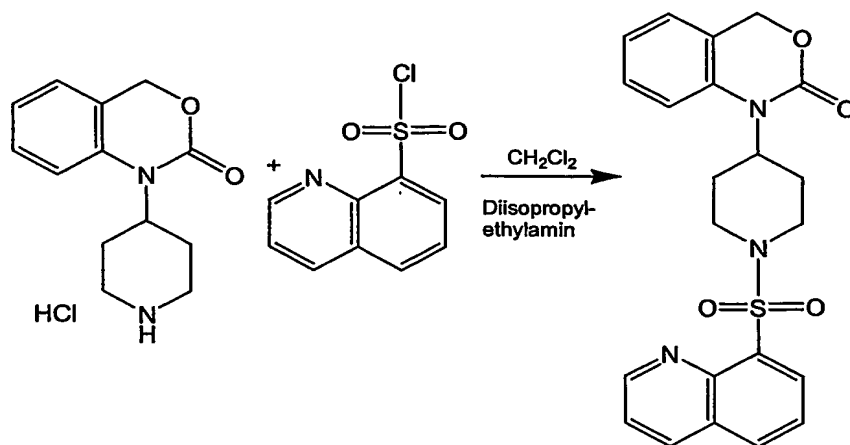
compounds according to conventional methods, e.g. BBr<sub>3</sub> in an inert organic solvent yields the respective 5-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one, 8-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one and 6-hydroxy-1-(piperidinyl)-1,4-dihydro-2H-3,1-benzoxazin-2-one compounds. The unsubstituted benzoxazin-2-one 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-one is prepared according the method described in J. Med. Chem. 1995, 38, 4634 and J. Med. Chem. 1998, 41, 2146, which are hereby incorporated by reference and form part of the disclosure.

The substituted anthranilic acids were reduced by conventional methods known to those skilled in the art, e.g. by the use of LiAlH<sub>4</sub> as reducing agent in anhydrous THF under an inert-gas atmosphere, e.g. argon or nitrogen. This process is very efficient and in most cases the respective 2-aminobenzylalcohols are obtained in very good yields.

General instruction for the reduction of substituted anthranilic acids:

To a three neck flask, equipped with a mechanical stirrer and an inlet for gaseous nitrogen, 100 mL anhydrous THF and 116,6 mmoles of LiAlH<sub>4</sub> were given and the resulting suspension cooled to 0 °C. After the addition of 58,3 mmoles of the respective substituted anthranilic acid in 150 mL anhydrous THF, the resulting reaction mixture is warmed to room temperature and stirred for about an hour. Under cooling to 0° C 4,7 mL water, 4,7 mL NaOH 15 wt.-%, and finally 14 mL water are carefully added to the mixture. The resulting suspension is filtered and washed with ethylacetate.

The organic phase is washed with water, dried and the solvent evaporated. In most cases the resulting product may be used without further purification.

**Example 5b:****Preparation of 1-[1-quinoline-8-sulfonyl]-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one**

5 150 mg (0,66 mmol) quinoline-8-sulfonyl chloride are added to a mixture of 1-(4-piperidyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride (161 mg, 0,60 mmol) and diisopropylethylamin (230 mg, 1,80 mmol) in dichloromethane (10 ml) and the resulting reaction mixture was stirred overnight at room temperature. The reaction mixture was then washed with water (3 x 15 mL) and

10 the organic phase was separated, dried and evaporated to dryness. A solid was obtained, which was recrystallized from ethanol. 182 mg of 1-[1-quinoline-8-sulfonyl]-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazin-2-one were obtained as a white solid (yield 69 %).

15 IR (cm<sup>-1</sup>) KBr: 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583

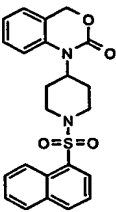
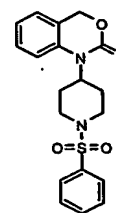
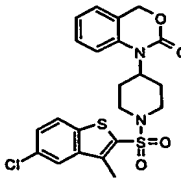
<sup>1</sup>H-NMR(δ in ppm): 1.8 (d, J=9.5 Hz, 2 H) 2.6 (qd, J=12.6, 4.4 Hz, 2 H) 3.0 (td, J=12.8, 2.5 Hz, 2 H) 4.1 (tt, J=12.5, 3.8 Hz, 1 H) 4.3 (ddd, J=13.0, 2.3 Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, J=8.4, 4.2 Hz, 1 H) 7.6 (m, 1 H)

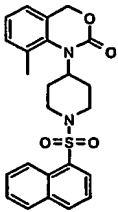
20 8.1 (dd, J=8.2, 1.3 Hz, 1 H) 8.3 (dd, J=8.3, 1.7 Hz, 1 H) 8.5 (dd, J=7.3, 1.5 Hz, 1 H) 9.1 (dd, J=4.2, 1.8 Hz, 1 H) (CDCl<sub>3</sub>-d).

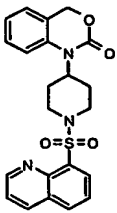
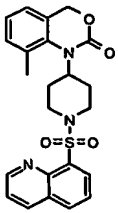
Melting point: 170-172 °C.

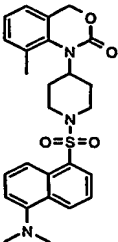
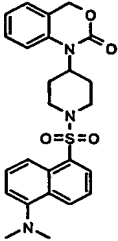
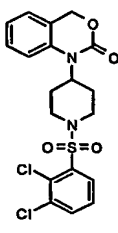
The compounds according to examples 1b-4b and 6b-10b given in the following table 1b were prepared analogously to the methods described above:

Table 1b:

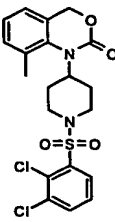
Ex. 1b		<p>1-[1-(Naphthyl-1-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.8 (d, <math>J=10.5</math> Hz, 2 H) 2.4 (m, 2 H) 2.7 (t, <math>J=11.6</math> Hz, 2 H) 3.9 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 2 H) 7.2 (m, 2 H) 7.7 (m, 3 H) 8.1 (d, <math>J=8.1</math> Hz, 1 H) 8.2 (d, <math>J=7.8</math> Hz, 1 H) 8.3 (d, <math>J=8.1</math> Hz, 1 H) 8.7 (d, <math>J=8.3</math> Hz, 1 H) (DMSO-<math>d_6</math>)</p> <p>IR (KBr) 1709, 1498, 1353, 1162, 1034, 770, 718, 579</p> <p>Melting point: 147-149°C</p>
Ex. 2b		<p>1-(1-Phenylsulfonyl-piperidine-4-yl)-1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.9 (dd, <math>J=12.1</math>, 2.1 Hz, 2 H) 2.4 (td, <math>J=12.2</math>, 2.4 Hz, 2 H) 2.7 (qd, <math>J=12.6</math>, 4.3 Hz, 2 H) 3.9 (tt, <math>J=12.3</math>, 3.9 Hz, 1 H) 4.0 (dt, <math>J=11.9</math>, 2.1 Hz, 2 H) 5.0 (s, 2 H) 7.0 (d, <math>J=8.3</math> Hz, 1 H) 7.0 (t, <math>J=7.3</math> Hz, 1 H) 7.1 (m, 1 H) 7.3 (m, 1 H) 7.6 (m, 3 H) 7.8 (m, 2 H) (CDCl<math>_3</math>-d)</p> <p>IR (KBr) 1705, 1497, 1340, 1293, 1205, 1160, 736, 691, 576</p> <p>Melting point: 172-174°C</p>
Ex. 3b		<p>1-[1-(5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl)-piperidine-4-yl]-1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p>1H-NMR: 1.8 (d, <math>J=10.8</math> Hz, 2 H) 2.5 (m, 2 H) 2.7 (s, 3 H) 2.8 (t, <math>J=11.4</math> Hz, 2 H) 3.8 (d, <math>J=11.4</math> Hz, 2 H) 3.9 (m, 1 H) 5.1 (s, 2 H) 7.0 (t, <math>J=7.2</math> Hz, 1 H) 7.2 (d, <math>J=8.1</math> Hz, 1 H) 7.2 (m, 2 H) 7.6 (dd, <math>J=8.6</math>, 2.0 Hz, 1 H) 8.1 (d, <math>J=2.0</math> Hz, 1 H) 8.2 (d, <math>J=8.6</math> Hz, 1 H) (DMSO-<math>d_6</math>)</p> <p>IR (KBr) 1717, 1358, 1248, 1201, 1160, 1035, 712, 554</p> <p>Melting point: 204-206°C</p>

Ex. 4b	8-Methyl-1-[1-(naphthyl-1-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.9 (d, $J=12.5$ Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 3.3 (m, 1 H) 4.0 (d, $J=11.2$ Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, $J=7.0$ Hz, 1 H) 7.6 (m, 3 H) 7.9 (m, 1 H) 8.1 (d, $J=8.2$ Hz, 1 H) 8.2 (dd, $J=7.3, 1.1$ Hz, 1 H) 8.7 (d, $J=8.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1712, 1316, 1279, 1222, 1160, 1135, 1025, 768, 607
		Melting point: 203-204°C

Ex. 5b	1-[1-(Quinoliny-8-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.8 (d, $J=9.5$ Hz, 2 H) 2.6 (qd, $J=12.6, 4.4$ Hz, 2 H) 3.0 (td, $J=12.8, 2.5$ Hz, 2 H) 4.1 (tt, $J=12.5, 3.8$ Hz, 1 H) 4.3 (ddd, $J=13.0, 2.3$ Hz, 2 H) 5.0 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 1 H) 7.6 (dd, $J=8.4, 4.2$ Hz, 1 H) 7.6 (m, 1 H) 8.1 (dd, $J=8.2, 1.3$ Hz, 1 H) 8.3 (dd, $J=8.3, 1.7$ Hz, 1 H) 8.5 (dd, $J=7.3, 1.5$ Hz, 1 H) 9.1 (dd, $J=4.2, 1.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1712, 1337, 1291, 1205, 1162, 1144, 1034, 717, 583
		Melting point: 170-172°C
Ex. 6b	8-Methyl-1-[1-(quinoliny-8-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 1.9 (d, $J=12.6$ Hz, 2 H) 2.3 (s, 3 H) 2.7 (qd, $J=12.2, 3.9$ Hz, 2 H) 2.9 (m, 2 H) 3.3 (tt, $J=11.7, 3.4$ Hz, 1 H) 4.3 (d, $J=12.8$ Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.1 (d, $J=7.3$ Hz, 1 H) 7.5 (dd, $J=8.3, 4.1$ Hz, 1 H) 7.6 (m, 1 H) 8.0 (dd, $J=8.2, 1.3$ Hz, 1 H) 8.2 (dd, $J=8.3, 1.7$ Hz, 1 H) 8.5 (dd, $J=7.3, 1.5$ Hz, 1 H) 9.1 (dd, $J=4.2, 1.8$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1702, 1329, 1284, 1218, 1024, 785, 701, 582
		Melting point: 202-206°C

Ex. 7b		<p>1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl]-8-Methyl -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p><b><sup>1</sup>H-NMR:</b>  1.9 (d, <i>J</i>=11.9 Hz, 2 H) 2.3 (s, 3 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 3.3 (m, 1 H) 4.0 (d, <i>J</i>=9.9 Hz, 2 H) 4.9 (s, 2 H) 7.0 (m, 2 H) 7.2 (m, <i>J</i>=7.3 Hz, 2 H) 7.5 (m, 2 H) 8.2 (dd, <i>J</i>=7.3, 1.1 Hz, 1 H) 8.4 (d, <i>J</i>=8.6 Hz, 1 H) 8.6 (d, <i>J</i>=8.4 Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  2981, 1711, 1336, 1221, 1149, 1025, 794, 709, 571</p> <p><b>Melting point:</b> 202-203°C</p>
Ex. 8b		<p>1-[1-(5-Dimethylamino-naphthyl-1-sulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p><b><sup>1</sup>H-NMR</b>  1.8 (dd, <i>J</i>=12.3, 3.5 Hz, 2 H) 2.7 (m, 4 H) 2.9 (s, 6 H) 4.0 (m, 3 H) 5.0 (s, 2 H) 6.9 (d, <i>J</i>=8.2 Hz, 1 H) 7.1 (m, 2 H) 7.3 (m, 2 H) 7.6 (td, <i>J</i>=8.9, 7.4 Hz, 2 H) 8.3 (dd, <i>J</i>=7.3, 1.3 Hz, 1 H) 8.4 (d, <i>J</i>=8.8 Hz, 1 H) 8.6 (d, <i>J</i>=8.2 Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  2935, 1720, 1319, 1242, 1144, 920, 791, 755, 642</p> <p><b>Melting point:</b> 182-186°C</p>
Ex. 9b		<p>1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl] -1,4-dihydro-benzo[d][1,3]oxazine-2-one</p> <p><b><sup>1</sup>H-NMR</b>  1.9 (d, <i>J</i>=10.1 Hz, 2 H) 2.7 (qd, <i>J</i>=12.6, 4.2 Hz, 2 H) 3.0 (td, <i>J</i>=12.7, 2.3 Hz, 2 H) 4.1 (m, 3 H) 5.1 (s, 2 H) 7.1 (m, 3 H) 7.3 (m, 2 H) 7.7 (dd, <i>J</i>=8.0, 1.6 Hz, 1 H) 8.0 (dd, <i>J</i>=8.0, 1.6 Hz, 1 H) (CDCl<sub>3</sub>-d)</p> <p><b>IR (KBr)</b>  1697, 1395, 1244, 1165, 1045, 942, 710, 582</p> <p><b>Melting point:</b> 185-187 °C</p>



Ex. 10b	1-[1-(2,3-Dichloro-phenylsulfonyl)-piperidine-4-yl]-8-Methyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one	
		1H-NMR: 2.0 (d, $J=11.5$ Hz, 2 H) 2.4 (s, 3 H) 2.8 (m, 4 H) 3.4 (m, 1 H) 4.0 (d, $J=9.9$ Hz, 2 H) 5.0 (s, 2 H) 7.0 (m, 2 H) 7.2 (d, $J=7.7$ Hz, 1 H) 7.3 (t, $J=8.0$ Hz, 1 H) 7.7 (dd, $J=8.1, 1.5$ Hz, 1 H) 8.0 (dd, $J=8.0, 1.6$ Hz, 1 H) (CDCl <sub>3</sub> -d)
		IR (KBr) 1705, 1404, 1339, 1224, 1149, 939
		Melting point: 184-185°C

**Preparation of the compounds of general formula (Ic):****METHOD Ac****5 Example 7c:**

Preparation of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulphonamide.

10 To a solution of 3.05 g (15 mMol) of 5-amino-3-(2-dimethylaminoethyl)-1*H*-indol in 100 ml of pyridine is added dropwise at ambient temperature a solution of 4.21 g (15 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulphonyl chloride in 20 ml of pyridine. The reaction mixture is stirred at ambient temperature for 20 hours. It is then evaporated to dryness, slightly alkalised with diluted  
15 ammonia and dissolved in ethyl acetate. The organic phase is washed with water and a saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulphate. The organic solution is evaporated to dryness and the resulting solid is repeatedly washed with ethyl ether, to yield 5.5 g (82%) of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-  
20 benzo[b]thiophene-2-sulphonamide as a solid with m.p. = 226-227°C.

**METHOD Bc****Example 26c:**

25

Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide.

30

To a mixture of 285 mg (0.7 mMol) of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide (example 17) and 80 mg (0.7 mMol) of potassium *t*-butoxide in 3 ml of DMSO are stirred for 30 minutes at ambient temperature.

Then are added 105 mg (0.7 mMol) of ethyl iodide and left with stirring for 3 hours. Water is added and is extracted with ethyl acetate. The organic solution is evaporated to dryness and the resulting crude is purified by chromatography on silica gel, using as an eluent mixtures of methylene chloride / methanol / ammonia, yielding N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide as a solid with m.p. = 49-50°C.

## METHOD Cc

### Example 18c:

Preparation of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 712 mg (13.2 mMol) of sodium methoxide in 100 ml of methanol are added 850 mg (2.64 mMol) of N-[1*H*-indol-5-yl]naphthalene-1-sulphonamide followed by 596 mg (5.28 mMol) of 1-methyl-4-piperidone and the resulting solution is heated to reflux for 48 hours. The reaction mixture is concentrated at reduced pressure and the residue obtained is purified by chromatography over silica gel, using as eluent mixtures of methylene chloride/ methanol / ammonia, to yield 573 mg (52%) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p. = 244-245°C.

## METHOD Dc

### Example 12c:

Preparation of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 417 mg (1 mMol) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide in 50 ml of methanol are added 100 mg of 5% palladium on carbon. The mixture is hydrogenated at ambient temperature at an initial hydrogen pressure of 3 atmospheres for 20 hours. The reaction mixture is filtered and the filtrate is concentrated at reduced pressure to provide a crude that is suspended in ethyl ether, yielding 272 mg (65%) of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p.= 254-256°C

## 10      **METHOD Ec**

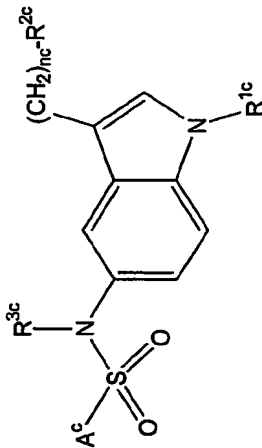
### **Example 3c:**

Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride.

1.05 g (2.5 mMol) of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide (example 2) are dissolved in 10 ml of ethanol and 0.6 ml are added of a 4.2 N solution of hydrochloric acid in ethanol. It is allowed to crystallise at ambient temperature. N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride is obtained as a solid with m.p.= 255-257°C.

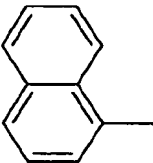
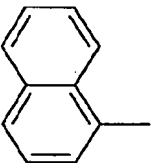
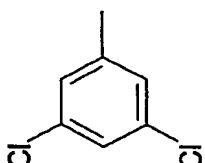
The melting point and spectroscopic data for identifying some of the compounds used according to the present invention are shown in the following table:

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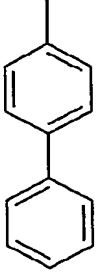
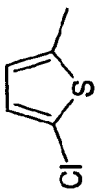
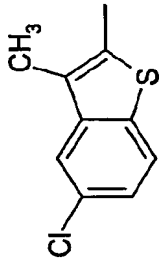


Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
1c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	170-173	3387, 2970, 2931, 1466, 1236, 1158, 1107, 1080, 993, 862, 805, 657, 565.	0.88(t, 6H, J=7.1 Hz); 2.28(s, 3H); 2.30-2.46(m, 6H); 2.58(m, 2H); 6.85(dd, 1H, J=8.6, 2.0 Hz); 7.10(m, 2H); 7.20(d, 1H, J=8.6 Hz); 7.50(dd, 1H, J=8.7, 2.0 Hz); 7.90(d, 1H, J=2.0 Hz); 7.98(d, 1H, J=8.7 Hz); 10.10 (bb, 1H); 10.80(s, 1H). (DMSO-d6)

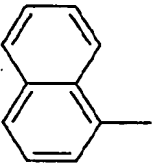
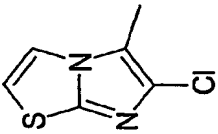
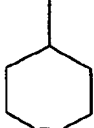
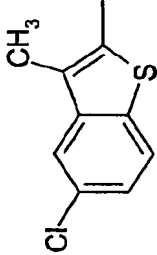
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
2c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	170	3451, 3337, 2972, 1466, 1319, 1237, 1157, 1132, 1091, 991, 770, 675, 583, 481.	0.90(t, 6H, J=7.1 Hz); 2.33-2.55(m, 8H); 6.69(dd, 1H, J=8.7, 1.8 Hz); 6.95(s, 1H); 7.02(d, 1H, J=1.8 Hz); 7.05(d, 1H, J=8.7 Hz); 7.47(t, 1H, J=7.7 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.01(m, 2H); 8.12(d, 1H, J=7.5 Hz); 8.77(d, 1H, J=8.1 Hz); 10.10(bb, 1H); 10.66(s, 1H) (DMSO-d6)
3c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		HCl	255-257	3378, 3065, 2558, 2489, 1460, 1317, 1162, 1143, 1131, 811, 687, 602, 588.	1.22(t, 6H, J=7.2 Hz); 2.91-3.18(m, 8H); 6.65(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=8.6 Hz); 7.17(s, 1H); 7.20(d, 1H, J=1.8 Hz); 7.54(t, 1H, J=7.8 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.03(d, 1H, J=7.8 Hz); 8.08(d, 1H, J=7.1 Hz); 8.14(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.4 Hz); 10.26(s, 1H); 10.90(bb, 1H); 11.01(s, 1H). (DMSO-d6)
4c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	168-170	3309, 3047, 2974, 1566, 1467, 1235, 1167, 1143, 1116, 1001, 910, 799, 672, 587.	0.95(t, 6H, J=7.1 Hz); 2.44-2.58(m, 6H); 2.66(m, 2H); 6.79(dd, 1H, J=8.6, 1.7 Hz); 7.08(d, 1H, J=0.9 Hz); 7.13(d, 1H, J=1.7 Hz); 7.23(d, 1H, J=8.6 Hz); 7.58 (m, 2H); 7.87(m, 1H); 9.95(bb, 1H); 10.82(s, 1H). (DMSO-d6)

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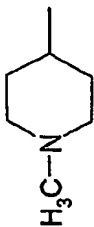
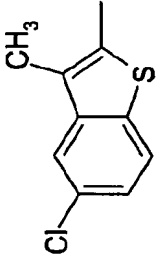
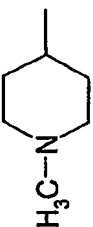
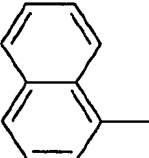
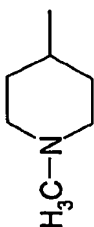
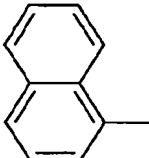
Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
5c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	161-163	3387, 2971, 1323, 1157, 1095, 765, 670, 590	0.89(t, 6H, J=7.1 Hz); 2.32-2.55(m, 6H); 2.62(m, 2H); 6.85(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=2.0 Hz); 7.13(s, 1H); 7.18(d, 1H, J=8.6 Hz); 7.33-7.51(m, 3H); 7.64(d, 2H, J=7.5 Hz); 7.72(s, 2H, J=8.6 Hz); 7.78(s, 2H, J=8.6 Hz); 9.80(bb, 1H); 10.75(s, 1H). (DMSO-d6)
6c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	180-181	3375, 2978, 1467, 1417, 1236, 1212, 1115, 994, 624	0.96(t, 6H, J=7.1 Hz); 2.52(m, 4H); 2.57(m, 2H); 2.66(m, 2H); 6.83(dd, 1H, J=8.6, 1.9 Hz); 7.11(d, 1H, J=4.0 Hz); 7.14(d, 1H, J=1.9 Hz); 7.17(d, 1H, J=1.9 Hz); 7.20-7.24(m, 2H); 10.01(bb, 1H); 10.81(s, 1H). (DMSO-d6)
7c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	226-227	3422, 3238, 1332, 1155, 1114, 1079, 986, 861, 803, 655, 564	2.04(s, 6H); 2.23(m, 2H); 2.28(s, 3H); 2.59(m, 2H); 6.83(dd, 1H, J=8.4, 1.5 Hz); 7.09(s, 2H); 7.19(d, 1H, J=8.4 Hz); 7.49(dd, 1H, J=8.7, 1.6 Hz); 7.91(d, 1H, J=1.6 Hz); 7.99(d, 1H, J=8.7 Hz); 10.13(bb, 1H); 10.79(s, 1H). (DMSO-d6)

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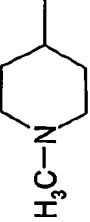
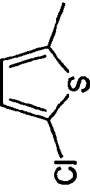
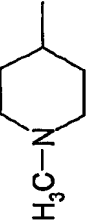
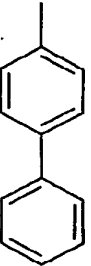
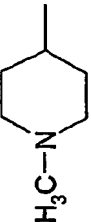
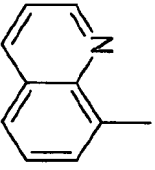
Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A°	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
8c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	203-205	3357, 1475, 1282, 1157, 1127, 990, 957, 809, 773, 613, 587, 557, 498.	2.09(s, 6H); 2.21(m, 2H); 2.54(m, 2H); 6.69(dd, 1H, J=8.6, 1.7 Hz); 6.94 (s, 1H); 7.03 (s, 1H); 7.06(d, 1H, J=8.1 Hz); 7.49(t, 1H, J=7.8 Hz); 7.64(m, 1H); 7.71(m, 1H); 8.02 (m, 2H); 8.13(d, 1H, J=8.1 Hz); 8.79(d, 1H, J=8.4 Hz); 10.10(bb, 1H); 10.68(s, 1H) (DMSO-d6)
9c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	215 (desc)	3247, 3094, 1467, 1272, 1261, 1230, 625	2.17(s, 6 H); 2.36(m, 2 H); 2.65(m, 2 H); 6.77(dd, J=8.6, 1.7 Hz, 1 H); 7.07(s, 1 H); 7.09(s, 1H); 7.18(d, J=8.6 Hz, 1 H); 7.51(d, J=4.5 Hz, 1 H); 7.81(d, J=4.5 Hz, 1 H); 10.80 (s, 1 H). (DMSO-d6).
10c	H	 H <sub>3</sub> C-N	0	H		-	250 (desc)	3407, 2390, 1466, 1334, 1156, 113, 1080, 651, 565.	1.53-1.80(m, 4H); 2.26(s, 3H); 2.39-2.71(m, 6H); 3.02(d, 2H, J=8.8 Hz); 6.76(d, 1H, J=8.8 Hz); 7.05(s, 1H); 7.11(s, 1H); 7.19(d, 1H, J=8.8 Hz); 7.51(d, 1H, J=8.7 Hz); 7.91(s, 1H); 8.00(d, 1H, J=8.7 Hz); 10.10(bb, 1H); 10.90(s, 1H). (DMSO-d6)



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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
11c	H		0	H		HCl	220 (desc)	3423, 3214, 3043, 2942, 2688, 1464, 1317, 1149, 1114, 1080, 748, 670, 646	1.75-1.92(m, 4H); 2.31(s, 3H); 2.66(s, 3H); 2.80(m, 1H); 2.95(m, 2H); 3.24(d, 2H, J=11.4 Hz); 6.76(d, 1H, J=8.7 Hz); 7.07(s, 1H); 7.19(m, 2H); 7.50(d, 1H, J=8.6 Hz); 7.93(s, 1H); 8.01(d, 1H, J=8.6 Hz); 8.34(s, 1H); 10.90(bb, 1H); 11.01(s, 1H). (DMSO-d6)
12c	H		0	H		-	254-256	3343, 2938, 2929, 1470, 1154, 1121, 1108, 988, 947, 805, 769, 589	1.49(m, 2H); 1.61(m, 2H); 2.14(m, 2H); 2.30(s, 3H); 2.40(m, 1H); 2.90(d, 2H, J=10.6 Hz); 6.65(d, 1H, J=8.6 Hz); 6.90(s, 1H); 6.96(s, 1H); 7.05(d, 1H, J=8.6 Hz); 7.46(dt, 1H, J=7.51, 1.83 Hz); 7.64(m, 1H); 7.71(m, 1H); 7.99(d, 1H, J=8.6 Hz); 8.03(d, 1H, J=8.6 Hz); 8.12(d, 1H, J=8.2 Hz); 8.77(d, 1H, J=8.6 Hz); 10.07(bb, 1H); 10.71(s, 1H). (DMSO-d6)
13c	H		0	H		HCl	212 (desc)	3423, 3269, 3114, 2955, 2733, 1469, 1321, 1155, 1133, 947, 769	1.80(m, 4H); 2.74(m, 4H); 3.04(m, 2H); 3.39(m, 2H); 6.63(d, 1H, J=8.6 Hz); 7.00(s, 2H); 7.08(d, 1H, J=8.6 Hz); 7.49(t, 1H, J=7.7 Hz); 7.60-7.77(m, 2H); 8.04(d, 2H, J=7.5 Hz); 8.13(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.2 Hz); 10.16(s, 1H); 10.66(bb, 1H); 10.88(s, 1H). (DMSO-d6)

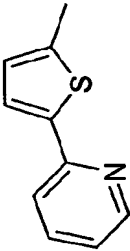
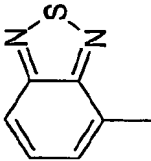
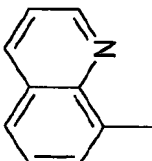
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A°	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
14c	H		0	H		-	284 (desc)	3371, 2943, 1468, 1410, 1324, 1148, 993, 604.	1.62(m, 2H); 1.78(d, 2H, J=11.7 Hz); 1.99(m, 2H); 2.18(s, 3H); 2.55(m, 1H); 2.84(d, 2H, J=10.6 Hz); 6.81(d, 1H, J=8.6 Hz); 7.07(s, 1H); 7.13(m, 1H); 7.16(s, 1H); 7.20-7.26 (m, 1H); 9.90 (bb, 1H); 10.83 (s, 1H). (DMSO-d6)
15c	H		0	H		-	247-248	3361, 2936, 1318, 1155, 1095, 767, 670, 587.	1.52(s, 2H); 1.67(m, 2H); 1.85(m, 2H); 2.08(s, 3H); 2.44(m, 1H); 2.67(d, 2H, J=10.25 Hz); 6.83(d, 1H, J=8.4 Hz); 7.01(s, 1H); 7.03(s, 1H); 7.19(d, 1H, J=8.4 Hz); 7.35-7.50(m, 3H); 7.63-7.73(m, 4H); 7.79(sys AB, 2H, J=7.6 Hz); 9.71(bb, 1H); 10.76(s, 1H). (DMSO-d6).
16c	H		0	H		-	280 (desc)	3398, 3257, 2933, 1161, 1143, 789, 589.	1.25-1.52(m, 4 H); 1.85(m, 2 H); 2.18(s, 3 H); 2.27(m, 1 H); 2.74 (d, J=11.4 Hz, 2 H); 6.72(dd, J=8.6, 2.0 Hz, 1 H); 6.83(d, J=1.5 Hz, 1 H); 6.90(d, J=2.0 Hz, 1 H); 7.02(d, J=8.6 Hz, 1 H); 7.57(m, 1 H); 7.74(dd, J=8.4, 4.3 Hz, 1 H); 8.12 (dd, J=7.3, 1.3 Hz, 1 H); 8.19(dd, J=8.2, 1.3 Hz, 1 H); 8.52(dd, J=8.4, 1.7 Hz, 1 H); 9.21(dd, J=4.3, 1.7 Hz, 1 H); 9.36(s, 1 H); 10.64(s, 1 H). (DMSO-d6).

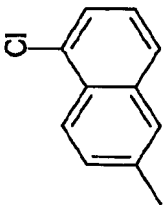
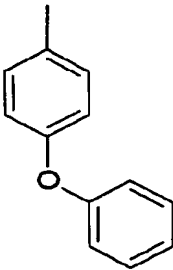

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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
17c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	172-173	3199, 2970, 2930, 2870, 1327, 1153, 1130, 1110, 1075, 956, 676, 658, 551, 476.	0.87(t, J=7.1 Hz, 6 H); 2.39(m, 6 H); 2.55 (m, 2 H); 6.82(d, J=8.6 Hz, 1 H); 7.05 (s, 1 H); 7.09(s, 1 H); 7.13(d, J=8.6 Hz, 1 H); 7.60(m, 2 H); 7.73 (d, J=8.6 Hz, 1 H); 7.95(d, J=7.9 Hz, 1 H); 8.01 (m, 2 H); 8.26 (s, 1 H); 9.86(bb, 1 H); 10.71(s, 1 H). (DMSO-d6).
18c	H		0	H		-	244-245 (desc)	3346, 2943, 1474, 1283, 1261, 1156, 1123, 801, 771, 589, 503.	2.25(s, 3 H); 2.31(m, 2 H); 2.46(m, 2 H); 2.90(m, 2 H); 5.34(s, 1 H); 6.78(dd, J=8.6, 2.0 Hz, 1 H); 7.09(d, J=1.5 Hz, 1 H); 7.14 (d, J=8.6 Hz, 1 H); 7.25 (d, J=2.0 Hz, 1 H); 7.49(t, J=7.8 Hz, 1 H); 7.66(m, 1 H); 7.75(m, 1 H); 8.04(m, 1 H); 8.14(d, J=8.2 Hz, 1 H); 8.83(d, J=8.6 Hz, 1 H); 10.14(bb, 1 H); 11.03(s, 1 H). (DMSO-d6).
19c	H		1	H		-	230 (desc)	2796, 1452, 1316, 1149, 1114, 1080, 1001, 810, 646, 559.	1.80-2.26(m, 8 H); 2.04(s, 3 H); 2.30(s, 3 H); 3.41(s, 2 H); 6.89(dd, J=8.6, 1.56 Hz, 1 H); 7.16(s, 1 H); 7.22(d, J=8.6 Hz, 1 H); 7.29(s, 1 H); 7.49(dd, J=8.7, 1.7 Hz, 1 H); 7.90(d, J=1.7 Hz, 1 H); 7.98(d, J=8.7 Hz, 1 H); 10.13(bb, 1 H); 10.93(s, 1 H). (DMSO-d6).

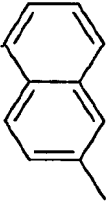
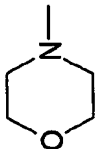
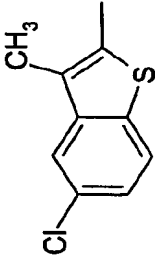
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
20c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	209-211	3377, 2951, 2798, 1469, 1429, 1321, 1158, 777, 594.	2.05(s, 6 H); 2.32(m, 2 H); 2.65(m, 2 H); 6.86(dd, J=8.6, 1.8 Hz, 1 H); 7.10(d, J=1.8 Hz, 1 H); 7.18(d, J=1.8 Hz, 1 H); 7.32(dd, J=7.3, 4.6 Hz, 1 H); 7.36(d, J=3.9 Hz, 1 H); 7.71(d, J=3.9 Hz, 1 H); 7.83(m, 1 H); 7.93(m, 1 H); 8.49(d, J=4.6 Hz, 1 H); 9.97(bb, 1 H). (DMSO-d <sub>6</sub> ).
21c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	192	3321, 2949, 1474, 1327, 1152, 1138, 1104, 981, 614.	2.10(s, 6 H); 2.21(m, 2 H); 2.56(m, 2 H); 6.72(d, J=8.6 Hz, 1 H); 6.96(s, 1 H); 7.03(s, 1 H); 7.07(d, J=8.6 Hz, 1 H); 7.70(m, 1 H); 8.07(d, J=7.0 Hz, 1 H); 8.29(d, J=8.8 Hz, 1 H); 10.14(bb, 1 H); 10.69(s, 1 H). (DMSO-d <sub>6</sub> ).
22c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	250 (desc)	3252, 2857, 1459, 1426, 1333, 1161, 1144, 789, 680, 589.	2.07(s, 6 H); 2.16(m, 2 H); 2.51(m, 2 H); 6.73(dd, J=8.6, 1.8 Hz, 1 H); 6.94(s, 1 H); 6.99(s, 1 H); 7.02(d, J=8.6 Hz, 1 H); 7.59(t, J=7.8 Hz, 1 H); 7.73(dd, J=8.4, 4.1 Hz, 1 H); 8.18(m, 2 H); 8.50(dd, J=8.4, 1.5 Hz, 1 H); 9.20(dd, J=4.1, 1.5 Hz, 1 H); 9.45(bb, 1 H); 10.64(s, 1 H). (DMSO-d <sub>6</sub> ).

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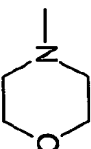
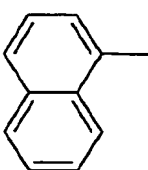
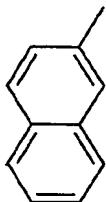
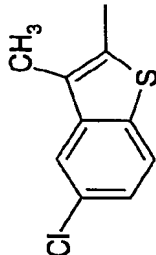
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23c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	230-240 (desc)	3404, 2944, 2918, 2855, 1465, 1332, 1157, 1140, 1080, 650, 639, 526.	2.01(s, 6 H); 2.18(m, 2 H); 2.57(m, 2 H); 6.81(dd, J=8.6, 1.7 Hz, 1 H); 7.02(s, 1 H); 7.05(d, J=1.7 Hz, 1 H); 7.15(d, J=8.6 Hz, 1 H); 7.57(m, 1 H); 7.82(d, J=7.5 Hz, 1 H); 7.91(d, J=8.9 Hz, 1 H); 8.06(d, J=8.2 Hz, 1 H); 8.29(d, J=8.9 Hz, 1 H); 8.35(s, 1 H); 9.94(bb, 1 H); 10.74(s, 1 H). (DMSO-d6).
24c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	152-154	3232, 2862, 2827, 2785, 1583, 1488, 1333, 1248, 1155, 1091, 755, 693, 571, 541.	2.16(s, 6 H); 2.37(m, 2 H); 2.66(m, 2 H); 6.80(d, J=8.6 Hz, 1 H); 6.96-7.12(m, 6 H); 7.14-7.25(m, 2 H); 7.41(m, 2 H); 7.64(dd, J=8.5, 1.9 Hz, 2 H); 9.69(bb, 1 H); 10.75(s, 1 H). (DMSO-d6).
25c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	184-186	3451, 3388, 2950, 2775, 1466, 1322, 1159, 1095, 763, 670, 591.	2.08(s, 6 H); 2.32(m, 2 H); 2.64(m, 2 H); 6.83(dd, J=8.6, 1.9 Hz, 1 H); 7.08(d, J=2.0 Hz, 1 H); 7.11(d, J=1.9 Hz, 1 H); 7.17(d, J=8.6 Hz, 1 H); 7.34-7.50(m, 3H); 7.66(d, J=7.5 Hz, 2 H); 7.72(AB sys, J=8.6 Hz, 2 H); 7.79(AB sys, J=8.6 Hz, 2 H); 9.79(s, 1 H); 10.75(s, 1 H). (DMSO-d6).

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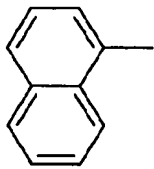
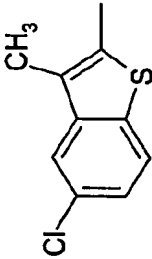
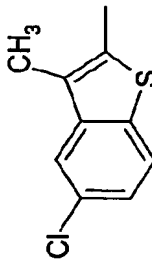
Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
26c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	Et		-	49-50	3386, 2970, 2931, 1474, 1337, 1167, 1151, 1130, 1073, 661, 550	0.82(t, J=7.0 Hz, 6 H); 0.98(t, J=7.0 Hz, 3 H); 2.37(q, J=7.0 Hz, 4 H); 2.49(m, 2 H); 2.54(m, 2H); 3.66(q, J=7.1 Hz, 2 H); 6.73(dd, J=8.61, 1.6 Hz, 1 H); 6.98(s, 1H); 7.17(d, J=1.6 Hz, 1 H); 7.26(d, J=8.61 Hz, 1 H); 7.56-7.72 (m, 3 H); 7.99-8.11(m, 3H); 8.26 (s, 1 H); 10.97(s, 1 H). (DMSO-d6).
Ex	R <sub>1</sub>	R <sub>2</sub>	n	R <sub>3</sub>	A	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
27c	H		2	H		-	200-201	3366, 2951, 2816, 1460, 1421, 1319, 1283, 1157, 1114, 1078, 865, 651, 561	2.25(m, 6H); 2.27(s, 3H); 2.62(t, J=7.9 Hz, 2H); 3.52(m, 4H); 6.84(d, J=8.2 Hz, 1H); 7.06(s, 1H); 7.10(s, 1H); 7.20(d, J=8.6 Hz, 1H); 7.50(d, J=8.6 Hz, 1H); 7.92(s, 1H); 8.00 (d, J=8.6 Hz, 1H); 10.13(s, 1H); 10.80(s, 1H). (DMSO-d6)

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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
28c	H		2	H		-	218-220	3389, 3152, 2916, 2819, 1466, 1313, 1157, 1129, 1108, 771, 587	2.30(m, 6H); 2.56(m, 2H); 3.56(m, 4H); 6.69(d, J=8.4 Hz, 1H); 6.93(s, 1H); 7.06(m, 2H); 7.48(t, J=7.3 Hz, 1H); 7.67(m, 2H); 8.02(m, 2H); 8.13(d, J=8.1 Hz, 1H); 8.78(d, J=8.1 Hz, 1H); 10.10(s, 1H); 10.68(s, 1H). (DMSO-d6)
29c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	CH <sub>3</sub>		-	134-136	2968, 2930, 1488, 1329, 1159, 1131, 1074, 660, 550	0.98(t, J=7.1 Hz, 6H); 2.55(m, 6H); 2.70(m, 2H); 3.67(s, 3H); 6.84 (s, 1H); 6.93(dd, J=8.6, 2 Hz, 1H); 7.10(d, J=8.7 Hz, 1H); 7.18(d, J=1.7 Hz, 1H); 7.26(s, 1H); 7.57(m, 2H); 7.67(dd, J=8.7, 1.8 Hz, 1H); 7.84(m, 3H); 8.27(d, J=1.7 Hz, 1H). (DMSO-d6)
30c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	1	H		-	148-152	3398, 2930, 1467, 1158, 1113, 1079, 861, 803, 651, 561	1.89(m, 6H); 2.29(s, 3H); 2.48(s, 2H); 6.83(m, 1H); 7.18(m, 3H); 7.50(m, 1H); 7.91(m, 1H); 8.00 (m, 1H); 10.13(b, 1H); 10.92(s, 1H). (DMSO-d6)

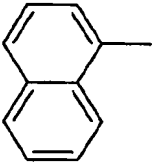
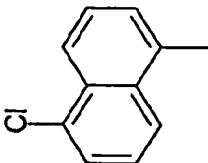
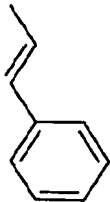
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
31c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	76-80	3399, 2959, 2931, 1466, 1159, 1132, 802, 770, 588	0.82(t, J=6.7 Hz, 6H); 1.34(q, J=6.71 Hz, 4H); 2.31(m, 4H); 2.40(m, 2H); 2.52(m, 2H); 6.69(d, J=8.6 Hz, 1H); 7.04(m, 3H); 7.47(m, 1H); 7.66(m, 2H); 8.02(m, 2H); 8.11(d, J=8.1 Hz, 1H); 8.78(d, J=8.4 Hz, 1H); 10.12(s, 1H); 10.67(s, 1H). (DMSO-d6)
32c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	90-95	3406, 2959, 2932, 2872, 1466, 1157, 1079, 861, 652, 561	0.80(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.26(m, 7H); 2.38(m, 2H); 2.56(m, 2H); 6.83(dd, J=8.4, 1.8 Hz, 1H); 7.08(s, 2H); 7.20(d, J=8.6 Hz, 1H); 7.50(dd, J=8.6, 2.0 Hz, 1H); 7.90(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H); 10.12(b, 1H); 10.79(s, 1H). (DMSO-d6)
33c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	79-80	3398, 2956, 2930, 2870, 1466, 1158, 1080, 862, 801, 653, 562	0.84(t, J=6.8 Hz, 6H); 1.24(m, 8H); 2.26(s, 3H); 2.28(m, 4H); 2.39(m, 2H); 2.57(m, 2H); 6.82(dd, J=8.6, 1.9 Hz, 1H); 7.09(d, J=1.8 Hz, 2H); 7.18(d, J=8.6 Hz, 1H); 7.50(dd, J=8.6, 1.9 Hz, 1H); 7.89(d, J=1.8 Hz, 1H); 7.98(d, J=8.6 Hz, 1H); 10.14(b, 1H); 10.78(s, 1H). (DMSO-d6)

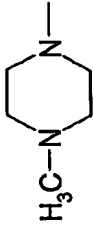
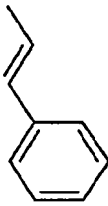
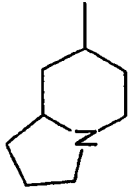
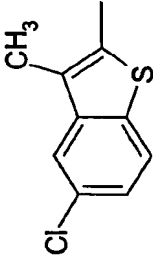
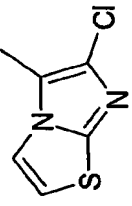


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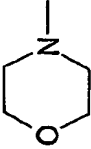
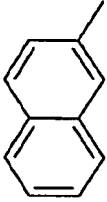

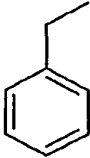
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
34c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	111-113	3291, 2955, 2926, 2870, 1327, 1158, 1136, 772, 676, 611, 585	0.86(t, J=7.0 Hz, 6H); 1.29(m, 8H); 2.35(m, 4H); 2.41(m, 2H); 2.53(m, 2H); 6.67(dd, J=8.5, 1.9 Hz, 1H); 7.09(m, 3H); 7.48(t, J=7.9 Hz, 1H); 7.68(m, 2H); 8.01(s, 1H); 8.04(s, 1H); 8.12(d, J=8.2 Hz, 1H); 8.78(d, J=8.2 Hz, 1H); 10.13(s, 1H); 10.67(s, 1H). (DMSO-d6)
35c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	154-156	3402, 2978, 1471, 1285, 1162, 1135, 1018, 780, 629, 606	0.88(t, J=6.7 Hz, 6H); 2.41(m, 6H); 2.49(m, 2H); 6.71(d, J=8.1 Hz, 1H); 6.88(s, 1H); 7.07(m, 2H); 7.66(m, 2H); 7.84(d, J=7.0 Hz, 1H); 8.09(d, J=7.0 Hz, 1H); 8.41(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.17(b, 1H); 10.71(s, 1H). (DMSO-d6)
36c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	125-130	3404, 2972, 1473, 1319, 1142, 967, 745, 541	0.94(t, J=7.1 Hz, 6H); 2.50(q, J=7.1 Hz, 4H); 2.59(m, 2H); 2.68(m, 2H); 6.94(dd, J=8.6, 1.8 Hz, 1H); 7.26(m, 8H); 7.59(m, 2H); 9.54(b, 1H); 10.77(s, 1H). (DMSO-d6)

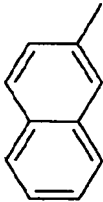
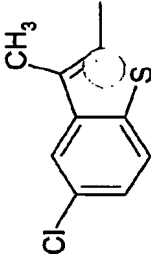
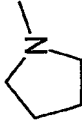
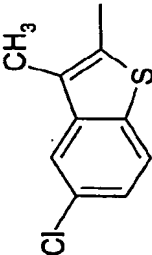
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
37c	H		1	H		—	203 (desc)	2809, 1340, 1150, 746, 542	2.06(s, 3H); 2.22(m, 6H); 3.36(m 2H); 3.49(s, 2H); 6.95(dd, J=8.6, 1.8 Hz, 1H); 7.18(s, 2H); 7.24(m, 2H); 7.37(m, 3H); 7.45(d, J=1.8 Hz, 1H); 7.61(m, 2H); 9.53(s 1H); 10.90(s, 1H). (DMSO-d6)
38c	H		0	H		—	142-144	3413, 2929, 1157, 1113, 1080, 862, 651, 564	1.12(m, 3H); 1.81(m, 9H); 2.22(s, 3H); 2.93(m, 2H); 6.84(dd, J=8.5, 1.7 Hz, 1H); 6.99(s, 1H); 7.03(s, 1H); 7.20(d, J=8.6 Hz, 1H); 7.52(dd, J=8.6, 2.0 Hz, 1H); 7.90(d, J=1.7 Hz, 1H); 8.00(d, J=8.6 Hz, 1H); 10.01(b, 1H); 10.61(s, 1H). (DMSO-d6)
39c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		—	197-198	3338, 1466, 1270, 1237, 117, 986, 626	0.96(t, J=7.1 Hz, 6H); 2.53(m, 6H); 2.63(m, 2H); 6.78(dd, J=8.5, 1.6 Hz, 1H); 7.10(s, 2H); 7.18(d, J=8.6 Hz, 1H); 7.51(d, J=4.6 Hz, 1H); 7.80(d, J=4.6 Hz, 1H); 10.78(s, 1H). (DMSO-d6)

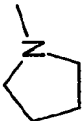
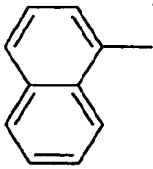

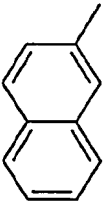
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A°	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
40c	H		2	H		-	85-90	3399, 3257, 2920, 2855, 2814, 1460, 1330, 1157, 1131, 1113, 1074, 659, 551, 477	2.27(m, 6H); 2.61(t, J=7.9 Hz, 2H); 3.52(d, J=4.6 Hz, 4H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.06(s, 1H); 7.07(s, 1H); 7.15(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.8, 1.8 Hz, 1H); 7.96(d, J=8.1 Hz, 1H); 8.03(m, 2H); 8.27(s, 1H); 9.87(s, 1H); 10.74(s, 1H). (DMSO-d6)
41c	H		1	H		-	99-102	3398, 2934, 2806, 1458, 1331, 1284, 1153, 1127, 700, 542	2.11(s, 3H); 2.32(m, 6H); 3.35(m, 2H); 3.56(s, 2H); 4.29(s, 2H); 6.98(d, J=8.2 Hz, 1H); 7.29(m, 7H); 7.53(s, 1H); 9.40(s, 1H); 10.94(s, 1H). (DMSO-d6)

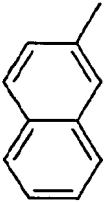
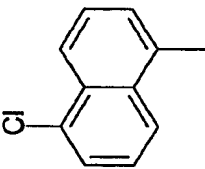
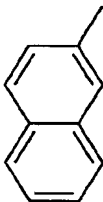
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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
42c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	3	H		-	128-130	3259, 2973, 2939, 2827, 1468, 1332, 1159, 1131, 1075, 670, 555	0.86(t, J=7.0 Hz, 6H); 1.51(t, J=6.9 Hz, 2H); 2.27(t, J=6.9 Hz, 2H); 2.35(q, J=7.0 Hz, 4H); 2.46(m, 2H); 6.77(d, J=8.6 Hz, 1H); 7.00(s, 1H); 7.10(m, 2H); 7.60(m, 2H); 7.72(d, J=8.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.26(s, 1H); 9.86 (b, 1H); 10.67(s, 1H). (DMSO-d6)
43c	H	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	3	H		-	156-158	3247, 2969, 2938, 1467, 1340, 1159, 1113, 1080, 862, 666, 558	0.88(t, J=7.0 Hz, 6H); 1.52(m, 2H); 2.29(m, 5H); 2.37(q, J=7.0 Hz, 4H); 2.47(m, 2H); 6.81(dd, J=8.6, 1.5 Hz, 1H); 7.06(d, J=1.6 Hz, 1H); 7.12(d, J=1.5 Hz, 1H); 7.18(d, J=8.6 Hz, 1H); 7.51(dd, J=8.6, 2.0 Hz, 1H); 7.91(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H); 10.06(b, 1H); 10.76(s, 1H). (DMSO-d6)
44c	H		2	H		-	201-203	3386, 2929, 1466, 1157, 1106, 1080, 992, 861, 650, 564	1.62(m, 4H); 2.29(s, 3H); 2.30(m, 4H); 2.36(m, 2H); 2.63(m, 2H); 6.86(d, J=8.6 Hz, 1H); 7.05(s, 1H); 7.09(s, 1H); 7.21(dd, J=8.6, 2.2 Hz, 1H); 7.50(dd, J=8.7, 2.0 Hz, 1H); 7.92(s, 1H); 7.99(dd, J=8.7, 2.2 Hz, 1H); 10.10(b, 1H); 10.81(s, 1H). (DMSO-d6)

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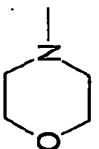
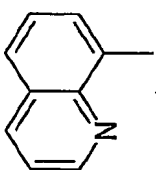
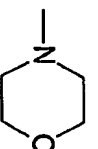
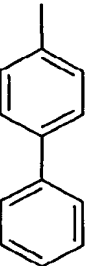
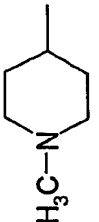
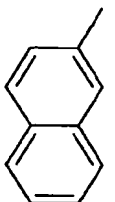
Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
45c	H		2	H		-	212-214	3354, 2964, 2812, 1466, 1201, 1157, 1124, 808, 773, 593	1.66(m, 4H); 2.36(m, 6H); 2.58(m, 2H); 6.71(d, J=8.6 Hz, 1H); 6.93(s, 1H); 7.02(s, 1H); 7.07(d, J=8.6 Hz, 1H); 7.48(m, 1H); 7.68(m, 2H); 8.02(dd, J=7.2, 1.2 Hz, 2H); 8.12(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.10(b, 1H); 10.68(s, 1H). (DMSO- d6)
46c	H		2	H		-	180-182	3375, 2968, 2821, 1467, 1323, 1313, 1146, 1139, 1131, 1079, 972, 654, 549	1.60(m, 4H); 2.26(m, 4H); 2.35(m, 2H); 2.61(m, 2H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.05(m, 2H); 7.14(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.6, 1.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.27(s, 1H); 9.86(b, 1H); 10.72(s, 1H). (DMSO-d6)

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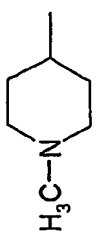
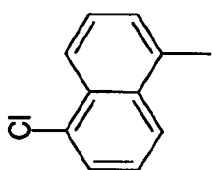
Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A <sup>c</sup>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
47c	H	(CH <sub>3</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> N-	2	H		-	58-64 (desc)	3398, 3255, 2958, 2931, 2872, 1466, 1330, 1156, 1130, 1074, 659, 551	0.79(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.28(t, J=7.3 Hz, 4H); 2.42(m, 2H); 2.57(m, 2H); 6.80(dd, J=8.6, 1.7 Hz, 1H); 7.04(d, J=1.7 Hz, 1H); 7.12(m 2H); 7.60(m, 2H); 7.72(dd, J=8.6, 1.7 Hz, 1H); 7.98(m, 3H); 8.25(s, 1H); 9.87(b, 1H); 10.70(s, 1H). (DMSO-d6)
48c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	201-203	3369, 1473, 1161, 1125, 1017, 789, 619	2.06(s, 6H); 2.15(t, J=8.2 Hz, 2H); 2.52(t, J=8.2 Hz, 2H); 6.69(d, J=8.7 Hz, 1H); 6.85(s, 1H); 7.02(s, 1H); 7.08(d, J=8.7 Hz, 1H); 7.67(m, 2H); 7.84(d, J=7.3 Hz, 1H); 8.10(d, J=7.3 Hz, 1H); 8.41(d, J=8.4 Hz, 1H); 8.79(d, J=8.7 Hz, 1H); 10.15(b, 1H); 10.70(s, 1H). (DMSO-d6)
49c	H	(CH <sub>3</sub> ) <sub>2</sub> N-	2	H		-	180-190	3399, 3255, 2943, 1466, 1330, 1156, 1131, 1075, 659, 550	2.03(s, 6H); 2.22(t, J=8.2 Hz, 2H); 2.58(t, J=8.2 Hz, 2H); 6.80(d, J=8.4 Hz, 1H); 7.04(s, 1H); 7.07(s, 1H); 7.13(d, J=8.6 Hz, 1H); 7.60(m, 2H); 7.74(d, J=8.6 Hz, 1H); 7.95(d, J=7.7 Hz, 1H); 8.02(m, 2H); 8.26(t, J=7.7 Hz, 1H); 9.86(b, 1H); 10.71(s, 1H). (DMSO-d6)

339

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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A°	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
50c	H		2	H		-	234-235	3400, 3279, 2913, 2852, 1464, 1420, 1315, 1163, 1118, 951, 592	2.29(m, 6H); 2.54(m, 2H); 3.57(m, 4H); 6.72(d, J=8.1 Hz, 1H); 7.01(m, 3H); 7.60(t, J=7.7 Hz, 1H); 7.74(d, J=8.4 Hz, 1H); 8.19(m, 2H); 8.52(d, J=8.4 Hz, 1H); 9.21(s, 1H); 9.44(s, 1H); 10.65(s, 1H). (DMSO-d6)
51c	H		2	H		-	225-228	3340, 2857, 1479, 1324, 1153, 1116, 1094, 768, 670, 588	2.29(m, 6H); 2.66(m, 2H); 3.47(m, 4H); 6.84(d, J=8.6 Hz, 1H); 7.07(s, 1H); 7.09(s, 1H); 7.18(d, J=8.4 Hz, 1H); 7.45(m, 3H); 7.70(m, 4H); 7.79(m, 2H); 9.79(s, 1H); 10.77(s, 1H). (DMSO-d6)
52c	H		2	H		-	129-131	3367, 2924, 2852, 2799, 1465, 1311, 1154, 1130, 1077, 666, 557	1.40-1.60(m, 4H); 1.83(m, 2H); 2.14(s, 3H); 2.36(m, 1H); 2.67(d, J=11.2 Hz, 2H); 2.78(d, J=8.4 Hz, 1H); 6.97(s, 1H); 7.09(s, 1H); 7.12(d, J=8.6 Hz, 1H); 7.50-7.68(m, 2H); 7.73(d, J=9.0 Hz, 1H); 8.00(m, 1H); 8.23(s, 1H); 9.78(b, 1H); 10.71(s, 1H). (DMSO-d6)

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Ex	R <sub>1c</sub>	R <sub>2c</sub>	nc	R <sub>3c</sub>	A°	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-RMN (300 MHz), δ (solvent)
53c	H		2	H		-	246-249	3329, 2940, 2916, 1470, 1158, 1125, 1110, 1015, 791, 598	1.35-1.47(m, 4H); 1.86(m, 2H); 2.17(s, 3H); 2.28(m, 1H); 2.76(d, J=10.6 Hz, 2H); 6 .68(d, J=8.8 Hz, 1H); 6.75(s, 1H); 6.94(s 1H); 7.08(d, J=9.0 Hz, 1H); 7.60-7.73(m, 2H); 7.85(d, J=7.1 Hz, 1H); 8.06(d, J=7.1 Hz, 1H); 8.40(d, J=7.9 Hz, 1H); 8.79(d, J=9.0 Hz, 1H); 10.20(b, 1H); 10.68(s, 1H). (DMSO-d6)



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**Preparation of the compounds of general formula (Id):**

Example 1d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide.

5

185.5 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b] thiophene-2-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 4-amino-3-(2-dimethylaminoethyl)-1H-indole in 2 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture was stirred at the room temperature for 20 hours. Then it was evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with chloroform. The organic phase was repeatedly washed with water and saturated solution of sodium bicarbonate, it was separated and dried with anhydrous sodium sulfate. The organic solution was evaporated to dryness and the resulting solid was purified by chromatography, obtaining 111 mg (42%) of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide as a creamy solid.

Example 2d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-2-sulfonamide

20

121 mg (51%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 149.5 mg (0.66 mMol) of naphthalene-2-sulfonyl chloride, by means of the process described in the Example 1d, as a creamy solid.

25

Example 3d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-naphthalene-1-sulfonamide

30

130 mg (55%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 149.5 mg (0.66 mMol) of naphthalene-1-sulfonyl chloride, by means of the process described in the Example 1d, as a creamy solid.

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Example 4d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenylbenzenesulfonamide

- 107 mg (42%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 169 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride, by means of the process described in the Example 1d, as a creamy solid.

Example 5d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-2-(naphthalene-1-yl)-ethanesulfonamide

- 52 mg (21%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 168 mg (0.66 mMol) of 2-(naphthalene-1-yl)-ethanesulfonyl chloride, by means of the process described in the Example 1d, as a yellowish solid.

- Example 6d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-4-phenoxybenzenesulfonamide

- 220 mg (84%) of the mentioned compound were obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 177 mg (0.66 mMol) of 4-phenoxybenzenesulfonyl chloride, by means of the process described in the Example 1d, as a oil.

Example 7d.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-4-yl]-3,5-dichlorobenzenesulfonamide

- 93 mg (38%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 162 mg (0.66 mMol) of 3,5-dichlorobenzenesulfonyl chloride, by means of the process described in Example 1d, as a creamy solid.

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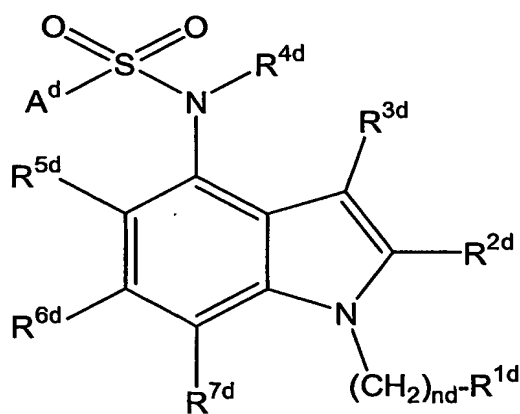
Example 8d.- Preparation of 6-chloro-N-[1-(2-dimethylaminoethyl)-1H-indol-4-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

100 mg of the mentioned compound are obtained from 122 mg (0.6 mMol) of 4-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride via the process described in Example 1 as a creamy solid.

The yields are indicative and no added effort was made to improve them.

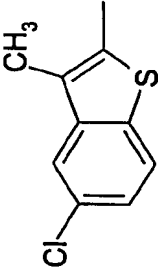
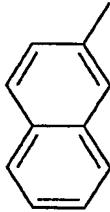
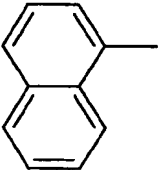
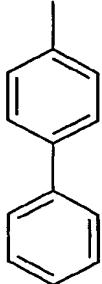
10 The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.

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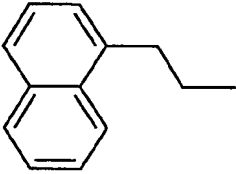
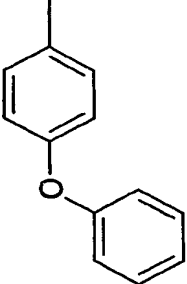
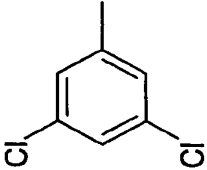


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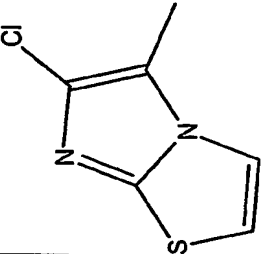
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Ex	R <sub>1d</sub>	R <sub>2d</sub>	R <sub>3d</sub>	R <sub>4d</sub>	R <sub>5d</sub>	R <sub>6d</sub>	R <sub>7d</sub>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		78-80	3430, 2951, 1492, 1328, 1156, 1115, 1079, 859, 750, 649, 569.	2,10(s, 6H); 2,28(s, 3H); 2,50(m, 2H); 4,14(t, 2H, J=6,3 Hz); 6,43(d, 1H, J=2,0 Hz); 6,92(d, 1H, J=7,5 Hz); 7,00(t, 1H, J=7,7 Hz); 7,17(d, 1H, J=2,2 Hz); 7,25(d, 1H, J=7,5 Hz); 7,49(d, 1H, J=8,4 Hz); 7,85(s, 1H); 7,99(d, 1H, J=8,5 Hz). (DMSO-d6)
2d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		158-158	3448, 2821, 1492, 1314, 1238, 1158, 1127, 1075, 1009, 752, 656, 645, 554, 543, 484.	2,08(s, 6H); 2,48(m, 2H); 4,10(t, 2H, J=6,6 Hz); 6,58(d, 1H, J=3,1 Hz); 6,85-6,96(m, 2H); 7,15(d, 1H, J=7,8 Hz); 7,19(d, 1H, J=3,1 Hz); 7,54-7,68(m, 2H); 7,83(dd, 1H, J=8,6 Hz, J'=1,8 Hz); 7,94(d, 1H, J=8,1 Hz). (DMSO-d6)
3d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		169-172	3279, 2943, 1403, 1318, 1162, 1132, 1003, 767, 745.	2,08(s, 6H); 2,46(m, 2H); 4,07(t, 2H, J=6,7 Hz); 6,45(d, 1H, J=3,2 Hz); 6,81(d, 1H, J=6,8 Hz); 6,88(t, 1H, J=7,7 Hz); 7,09(d, 1H, J=8,2 Hz); 7,12(d, 1H, J=3,2 Hz); 7,52(m, 1H); 7,62(m, 1H); 7,70(m, 1H); 8,01(d, 1H, J=8,2 Hz); 8,11(m, 2H), 8,87(d, 1H, J=8,4 Hz). (DMSO-d6)
4d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		137-140	3262, 2943, 1492, 1330, 1160, 1096, 750, 670, 590, 531.	2,10(s, 6H); 2,51(m, 2H); 4,14(t, 2H, J=6,6 Hz); 6,61(d, 1H, J=3,0 Hz); 6,90(d, 1H, J=7,0 Hz); 6,97(t, 1H, J=7,8 Hz); 7,19(d, 1H, J=7,8 Hz); 7,23(d, 1H, J=3,2 Hz); 7,36-7,69(m, 3H); 7,65(d, 2H, J=6,8 Hz); 7,76(AB sys, 2H, J=8,6 Hz); 7,82(AB sys, 2H, J=8,5 Hz). (DMSO-d6)

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Ex	R <sub>1d</sub>	R <sub>2d</sub>	R <sub>3d</sub>	R <sub>4d</sub>	R <sub>5d</sub>	R <sub>6d</sub>	R <sub>7d</sub>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
5d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		47-54	3430, 3255, 2941, 2760, 1492, 1322, 1150, 748.	2,16(s, 6H); 2,59(m, 2H); 3,35(m, 4H); 4,24(t, 2H, J=6,3 Hz); 6,89(m, 1H, J=3,1 Hz); 7,05-7,11(m, 2H); 7,22(m, 1H); 7,28-7,38(m, 4H); 7,41(m, 2H); 7,74(d, 1H, J=7,18 Hz); 7,86(d, 1H, J=8,2 Hz). (DMSO-d6)
6d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		oil	2944, 2776, 1488, 1343, 1244, 1156, 1094, 751, 695	2,12(s, 6H); 2,52(m, 2H); 4,15(t, 2H, J=6,5 Hz); 6,51(d, 1H, J=3,0 Hz); 6,85(d, 1H, J=7,6 Hz); 6,97(m, 3H); 7,03(d, 2H, J=7,6 Hz); 7,20(d, 2H, J=8,1 Hz); 7,24(d, 1H, J=3,2 Hz); 7,42(t, 2H, J=7,9 Hz); 7,70(d, 2H, J=8,9 Hz). (DMSO-d6)
7d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		113-118	3255, 3072, 2935, 1570, 1492, 1340, 1169, 1138, 803, 747, 670, 594.	2,12(s, 6H); 2,54(t, 2H, J=6,6); 4,17(t, 2H, J=6,5 Hz); 6,42(d, 1H, J=3,1 Hz); 6,82(d, 1H, J=7,6 Hz); 7,02(t, 1H, J=8,0 Hz); 7,26-7,30(m, 2H); 7,63(d, 2H, J=1,9 Hz); 7,86(t, 1H, J=1,8 Hz). (DMSO-d6)

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Ex	R <sub>1d</sub>	R <sub>2d</sub>	R <sub>3d</sub>	R <sub>4d</sub>	R <sub>5d</sub>	R <sub>6d</sub>	R <sub>7d</sub>	nd	A <sup>d</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
8d	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		95-100		2,15(s, 6H); 2,56(t, 2H, J=6,2 Hz); 4,17(t, 2H, J=6,6 Hz); 6,31(d, 1H, J=2,8 Hz); 6,89(d, 1H, J=7,3 Hz); 7,01(m, 1H); 7,21(d, 1H, J=3,0 Hz); 7,27(d, 1H, 8,0 Hz); 7,49(d, 1H, J=4,4 Hz); 7,72(d, 1H, J=4,4 Hz). (DMSO-d <sub>6</sub> )

ET0025PCT

**Preparation of the compounds of general formula (Ie):**

Example 2e.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide.

5 150 mg (0.66 mMol) of Naphthalene-2-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 5-amino-1-(2-dimethylaminoethyl)-1H-indole in 3 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture is stirred at the room temperature for 12 hours. Then it is evaporated to dryness, slightly alkalized with sodium bicarbonate solution and  
10 extracted with chloroform. The organic phase is repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulfate. The organic solution is evaporated to dryness and the resulting solid is purified by chromatography, obtaining 187 mg (80%) of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-naphthalene-2-sulfonamide.

15

Example 10e.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo-[1,2,5]thiadiazole-4-sulfonamide 4-sulfonamide

116 mg (0.66 mMol) of benzo-[1,2,5]thiadiazole-4-sulfonyl chloride were added to a solution of 168 mg (0.6 mMol) of 5-amino-1-(2-dimethylaminoethyl)-1H-  
20 indole in 5 ml of pyridine and 311 mg of N-ethyl-diisopropylamine. The reaction mixture is stirred at the room temperature for 2 hours. Then it is evaporated to dryness, slightly alkalized with sodium bicarbonate solution and extracted with chloroform. The organic phase is repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium  
25 sulfate. The organic solution is evaporated to dryness and the resulting solid is treated with diethyl ether obtaining 183 mg (76%) of N-[1-(2-dimethylaminoethyl)-1H-indole-5-yl]-benzo-[1,2,5]thiadiazole-4-sulfonamide 4-sulfonamide.

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## ET0025PCT

Example 17e.- Preparation of N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-indole-5-yl]-naphthalene-1-sulfonamide,

199 mg (0.88 mMol) of naphthalene-1-sulfonyl chloride were added to a solution  
5 of 335 mg (0.8 mMol) of 5-amino-1-(2- pyrrolidine-1-yl-ethyl)-1H-indole in 10 ml  
of methylene chloride and 0,44 mg of triethylamine. The reaction mixture is  
stirred at the room temperature for 12 hours. Then it is slightly alkalized with  
sodium bicarbonate solution and extracted with methylene chloride. The organic  
10 phase is repeatedly washed with water and saturated solution of sodium  
bicarbonate, it is separated and dried with anhydrous sodium sulfate. The  
organic solution is evaporated to dryness and the resulting solid is treated with  
diethyl ether obtaining 264 mg (79%) of N-[1-(2-pyrrolidine-1-yl-ethyl)-1H-  
indole-5-yl]-naphthalene-1-sulfonamide as a solid.

15

Example 29e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-  
naphthalene-2-sulfonamide

The reaction was carried out according to the procedure given in Example 1.  
20 139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 150 mg  
(0.66 mMol) of 2-naphthyl-sulfonyl chloride were reacted to give 115 mg (45 %)  
of the desired compound as a solid.

Example 30e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-  
25 naphthalene-1-sulfonamide

The reaction was carried out according to the procedure given in Example 1.  
139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 150 mg  
(0.66 mMol) of 2-naphthyl-sulfonyl chloride were reacted to give 160 mg (63 %)  
30 of the desired compound as a solid.



## ET0025PCT

## Example 31e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)-4-phenylbenzenesulfonamide

The reaction was carried out according to the procedure given in Example 1.

- 5 139 mg (0.6 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 181 mg (68 %) of the desired compound as an oil.

Example 32e. Preparation of 5-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-3-methylbenzo[b]thiophene-2-sulfonamide

10

The reaction was carried out according to the procedure given in Example 1.

- 15 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 186 mg (0.66 mMol) of 5-chloro-2-methylbenzo[b]thiophene-2-sulfonyl chloride were reacted to give 127 mg (46 %) of the desired compound as a solid.

Example 33e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-2-sulfonamide

20

The reaction was carried out according to the procedure given in Example 1.

- 25 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 150 mg (0.66 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 142 mg (58 %) of the desired compound as a solid.

Example 34e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-naphthalene-1-sulfonamide

25

The reaction was carried out according to the procedure given in Example 1.

- 30 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 150 mg (0.66 mMol) of naphthyl-1-sulfonyl chloride were reacted to give 81 mg (33 %) of the desired compound as a solid.

ET0025PCT

Example 35e. Preparation of 6-chloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide

- 5 The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 170 mg (0.66 mMol) of 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride were reacted to give 96 mg (37 %) of the desired compound as a solid.

- 10 Example 36e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenylbenzenesulfonamide

- The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 160 mg (62 %) of the desired compound as a solid.

Example 37e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-2-(naphth-1-yl)-ethanesulfonamide

- 20 The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 168 mg (0.66 mMol) of 2-(naphth-1-yl)-ethanesulfonyl chloride were reacted to give 108 mg (41 %) of the desired compound as a solid.

- 25 Example 38e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-4-phenoxy-benzenesulfonamide

- The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 177 mg (0.66 mMol) of 4-phenoxy-benzenesulfonyl chloride were reacted to give 89 mg (33 %) of the desired compound as a solid.

- 30

ET0025PCT

Example 39e. Preparation of 3,5-dichloro-N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)-benzenesulfonamide

- 5 The reaction was carried out according to the procedure given in Example 1. 130 mg (0.6 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole and 162mg (0.66 mMol) of 3,5-dichloro-benzenesulfonyl chloride were reacted to give 81 mg (32 %) of the desired compound as a solid.

- 10 Example 40e. Preparation of N-(1-(2-(dimethylamino)ethyl)-2-methyl-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

- The reaction was carried out according to the procedure given in Example 1. 108 mg (0.5 mMol) of 5-amino-1-(2-(dimethylamino)ethyl)-2-methyl-1H-indole  
15 and 128 mg (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 82 mg (39 %) of the desired compound as a solid.

Example 41e. Preparation of N-(1-(2-(diethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

- 20 The reaction was carried out according to the procedure given in Example 1. 115 mg (0.5 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 128 mg (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 91 mg (43 %) of the desired compound as a solid.

- 25 Example 42e. Preparation of N-(1-(2-(dimethylamino)ethyl)-1H-indol-5-yl)benzo[b]thiophene-3-sulfonamide

- The reaction was carried out according to the procedure given in Example 1.  
30 102 mg (0.5 mMol) of 5-amino-1-(2-(diethylamino)ethyl)-1H-indole and 128 mg (0.55 mMol) of benzo[b]thiophene-3-sulfonyl chloride were reacted to give 91 mg (43 %) of the desired compound as a solid.

ET0025PCT

Example 43e. Preparation of 5-chloro-3-methyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzo[b]thiophene-2-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

5 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 143 mg (0.51 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl chloride were reacted to give 89 mg (38 %) of the desired compound as a solid.

Example 44e. Preparation of N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-2-sulfonamide

10

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 116 mg (0.51 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 75 mg (37  
15 %) of the desired compound as a solid.

Example 45e. Preparation of N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide

20 The reaction was carried out according to the procedure given in Example 1.  
118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 116 mg (0.51 mMol) of naphthyl-2-sulfonyl chloride were reacted to give 91 mg (44  
%) of the desired compound as a solid.

25 Example 46e. Preparation of 6-chloro-N-(1-(3-piperidin-1-yl)propyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 131  
30 mg (0.51 mMol) of 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride were reacted to give 91 mg (44 %) of the desired compound as a solid.

## ET0025PCT

Example 47e. Preparation of 4-phenyl-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide

The reaction was carried out according to the procedure given in Example 1.

5 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 129 mg (0.51 mMol) of 4-phenylbenzenesulfonyl chloride were reacted to give 106 mg (49 %) of the desired compound as a solid.

10 Example 48e. Preparation of 2-(naphth-1-yl)-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)ethanesulfonamide

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 130 mg (0.51 mMol) of 2-(naphth-1-yl)ethanesulfonyl chloride were reacted to give  
15 68 mg (31 %) of the desired compound as a solid.

Example 49e. Preparation of 4-phenoxy-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonamide

20 The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 137 mg (0.51 mMol) of 4-phenoxybenzenesulfonyl chloride were reacted to give 86 mg (38 %) of the desired compound as a solid.

25 Example 50e. Preparation of 3,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)benzenesulfonylamide

The reaction was carried out according to the procedure given in Example 1.

118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 125  
30 mg (0.51 mMol) of 3,5-dichlorobenzenesulfonyl chloride were reacted to give 79 mg (37 %) of the desired compound as a solid.

ET0025PCT

Example 51e. Preparation of 4,5-dichloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)thiophene-2-sulfonamide

The reaction was carried out according to the procedure given in Example 1.

5 118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 128 mg (0.51 mMol) of 4,5-dichlorothiophene-2-sulfonyl chloride were reacted to give 68 mg (31 %) of the desired compound as a solid.

Example 52e. Preparation of 5-chloro-N-(1-(3-(piperidin-1-yl)propyl)-1H-indol-5-yl)naphthalene-1-sulfonamide

10

The reaction was carried out according to the procedure given in Example 1.

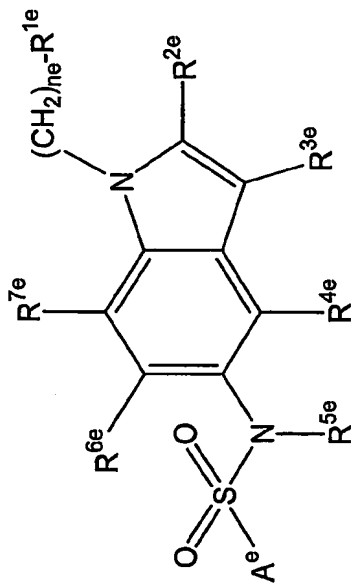
118 mg (0.46 mMol) of 5-amino-1-(3-(piperidin-1-yl)propyl)-1H-indole and 133 mg (0.51 mMol) of 5-chloro-naphthyl-1-sulfonyl chloride were reacted to give 81  
15 mg (37 %) of the desired compound as a solid.

The yields are indicative and no added effort was made to improve them

The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table

20

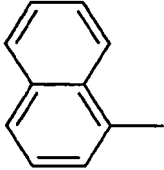
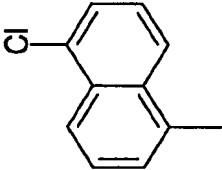
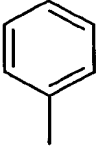
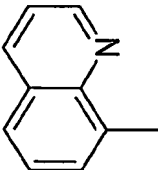
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Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	 CH <sub>3</sub> Cl	71-73	2950, 1334, 1160, 1080, 862, 652, 560.	2.11(s, 6H); 2.36(s, 3H); 2.51(m, 2H); 4.14(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3 Hz); 7.32 (m, 2H); 7.50(dd, 1H, J=8.7 Hz, J'=2.0 Hz); 7.93(d, 1H, J=2.0 Hz); 7.99(d, 1H, J=8.7 Hz). (DMSO-d <sub>6</sub> )
2e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	 CH <sub>3</sub>	54-57	3254, 3049, 2945, 1463, 1330, 1160, 1074, 658, 550.	2.26(s, 6H); 2.63(t, 2H, J=7.1 Hz); 4.14(t, 2H, J=7.1 Hz); 6.35(d, 1H, J=3.1 Hz); 6.88 (dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.10(d, 1H, J=3.1 Hz); 7.15(d, 1H, J=8.6 Hz); 7.31(d, 1H, J=2.0 Hz); 7.50-7.63(m, 2H); 7.69(dd, 1H, J=8.7 Hz, J'=1.8 Hz); 7.84(m, 3H); 8.29(s, 1H). (CDCl <sub>3</sub> )

-356-

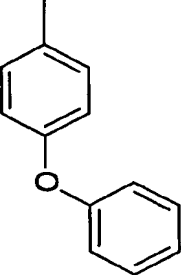
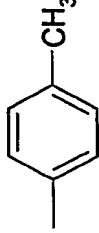
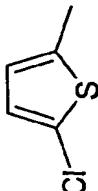
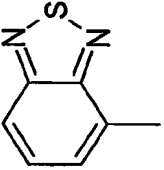
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Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4e</sup>	R <sup>5a</sup>	R <sup>6e</sup>	R <sup>7a</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
3e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		179-181	3106, 2783, 1491, 1318, 1159, 1130, 763, 586, 503.	2,25(s, 6H); 2,63(t, 2H, J=7,0 Hz); 4,11(t, 2H, J=7,0 Hz); 6,28(d, 1H, J=3,1 Hz); 6,68(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,03-7,11(m, 3H); 7,37(m, 1H); 7,58-7,70(m, 2H); 7,94(d, 1H, J=8,7 Hz); 8,00(d, 1H, J=7,9 Hz); 8,06(d, 1H, J=7,3 Hz); 8,73(d, 1H, J=8,7 Hz). (CDCl <sub>3</sub> )
4e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		172-174	3257, 2935, 2768, 1488, 1334, 1167, 1138, 1013, 790, 606.	2,26(s, 6H); 2,63(t, 2H, J=7,1 Hz); 4,13(t, 2H, J=7,1 Hz); 6,30(d, 1H, J=3,1 Hz); 6,66(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,05(d, 1H, J=8,7 Hz); 7,08(d, 1H, J=3,1 Hz); 7,11(d, 1H, J=2,0 Hz); 7,46-7,58(m, 2H); 7,69(d, 1H, J=7,5 Hz); 8,13(d, 1H, J=7,5 Hz); 8,50(d, 1H, J=8,6 Hz); 8,69(d, 1H, J=8,8 Hz). (CDCl <sub>3</sub> )
5e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		139-141	1463, 1334, 1306, 1164, 1090, 725, 589.	2,28(s, 6H); 2,66(t, 2H, J=7,1 Hz); 4,17(t, 2H, J=7,1 Hz); 6,38(d, 1H, J=3,1 Hz); 6,88(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,13(d, 1H, J=3,1 Hz); 7,18(d, 1H, J=8,6 Hz); 7,27(m, 1H); 7,39(m, 2H); 7,48(m, 1H); 7,69(m, 2H). (CDCl <sub>3</sub> )
6e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		161-164	3095, 02821, 2776, 1492, 1459, 1322, 1158, 1141, 782, 736, 596, 507.	2,23(s, 6H); 2,58(t, 2H, J=7,1 Hz); 4,08(t, 2H, J=7,1 Hz); 6,24(d, 1H, J=3,1 Hz); 6,88(dd, 1H, J=8,8, J'=2,0 Hz); 7,03(d, 1H, J=3,1 Hz); 7,07(d, 1H, J=8,8 Hz); 7,10(d, 1H, J=2,0 Hz); 7,51(t, 1H, J=7,8 Hz); 7,64(dd, 1H, J=8,5, J'=4,3 Hz); 8,00(d, 1H, J=8,2 Hz); 8,26(m, 1H); 8,30(dd, 1H, J=8,2, J'=1,5 Hz); 8,40(s, 1H); 9,20(dd, 1H, J=4,1 J'=1,4 Hz). (CDCl <sub>3</sub> )

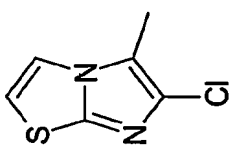
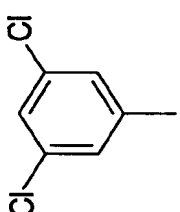
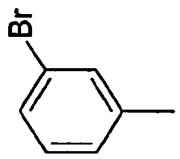
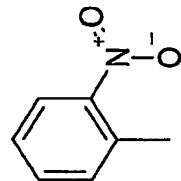


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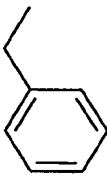
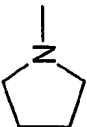
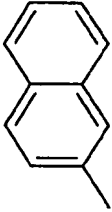
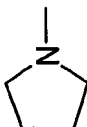
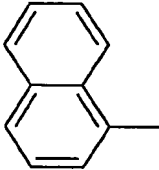
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Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
7e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		138-140	3255, 2951, 1583, 1488, 1332, 1245, 1156, 1092, 866, 695, 569.	2,28(s, 6H); 2,67(t, 2H, J=7,1 Hz); 4,18(t, 2H, J=7,1 Hz); 6,40(d, 1H, J=3,1 Hz); 6,92(m, 3H); 7,02(d, 2H, J=7,7 Hz); 7,14(d, 1H, J=3,1 Hz); 7,20(d, 2H, J=8,5 Hz); 7,28(d, 1H, J=1,9 Hz); 7,37(m, 2H); 7,64(d, 2H, J=8,6 Hz). (CDCl <sub>3</sub> )
8e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		126-128	1474, 1287, 1156, 1088, 973, 730, 654, 554, 538.	2,31(s, 6H); 2,36(s, 3H); 2,72(t, 2H, J=7,1 Hz); 4,20(t, 2H, J=7,1 Hz); 6,39(d, 1H, J=3,1 Hz); 6,90(dd, 1H, J=8,6 Hz, J'=1,6 Hz); 7,13(d, 1H, J=3,1 Hz); 7,16-7,20(m, 3H); 7,26(m, 1H); 7,57(d, 2H, J=8,3 Hz). (CDCl <sub>3</sub> )
9e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		145-147	3095, 2951, 1416, 1319, 1148, 989, 730, 605, 537.	2,33(s, 6H); 2,74(m, 2H); 4,24(t, 2H, J=7,1 Hz); 6,44(d, 1H, J=3,1 Hz); 6,79(d, 1H, J=4,0 Hz); 6,95(dd, 1H, J=8,7 Hz, J'=2,0 Hz); 7,15(d, 1H, J=4,0 Hz); 7,17(d, 1H, J=3,1 Hz); 7,24(d, 1H, J=8,7 Hz); 7,35(d, 1H, J=2,0 Hz). (DMSO-d <sub>6</sub> )
10e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		166-168	3103, 2783, 1526, 1488, 1331, 1154, 1140, 973, 734, 607.	2,26(s, 6H); 2,63(t, 2H, J=7,1 Hz); 4,12(t, 2H, J=7,1 Hz); 6,29(d, 1H, J=3,1 Hz); 6,80(dd, 1H, J=8,7 Hz, J'=2,0 Hz); 7,07(m, 2H); 7,15(d, 1H, J=1,5 Hz); 7,57(dd, 1H, J=8,8 Hz, J'=7,1 Hz); 8,10(d, 1H, J=7,1 Hz); 8,16(d, 1H, J=8,8 Hz). (CDCl <sub>3</sub> )

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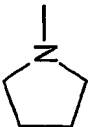
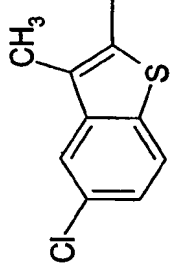
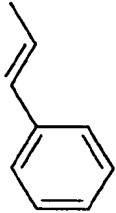
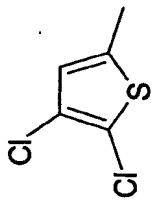
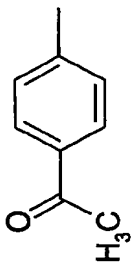
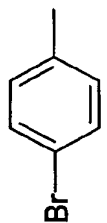
Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
11e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		50-52	3103, 2943, 1457, 1336, 1326, 1244, 1177, 1142, 727, 628, 528.	2,26(s, 6H); 2,64(t, 2H, J=6,4 Hz); 4,16(t, 2H, J=6,4 Hz); 6,39(m, 1H); 6,78 (d, 1H, J=4,0 Hz); 6,94(d, 1H, J=8,4 Hz); 7,15(m, 2H); 7,39(s, 1H); 7,55(d, 1H, J=4,0 Hz). (CDCl <sub>3</sub> )
12e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		124-126	3064, 2935, 1333, 1166, 1136, 596, 587.	2,28(s, 6H); 2,67(t, 2H, J=7,0 Hz); 4,19(t, 2H, J=7,0 Hz); 6,43(d, 1H, J=3,1 Hz); 6,85 (dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,17(d, 1H, J=3,1 Hz); 7,22(d, 1H, J=8,6 Hz); 7,31(d, 1H, J=2,0 Hz); 7,48(t, 1H, J=1,8 Hz); 7,56(d, 2H, J=1,8 Hz). (CDCl <sub>3</sub> )
13e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		114-116	1464, 1335, 1286, 1161, 722, 584.	2,28(s, 6H); 2,67(t, 2H, J=7,0 Hz); 4,19(t, 2H, J=7,0 Hz); 6,41(d, 1H, J=2,9 Hz); 6,87 (d, 1H, J=8,8 Hz); 7,15(d, 1H, J=2,9 Hz); 7,19-7,29(m, 3H); 7,56(d, 1H, J=7,8 Hz); 7,63(d, 1H, J=7,9 Hz); 7,88(s, 1H). (CDCl <sub>3</sub> )
14e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		138-140	1541, 1481, 1365, 1330, 1235, 1150, 1124, 736, 580.	2,28(s, 6H); 2,66(t, 2H, J=7,1 Hz); 4,17(t, 2H, J=7,1 Hz); 6,40(d, 1H, J=2,9 Hz); 7,03 (dd, 1H, J=8,7 Hz, J'=1,8 Hz); 7,15(d, 1H, J=2,9 Hz); 7,21(d, 1H, J=8,7 Hz); 7,39(d, 1H, J=1,8 Hz); 7,48(m, 1H); 7,65(m, 1H); 7,71(d, 1H, J=8,8 Hz); 7,86(d, 1H, J=7,8 Hz). (CDCl <sub>3</sub> )

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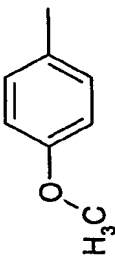
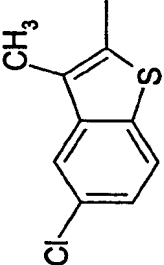
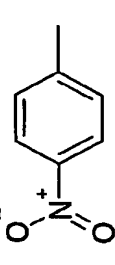
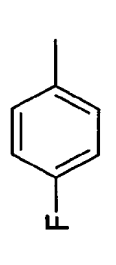
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15e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		163-166	1329, 1288, 1153, 1128, 694, 545, 509.	2,30(s, 6H); 2,70(t, 2H, J=7,1 Hz); 4,22(t, 2H, J=7,1 Hz); 4,29(s, 2H); 6,48(d, 1H, J=3,1 Hz); 7,04(dd, 1H, J=8,8 Hz, J'=2,2 Hz); 7,19(d, 1H, J=3,1 Hz); 7,31(d, 1H, J=8,8 Hz); 7,33-7,40(m, 5H); 7,49(d, 1H, J=2,2 Hz). (CDCl <sub>3</sub> )
16e		H	H	H	H	H	H	2		138-140	2960, 1481, 1323, 1161, 1151, 1074, 659, 549, 490.	1,57(m, 4H); 2,37(m, 4H); 2,66(t, 2H, J=6,8 Hz); 4,12(t, 2H, J=6,8 Hz); 6,25(d, 1H, J=3,1 Hz); 6,82(dd, 1H, J=8,8 Hz, J'=2,0 Hz); 7,22(d, 1H, 2,0 Hz); 7,25(d, 1H, J=8,6 Hz); 7,29(d, 1H, J=3,1 Hz); 7,54-7,66(m, 2H); 7,74(dd, 1H, J=8,7 Hz, J'=1,8 Hz); 7,94(m, 1H); 8,03(m, 2H); 8,28(s, 1H). (CDCl <sub>3</sub> )
17e		H	H	H	H	H	H	2		186-189	2814, 1491, 1291, 1158, 1128, 763, 585.	1,59(m, 4H); 2,39(m, 4H); 2,67(t, 2H, J=6,8 Hz); 4,11(t, 2H, J=6,8 Hz); 6,21(d, 1H, J=3,1 Hz); 6,70(dd, 1H, J=8,8 Hz, J'=1,8 Hz); 7,10(d, 1H, 1,8 Hz); 7,20(d, 1H, J=8,8 Hz); 7,27(d, 1H, J=3,1 Hz); 7,50(m, 1H); 7,60-7,74(m, 2H); 8,03(m, 2H); 8,11(d, 1H, J=8,1 Hz); 8,76(d, 1H, J=8,6 Hz). (CDCl <sub>3</sub> )

-360-

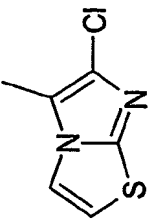
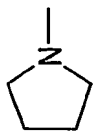
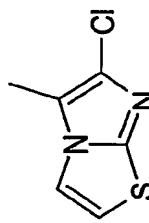
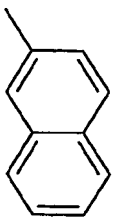
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Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>o</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
18e		H	H	H	H	H	H	2		156-158	2950, 2803, 1491, 1325, 1156, 1078, 650, 564.	1.59(m, 4H); 2.36(m, 4H); 2.69(t, 2H, J=6.6 Hz); 4.11(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3.1 Hz); 6.83(dd, 1H, J=8.7 Hz, J'=1.9 Hz); 7.25(d, 1H, 1.9 Hz); 7.32(m, 2H); 7.50(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.92(d, 1H, J=2.0 Hz); 7.98(d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )
19e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		108-111	2943, 2821, 1516, 1139, 752, 728, 542, 531.	2.28(s, 6H); 2.68(t, 2H, J=7.1 Hz); 4.19(t, 2H, J=7.1 Hz); 6.43(d, 1H, J=3.1 Hz); 6.82(d, 1H, J=16.6 Hz); 7.09(dd, 1H, J=8.6, J'=2.0 Hz); 7.15(d, 1H, J=3.3 Hz); 7.25(m, 1H); 7.33-7.44(m, 6H); 7.49(d, 1H, J=2.0 Hz). (CDCl <sub>3</sub> )
20e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		120-123	3095, 2943, 1421, 1325, 1148, 1020, 730, 609, 534.	2.30(s, 6H); 2.69(t, 2H, J=7.1 Hz); 4.21(t, 2H, J=7.1 Hz); 6.46(d, 1H, J=3.1 Hz); 6.93(dd, 1H, J=8.6, J'=2.0 Hz); 7.17(s, 1H); 7.18(d, 1H, J=3.3 Hz); 7.25(m, 1H); 7.39(d, 1H, J=2.0 Hz). (CDCl <sub>3</sub> )
21e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		175 (desc)	1686, 1164, 1094, 637, 534, 475.	2.12(s, 6H); 2.53(t, 2H, J=6.6 Hz); 4.15(t, 2H, J=6.6 Hz); 6.30(d, 1H, J=3.1 Hz); 6.80(dd, 1H, J=8.6, J'=2.2 Hz); 7.19(d, 1H, J=2.0 Hz); 7.30-7.34(m, 2H); 7.57(AB sist., 2H, J=8.4 Hz); 7.70(AB sist., 2H, J=8.4 Hz). (DMSO-d <sub>6</sub> )
22e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		114-117	2943, 1573, 1469, 1321, 1161, 1088, 737, 630, 538.	2.13(s, 6H); 2.50-2.55(m, 5H); 4.14(t, 2H, J=6.3 Hz); 6.29(d, 1H, J=3.0 Hz); 6.82(d, 1H, J=8.2 Hz); 7.20(s, 1H); 7.29-7.32(m, 2H); 7.79(AB sist., 2H, J=8.4 Hz); 8.02(AB sist., 2H, J=8.4 Hz). (DMSO-d <sub>6</sub> )

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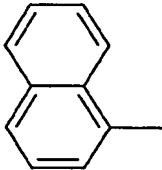
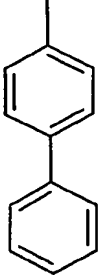
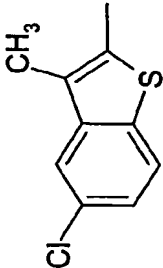
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23e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		141-144	2935, 1598, 1497, 1333, 1258, 1159, 1093, 1018, 836, 568, 560.	2,28(s, 6H); 2,67(t, 2H, J=7,1 Hz); 4,18(t, 2H, J=7,1 Hz); 6,38(d, 1H, J=3,1 Hz); 6,84(AB Sist., 2H, J=9,0 Hz); 6,90(dd, 1H, J=8,8, J'=2,0 Hz); 7,13(d, 1H, J=3,3 Hz); 7,19(d, 1H, J=8,8 Hz); 7,27(d, 1H, J=2,0 Hz); 7,62(AB sist., 2H, J=9 Hz). (CDCl <sub>3</sub> )
24e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		53-56	2969, 1486, 1334, 1161, 114, 1080, 862, 729, 652, 560.	0,78(m, 6H); 2,32(s, 3H); 2,42(m, 4H); 2,65(m, 2H); 4,12(m, 2H); 6,30(d, 1H, J=3,0 Hz); 6,82(d, 1H, J=8,6 Hz); 7,25(d, 1H, 1,7 Hz); 7,32(m, 2H); 7,50(dd, 1H, J=8,7 Hz, J'=1,9 Hz); 7,91(d, 1H, J=1,7 Hz); 7,99(d, 1H, J=8,6 Hz). (CDCl <sub>3</sub> )
25e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		60-64	3095, 2768, 1529, 1349, 1165, 1090, 736.	2,29(s, 6H); 2,67(t, 2H, J=7,0 Hz); 4,18(t, 2H, J=7,0 Hz); 6,40(d, 1H, J=3,1 Hz); 6,85(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,16(d, 1H, J=3,1 Hz); 7,18(d, 1H, J=8,6 Hz); 7,29(d, 1H, J=2,0 Hz) 7,85(AB sys, J=8.8 Hz, 2 H); 8,21(AB sys, J=8.8 Hz, 2 H). (CDCl <sub>3</sub> )
26e	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		138-140	3103, 2951, 1587, 1491, 1335, 1166, 1089, 557, 542.	2,35(s, 6H); 2,83(m, 2H); 4,28(t, 2H, J=6,7 Hz); 6,40(d, 1H, J=3,0 Hz); 6,83(dd, 1H, J=8,6 Hz, J'=2,0 Hz); 7,20(d, 1H, J=1,9 Hz); 7,30-7,38(m, 4H); 7,70-7,75(m, 2H). (DMSO-d6).

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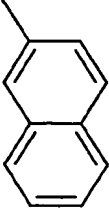
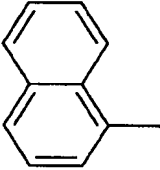
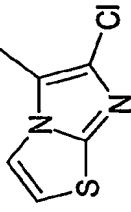
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27e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		68-70	3110, 2969, 1458, 1271, 1249, 1179, 1140, 727, 651.	1,00(t, 6H, J=7,0 Hz); 2,60(q, 4H, J=7,0 Hz); 2,81(t, 2H, J=6,7 Hz); 4,21(t, 2H, J=6,7 Hz); 6,38(d, 1H J=3,0 Hz); 6,79 (d, 1H, J=4,5 Hz); 6,96(dd, 1H, J=8,6, J'=1,7 Hz); 7,14(d, 1H, 3,0 Hz); 7,19(d, 1H, J=8,8 Hz); 7,40(d, 1H, J=1,5 Hz); 7,59(d, 1H, J=4,4 Hz). (CDCl <sub>3</sub> )
28e		H	H	H	H	H	H	2		81-84	3119, 2951, 2798, 1458, 1271, 1248, 1178, 1140, 727, 623.	1,85(m, 6H); 2,68(m, 4H); 3,00(m, 2H); 4,38(m, 2H); 6,40(d, 1H J=3,1 Hz); 6,82 (d, 1H, J=4,5 Hz); 6,96(d, 1H, J=8,6 Hz); 7,19(d, 1H, 2,7 Hz); 7,22(m, 1H); 7,41(m, 1H); 7,64(d, 1H, J=4,5 Hz). (CDCl <sub>3</sub> )
29e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		97-104		0,95(t, 6H, J=7,1 Hz); 2,54(q, 4H, J=7,0 Hz); 2,76(t, 2H, J=6,7 Hz); 4,07(t, 2H, J=6,7 Hz); 6,66(dd, 1H, J=8,5 J'=1,7 Hz); 6,91(s, 1H); 6,97(s, 1H); 7,01(d, 1H, J=8,8 Hz); 7,22(dd, 1H, J=8,6, J'=1,6 Hz); 7,26(s, 1H); 7,42-7,55(m, 3H); 7,63(d, 1H, J=8,1 Hz); 7,70(d, 1H, J=8,2 Hz); 8,03(s, 1H); 9,95(s, 1H). (CDCl <sub>3</sub> )

-363-

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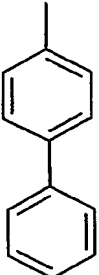
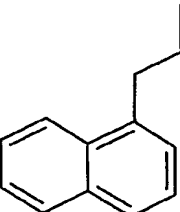
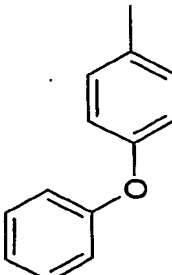
Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	A <sup>a</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
30e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H		133-135		0,87(m, 6H); 2,58(m, 4H); 2,76(m, 2H); 4,14(m, 2H); 6,24(s, 1H); 6,73(d, 1H, J=8,8 Hz); 7,11(s, 1H); 7,21(d, 1H, J=8,0 Hz); 7,29(s, 1H); 7,50(t, 1H, J=7,8 Hz); 7,63-7,71(m, 2H); 8,04(d, 2H, J=7,5 Hz); 8,13(d, 1H, J=8,2 Hz); 8,76(d, 1H, J=8,2 Hz); 10,21(s, 1H). (DMSO-d6)
31e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H		Oil		0,83(m, 6H); 2,50(m, 4H); 2,70(m, 2H); 4,13(m, 2H); 6,30(d, 1H, J=2,6 Hz); 6,87(d, 1H, J=8,6 Hz); 7,24(s, 1H); 7,30(m, 2H); 7,44(m, 3H); 7,66(d, 2H, J=7,2 Hz); 7,72(AB sys, 2H, J=8,5 Hz); 7,78(AB sys, 2H, J=8,5 Hz); 9,91(s, 1H). (DMSO-d6)
32e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H		203-205		2,13(s, 6H); 2,33(s, 6H); 2,39(t, 2H, J=7,0 Hz); 4,07(t, 2H, J=6,8 Hz); 6,08(s, 1H); 6,76(dd, 1H, J=8,6, J'=2,0 Hz); 7,13(d, 1H, J=2,0 Hz); 7,20(d, 1H, J=8,6 Hz); 7,51(dd, 1H, J=8,7, J'=2,0 Hz); 7,93(d, 1H, J=2,0 Hz); 8,00(d, 1H, J=8,8 Hz); 10,20(s, 1H). (DMSO-d6)

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Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
33e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		199-200		2,11(s, 6H); 2,30(s, 3H); 2,35(t, 2H, J=7,0 Hz); 4,03(t, 2H, J=7,0 Hz); 6,03(s, 1H); 6,75(dd, 1H, J=8,6, J'=2,0 Hz); 7,10(d, 1H, J=2,0 Hz); 7,13(d, 1H, J=8,6 Hz); 7,54-7,67(m, 2H); 7,73(dd, 1H, J=8,6, J'=1,8 Hz); 7,95(d, 1H, J=7,9 Hz); 8,02(d, 2H, J=8,6 Hz); 8,27(d, 1H, J=1,5 Hz); 9,89(s, 1H). (DMSO-d6)
34e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		183-184		2,12(s, 6H); 2,29(s, 3H); 2,35(t, 2H, J=7,0 Hz); 4,01(t, 2H, J=7,0 Hz); 5,98(s, 1H); 6,62(dd, 1H, J=8,7, J'=1,9 Hz); 6,98(d, 1H, J=2,0 Hz); 7,07(d, 1H, J=8,6 Hz); 7,49(m, 1H); 7,63(m, 1H); 7,70(m, 1H); 8,02(d, 2H, J=7,5 Hz); 8,12(d, 1H, J=8,0 Hz); 8,75(d, 1H, J=8,4 Hz); 10,15(s, 1H). (DMSO-d6)
35e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		182-183		2,14(s, 6H); 2,34(s, 3H); 2,39(m, 2H); 4,01(m, 2H); 6,09(s, 1H); 6,70(dd, 1H, J=8,5, J' 1,8 Hz); 7,08(d, 1H, J=1,8 Hz); 7,21(d, 1H, J=8,5 Hz); 7,51(d, 1H, J=4,5 Hz); 7,80(d, 1H, J=4,5 Hz). (DMSO-d6)

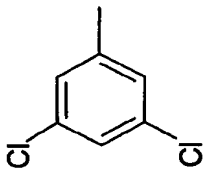
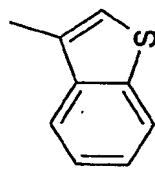
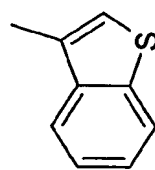


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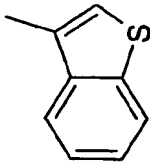
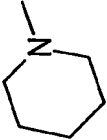
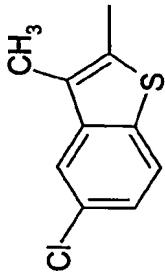
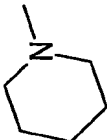
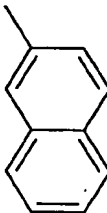
Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz) δ (solvent)
36e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		176-177		2,14(s, 6H); 2,33(s, 3H); 2,39(t, 2H, J=7,1 Hz); 4,06(t, 2H, J=7,1 Hz); 6,07(s, 1H); 6,79(dd, 1H, J=8,8, J'=2,0 Hz); 7,11(d, 1H, J=1,8 Hz); 7,19(d, 1H, J=8,8 Hz); 7,36-7,48(m, 3H); 7,66(m, 2H); 7,72(AB sys, 2H, J=8,8 Hz); 7,79(AB sys, 2H, J=8,8 Hz); 9,85(s, 1H). (DMSO-d6)
37e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		135-137		2,17(s, 6H); 2,38(s, 3H); 2,45(m, 2H); 3,30-3,45(m, 4H); 4,14(t, 2H, J=6,7 Hz); 6,15(s, 1H); 7,04(d, 1H, J=8,5 Hz); 7,26(m, 1H); 7,30-7,38(m, 4H); 7,44(m, 1H); 7,65(d, 1H, J=8,2 Hz); 7,62(m, 1H); 7,87(d, 1H, J=8,2 Hz); 9,56(s, 1H). (DMSO-d6)
38e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		147-149		2,20(s, 6H); 2,34(s, 3H); 2,45(m, 2H); 4,10(t, 2H, J=7,1 Hz); 6,08(s, 1H); 6,76(dd, 1H, J=8,6, J'=2,0 Hz); 6,99(d, 2H, J=8,8 Hz); 7,03-7,08(m, 3H); 7,17-7,24(m, 2H); 7,41(t, 2H, J=7,8 Hz); 7,63(d, 2H, J=8,8 Hz); 9,73(s, 1H). (DMSO-d6)

-366-

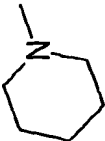
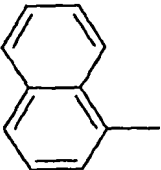
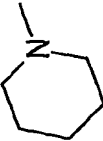
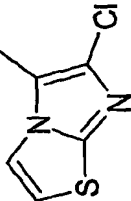
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Ex	R <sup>1e</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	n <sup>e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
39e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		147-149		2,15(s, 6H); 2,35(s, 3H); 2,41(t, 2H, J=6,7 Hz); 4,10(t, 2H, J=7,1 Hz); 6,12(s, 1H); 6,71(dd, 1H, J=8,6, J'=2,0 Hz); 7,09(d, 1H, J=1,8 Hz); 7,24(d, 1H, J=9,0 Hz); 7,58(d, 2H, J=1,9 Hz); 7,90(t, 1H, J=1,9 Hz). (DMSO-d6)
40e	(CH <sub>3</sub> ) <sub>2</sub> N—	CH <sub>3</sub>	H	H	H	H	H	2		167-169		2,14(s, 6H); 2,31(s, 3H); 2,38(m, 2H); 4,04(t, 2H, J=7,1 Hz); 6,02(s, 1H); 6,66(dd, 1H, J=8,4, J'=1,8 Hz); 7,04(d, 1H, J=1,6 Hz); 7,12(d, 1H, J=8,2 Hz); 7,40-7,51(m, 2H); 8,03(d, 1H, J=7,6 Hz); 8,21(d, 1H, J=7,9 Hz); 8,31(s, 1H); 10,08(s, 1H). (DMSO-d6)
41e	(CH <sub>3</sub> CH <sub>2</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		62-75		0,98(m, 6H); 2,54(m, 4H); 2,70(m, 2H); 4,18(m, 2H); 6,29(s, 1H); 6,77(d, 1H, J=8,5 Hz); 7,18(s, 1H); 7,34(m, 2H); 7,39-7,52(m, 2H); 8,03(d, 1H, J=7,9 Hz); 8,22(d, 1H, J=7,5 Hz); 8,34(s, 1H); 10,18(s, 1H). (CDCl <sub>3</sub> )

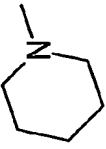
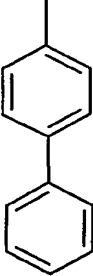
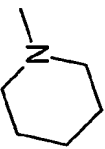
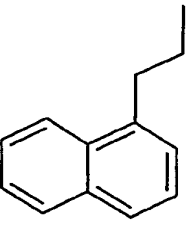
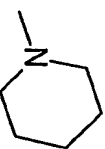
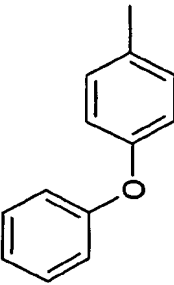
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Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5e</sup>	R <sup>6e</sup>	R <sup>7e</sup>	ne	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
42e	(CH <sub>3</sub> ) <sub>2</sub> N—	H	H	H	H	H	H	2		61-72		2,12(s, 6H); 2,50(m, 2H); 4,12(t, 2H, J=6,7 Hz); 6,25(d, 1H, J=3,1 Hz); 6,75(dd, 1H, J=8,7, J'=2,1 Hz); 7,17(d, 1H, J=1,9 Hz); 7,25(d, 1H, J=8,9 Hz); 7,30(d, 1H, J=3,2 Hz); 7,48(m, 2H); 8,04(d, 1H, J=7,0 Hz); 8,24(d, 1H, J=7,4 Hz); 8,34(s, 1H); 10,14(s, 1H). (CDCl <sub>3</sub> )
43e		H	H	H	H	H	H	3		82-92		1,20-1,55(m, 6H); 1,88(m, 2H); 2,33(s, 3H); 2,16-2,60(m, 6H); 4,10(t, 2H, J=6,6 Hz); 6,34(d, 1H, J=3,2 Hz); 6,82(d, 1H, J=9,9 Hz); 7,27-7,35(m, 3H); 7,50(dd, 1H, J=8,7, J'=2,0 Hz); 7,91(d, 1H, J=2,2 Hz); 7,99(d, 1H, J=8,6 Hz); 10,20(bs, 1H). (DMSO-d <sub>6</sub> )
44e		H	H	H	H	H	H	3		92-108		1,20-1,55(m, 6H); 1,87(m, 2H); 2,22-2,62(m, 6H); 4,06(t, 2H, J=6,6 Hz); 6,28(d, 1H, J=2,9 Hz); 6,83(dd, 1H, J=8,7, J'=2,0 Hz); 7,24(d, 1H, J=2,0 Hz); 7,27 (m, 2H); 7,59(m, 1H); 7,64(m, 1H); 7,75(dd, 1H, J=8,8, J'=1,9 Hz); 7,95(d, 1H, J=7,5 Hz); 8,03(d, 2H, J=8,5 Hz); 8,28(s, 1H); 9,97(s, 1H). (DMSO-d <sub>6</sub> )

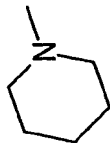
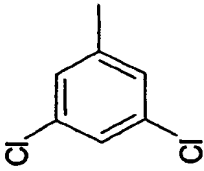
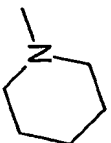
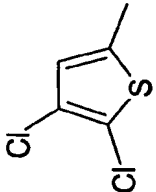
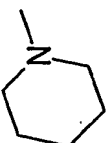
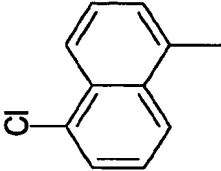
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Ex	R <sup>1a</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A°	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
45e		H	H	H	H	H	H	3		105-107		1,25-1,55(m, 6H); 1,81(m, 2H); 2,03-2,60(m, 6H); 4,03(t, 2H, J=6,4 Hz); 6,23(d, 1H, J=3,1 Hz); 6,70(d, 1H, J=8,9 Hz); 7,11(d, 1H, J=1,8 Hz); 7,20(d, 1H, J=8,9 Hz); 7,24(d, 1H, J=3,1 Hz); 7,49(dd, 1H, J=8,1, J'=7,4 Hz); 7,59-7,66(m, 1H); 7,66-7,73(m, 1H); 8-8,05(m, 2H); 8,12(d, 1H, J=8,2 Hz); 8,75(d, 1H, J=7,8 Hz); 10,20(bs, 1H). (DMSO-d6)
46e		H	H	H	H	H	H	3		85-86		1,36 (m, 2H); 1,49(m, 4H); 1,86(m, 2H); 2,15-2,44(m, 6H); 4,10(t, 2H, J=6,7 Hz); 6,33(d, 1H, J=3,1 Hz); 6,79(dd, 1H, J=8,7, J'=2,0 Hz); 7,21(d, 1H, J=2,0 Hz); 7,30-7,36(m, 2H); 7,52(d, 1H, J=4,4 Hz); 7,83(d, 1H, J=4,4 Hz); 10,25(bs, 1H). (DMSO-d6)

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Ex	R <sup>1e</sup>	R <sup>2e</sup>	R <sup>3e</sup>	R <sup>4e</sup>	R <sup>5a</sup>	R <sup>6e</sup>	R <sup>7e</sup>	A <sup>e</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
47e		H	H	H	H	H	H		148-150		1,34 (m, 2H); 1,47(m, 4H); 1,86(m, 2H); 2,03-2,55(m, 6H); 4,09(t, 2H, J=6,6 Hz); 6,32(d, 1H, J=2,8 Hz); 6,87(dd, 1H, J=8,9, J'=1,8 Hz); 7,26(d, 1H, J=1,9 Hz); 7,28-7,34(m, 2H); 7,36-7,49(m, 3H); 7,66(m, 2H); 7,73(AB sys, 2H, J=8,8 Hz); 7,79(AB sys, 2H, J=8,8 Hz); 9,91(s, 1H). (DMSO-d6)
48e		H	H	H	H	H	H		59-61		1,20-1,56(m, 6H); 1,89(m, 2H); 2,12-2,50(m, 6H); 3,26-3,47(m, 4H); 4,16(t, 2H, J=6,2 Hz); 6,40(d, 1H, J=2,3 Hz); 7,13(d, 1H, J=8,6 Hz); 7,24(t, 1H, J=7,5 Hz); 7,34-7,50(m, 6H); 7,64(d, 1H, J=8,4 Hz); 7,76(m, 1H); 7,87(d, 1H, J=8,2 Hz); 9,65(s, 1H). (DMSO-d6)
49e		H	H	H	H	H	H		64-66		1,20-1,58(m, 6H); 1,90(m, 2H); 2,20-2,55(m, 6H); 4,09(t, 2H, J=6,4 Hz); 6,33(s, 1H); 6,84(dd, 1H, J=8,4 Hz); 6,96-7,02(m, 2H); 7,04(d, 2H, J=7,9 Hz); 7,17-7,24(m, 2H); 7,32(m, 2H); 7,41(m, 2H); 7,64(d, 2H, J=8,6 Hz); 9,79(s, 1H). (DMSO-d6)

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Ex	R <sup>10</sup>	R <sup>2a</sup>	R <sup>3a</sup>	R <sup>4a</sup>	R <sup>5a</sup>	R <sup>6a</sup>	R <sup>7a</sup>	ne	A <sup>o</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
50e		H	H	H	H	H	H	3		56-58		1,35(m, 2H); 1,46(m, 4H); 1,83(m, 2H); 2,10(m, 2H); 2,24(m, 4H); 4,11(t, 2H, J=6,4 Hz); 6,36(d, 1H, J=2,6 Hz); 6,78(dd, 1H, J=8,8, J'=1,8 Hz); 7,22(d, 1H, J=1,90 Hz); 7,33(d, 1H, J=2,9 Hz); 7,37(d, 1H, J=8,8 Hz); 7,58(d, 2H, J=8,6 Hz); 7,89(t, 1H, J=1,9 Hz); 9,99(s, 1H). (DMSO-d6)
51e		H	H	H	H	H	H	3		70-72		1,38(m, 2H); 1,52(m, 4H); 1,91(m, 2H); 2,24(m, 2H); 2,37(m, 4H); 4,16(t, 2H, J=6,6 Hz); 6,42(d, 1H, J=2,5 Hz); 6,89(d, 1H, J=8,6 Hz); 7,32(s, 1H); 7,37(d, 1H, J=2,8 Hz); 7,43(d, 1H, J=8,6 Hz); 7,48(s, 1H). (DMSO-d6)
52e		H	H	H	H	H	H	3		92-96		1,13-1,70(m, 6H); 2,00(m, 2H); 2,68(m, 2H); 2,84(m, 2H); 3,26(m, 2H); 4,05(m, 2H); 6,22(d, 1H, J=3,1 Hz); 6,71(m, 1H); 7,10-7,18(m, 3H); 7,52-7,53(m, 2H); 7,72(m, 1H); 8,15(d, 1H, J=7,3 Hz); 8,37(d, 1H, J=8,5 Hz); 8,77(d, 1H, J=8,5 Hz); 8,97(bs, 1H); 10,23(bs, 1H). (DMSO-d6 + TFA)

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**Preparation of the compounds of general formula (If):**

Example 1f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide.

- 5 185.6 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b] thiophene-2-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole in 2 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture is stirred at the room temperature for 20 hours. Then it is evaporated to dryness, slightly alkalized with sodium
- 10 bicarbonate solution and extracted with chloroform. The organic phase is repeatedly washed with water and saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulfate. The organic solution is evaporated to dryness and the resulting solid is purified by chromatography, obtaining 180 mg (67%) of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-5-
- 15 chloro-3-methyl-benzo[b]thiophene-2-sulfonamide as an amorphous solid.

Example 2f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-naphthalene-2-sulfonamide

- 187 mg (80%) of the mentioned compound are obtained from 122 mg (0.6
- 20 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 150 mg (0.66 mMol) of 2-naphthalenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 3f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-naphthalene-1-sulfonamide

- 157 mg (67%) of the mentioned compound are obtained from 122 mg (0.6
- 25 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 150 mg (0.66 mMol) of 1-naphthalenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

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Example 4f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

170 mg (67%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 5f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-4-phenylbenzenesulfonamide

184 mg (73%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 6f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-2-(naphthalene-1-yl)-ethanesulfonamide

100 mg (40%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 168 mg (0.66 mMol) of 2-(naphthalene-1-yl)-ethanesulfonyl chloride, by means of the process described in the Example 1f, as a solid.

Example 7f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-4-phenoxybenzenesulfonamide

190 mg (73%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 177 mg (0.66 mMol) of 4-phenoxybenzenesulfonyl chloride, by means of the process described in the Example 1f, as a solid.



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Example 8f.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-6-yl]-3,5-dichlorobenzenesulfonamide

100 mg (41%) of the mentioned compound are obtained from 122 mg (0.6  
5 mMol) of 6-amino-1-(2-dimethylaminoethyl)-1H-indole and 162 mg (0.66 mMol) of 3,5-dichlorobenzenesulfonyl chloride, by means of the process described in Example 1f, as a solid.

Example 9f.- Preparation of 5-Chloro-3-methyl-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-  
10 indol-6-yl]-benzo[b]thiophene-2-sulfonamide

165 mg (58 %) of the mentioned compound were obtained from 137 mg (0.6  
mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 186 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b]-thiophene-2-sulfonyl chloride by means of the  
15 process described in Example 1 as a solid.

Example 10f.- Preparation of N-(1-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-6-yl)-  
naphthyl-2-sulfonamide

20 142 mg (57 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 150 mg (0.66 mMol) naphthalenesulfonyl chloride by means of the process described in Example 1 as a solid.

25 Example 11f.- Preparation of N-[1-[2-Pyrrolidin-1-yl]ethyl]-1H-indol-6-yl]-  
naphthalene-1-sulfonamide

166 (66 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 150 mg (0.66 mMol)  
30 naphthalenesulfonyl chloride by means of the process described in Example 1 as a solid.

## ET0025PCT

Example 12f.- Preparation of 6-Chloro-N-[1-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-6-yl]-imidazo[2,1-b]thiazole-5-sulfonamide

170 mg (59%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 170 mg 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride by means of the process described in Example 1 as a solid.

Example 13f.- Preparation of 4-Phenyl-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)benzenesulfonamide

205 mg (77%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 169 mg (0.66 mmol) of 4-phenylbenzenesulfonyl chloride by means of the process described in Example 1 as an oil.

Example 14f.- Preparation of 2-(Naphthyl-1-yl)-N-(1-(2-(pyrrolidin-1-yl)-ethansulfonamid

182 mg (68%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 182 mg (0.66 mmol) of 2-naphthalene-ethansulfonyl chloride by means of the process described in Example 1 as a solid.

Example 15f.- Preparation of 4-Phenoxy-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-6-yl)-benzenesulfonamide

185 mg (67%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 177 mg (0.66 mmol) of 4-phenoxybenzenesulfonyl chloride by means of the process described in Example 1 as a solid.

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Example 16f.-Preparation of 3,5-Dichloro-N-(1-(2-(Pyrrolidin-1-yl)-1H-indol-6-yl)-benzenesulfonamide

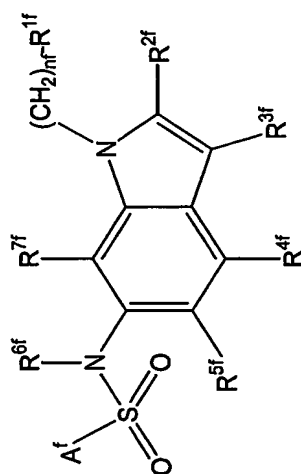
122 mg (46%) of the mentioned compound were obtained from 137 mg (0.6  
5 mMol) of 6-amino-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol and 162 mg (0.66 mmol) of 3,5-dichlorobenzenesulfonyl chloride by means of the process described in Example 1 as a solid.

The yields are indicative and no added effort was made to improve them.

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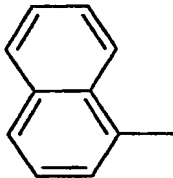
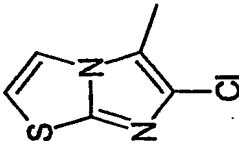
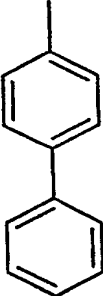
The melting point and spectroscopic data for identifying some of the compounds of the present invention are indicated in the following table.

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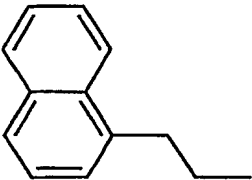
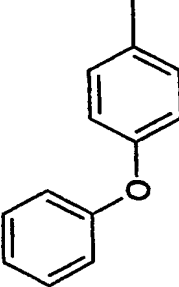
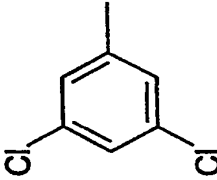


Ex	R <sup>1f</sup>	R <sup>2f</sup>	R <sup>3f</sup>	R <sup>4f</sup>	R <sup>5f</sup>	R <sup>6f</sup>	R <sup>7f</sup>	nf	A <sup>f</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
1f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3422, 3247, 2943, 1467, 1340, 1158, 1114, 1080, 862, 651,557.	2.19 (s, 9H); 2.55 (t, 2H, J=6.7 Hz); 4.13 (t, 2H, J=6.7 Hz); 6.42 (d, 1H, 3.1Hz); 6.69 (dd, 1H, J=8.3 Hz, J'=1.9 Hz); 7.13 (d, 1H, 3.1Hz); 7.23 (m, 1H); 7.45-7.37 (m, 2H); 7.63 (d, 1H, J=2.0 Hz); 7.69 (d, 1H, J=8.6 Hz). (DMSO-d6)
2f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		140-143	3422, 3246, 2935, 2760, 1468, 1336, 1159, 1132, 1074, 753, 711, 678, 553.	2.19 (s, 6H); 2.55 (t, 2H, J=7.0 Hz); 4.11 (t, 2H, J=7.0 Hz); 6.39 (d, 1H, J=3.1Hz); 6.67 (dd, 1H, J=8.3 Hz, J'=1.4 Hz); 7.10 (d, 1H, J=3.1Hz); 7.19 (s, 1H); 7.39 (d, 1H, J=8.4 Hz); 7.49-7.65 (m, 2H); 7.69 (dd, 1H, J=8.9 Hz, J'=1.6 Hz); 7.81-7.88 (m, 3H); 8.29 (s, 1H). (DMSO-d6)

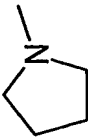
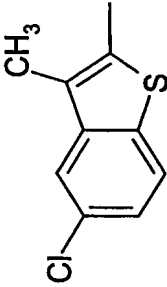
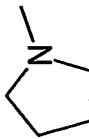
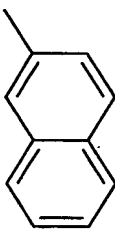
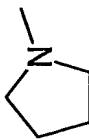
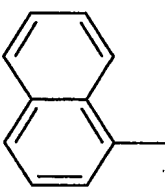
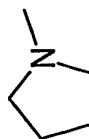
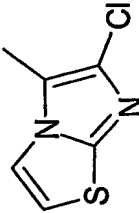
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Ex	R1	R2	R3	R4	R5	R6	R7	n	A	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
3f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		139-142	3437, 2943, 1507, 1461, 1330, 1192, 1162, 1135, 961, 813, 763, 580, 472.	2.21 (s, 6H); 2.50 (t, 2H, J=7.0 Hz); 4.03 (t, 2H, J=7.0 Hz); 6.35 (d, 1H, J=3.1Hz); 6.48 (dd, 1H, J=8.4 Hz, J'=1.7 Hz); 7.00 (s, 1H); 7.05 (d, 1H, J=3.1Hz); 7.29 (m, 1H); 7.37 (t, 1H, J=7.8 Hz); 7.60 (m, 1H); 7.67 (m, 1H); 7.92 (d, 1H, J=8.1 Hz); 7.98 (d, 1H, J=8.1Hz); 8.10 (d, 1H, J=7.3 Hz); 8.73 (d, 1H, J=8.8 Hz). (DMSO-d6)
4f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3448, 3110, 2814, 1459, 1325, 1250, 1178, 1141, 621.	2.28 (s, 6H); 2.61 (t, 2H, J=7.0 Hz); 4.14 (t, 2H, J=7.0 Hz); 6.41 (d, 1H, J=3.1Hz); 6.81 (m, 2H); 7.12 (d, 1H, 3.1Hz); 7.19 (m, 1H); 7.42 (d, 1H, J=8.2 Hz); 7.56 (d, 1H, J=4.6 Hz). (DMSO-d6)
5f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3256, 2951, 2776, 1468, 1333, 1159, 1095, 763, 670, 591.	2.24 (s, 6H); 2.62 (t, 2H, J=7.0 Hz); 4.15 (t, 2H, J=7.0 Hz); 6.42 (d, 1H, J=3.1Hz); 6.70 (d, 1H, J=8.4 Hz); 7.12 (d, 1H, J=3.1Hz); 7.25 (d, 1H, J=3.3 Hz); 7.34-7.48 (m, 4H); 7.53 (m, 2H); 7.59 (AB sys, 2H, J=8.3 Hz); 7.78 (AB sys, 2H, J=8.3 Hz). (DMSO-d6)

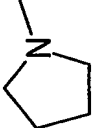
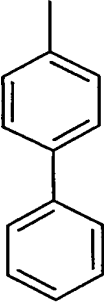
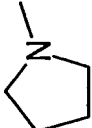
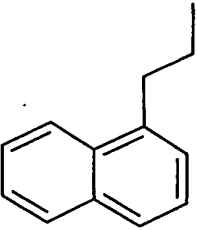
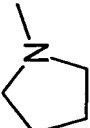
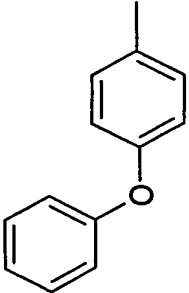
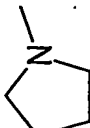
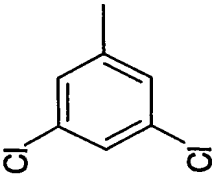
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Ex	R1	R2	R3	R4	R5	R6	R7	n	A	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
6f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3254, 2944, 1509, 1468, 1326, 1147, 970, 782, 716, 540.	2.15 (s, 6H); 2.62 (t, 2H, J=7.1 Hz); 3.38 (m, 2H); 3.49 (m, 2H); 4.22 (t, 2H, J=7.1 Hz); 6.47 (d, 1H, J=2.8Hz); 7.04 (m, 2H); 7.23 (d, 1H, J=3.1Hz); 7.26-7.45 (m, 5H); 7.56 (d, 1H, J=8.4 Hz); 7.68 (dd, 1H, J=7.5 Hz, J'=7.5 Hz); 7.77 (d, 1H, J=8.3Hz). (DMSO-d6)
7f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		amorphous	3255, 2935, 2768, 1583, 1488, 1334, 1245, 1154, 1093, 694, 570, 539.	2.28 (s, 6H); 2.65 (t, 2H, J=7.0 Hz); 4.16 (t, 2H, J=7.0 Hz); 6.42 (d, 1H, J=3.0Hz); 6.65 (dd, 1H, J=8.4 Hz, J'=1.7 Hz); 6.90 (AB sys, 2H, J=8.8 Hz); 7.00 (AB sys, 2H, J=7.9 Hz); 7.13 (d, 1H, J=3.1 Hz); 7.19 (m, 1H); 7.24 (m, 1H); 7.37 (m, 2H); 7.43 (d, 1H, J=8.3Hz); 7.65 (AB sys, 2H, J=8.9 Hz). (DMSO-d6)
8f	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		150-159	3437, 3072, 2920, 1568, 1471, 1346, 1303, 1171, 1140, 799, 670, 598.	2.29 (s, 6H); 2.66 (t, 2H, J=6.8 Hz); 4.18 (t, 2H, J=6.8 Hz); 6.45 (d, 1H); 6.67 (d, 1H, J=8.4Hz); 7.15 (m, 1H); 7.19 (m, 1H); 7.46 (m, 2H); 7.59 (m, 2H). (DMSO-d6)

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Ex	R1	R2	R3	R4	R5	R6	R7	n	A	p.f. °C	<sup>1</sup> H-RMN (300 MHz), δ (solvente)
9f		H	H	H	H	H	H	2		69-71	1,58(m, 4H); 2,31(m, 4H); 2,36(s, 3H); 2,59(m, 2H); 4,11(m, 2H); 6,31(s, 1H); 6,79(d, 1H, J=8,4 Hz); 7,09(s, 1H); 7,29(d, 1H, J=2,3 Hz); 7,38(d, 1H, J=8,5 Hz); 7,51(d, 1H, J=8,6 Hz); 7,94(d, 1H, J=1,0 Hz); 8,00(d, 1H, J=8,35 Hz); 10,39(b, 1H). (DMSO-d6)
10f		H	H	H	H	H	H	2		54-60	1,54(m, 4H); 2,24(m, 4H); 2,50(m, 2H); 4,06(m, 2H); 6,25(s, 1H); 6,77(d, 1H, J=8,4 Hz); 7,07(s, 1H); 7,23(m, 1H); 7,32(d, 1H, J=8,1 Hz); 7,61(m, 2H); 7,75(d, 1H, J=8,8 Hz); 7,95(d, 1H, J=7,6 Hz); 8,03(m, 2H); 8,34(s, 1H); 10,11(b, 1H). (DMSO-d6)
11f		H	H	H	H	H	H	2		160-165	1,74(m, 4H); 2,71(m, 4H); 2,94(m, 2H); 4,24(m, 2H); 6,27(d, 1H, J=2,8 Hz); 6,61(d, 1H, J=8,6 Hz); 7,09(s, 1H); 7,24(d, 1H, J=8,5 Hz); 7,28(d, 1H, J=2,8 Hz); 7,54(t, 1H, J=7,9 Hz); 7,63(m, 1H); 7,71(m, 1H); 8,03(d, 1H, J=7,6 Hz); 8,11-8,23(m, 2H); 8,77(d, 1H, J=8,2 Hz); 10,46(b, 1H). (DMSO-d6)
12f		H	H	H	H	H	H	2		53-57	1,64(m, 4H); 2,50(m, 4H); 2,70(m, 2H); 4,14(m, 2H); 6,31(d, 1H, J=2,8 Hz); 6,71(d, 1H, J=8,8 Hz); 7,11(s, 1H); 7,31(d, 1H, J=2,9 Hz); 7,37(d, 1H, J=8,6 Hz); 7,56(d, 1H, J=4,4 Hz); 7,91(d, 1H, J=4,5 Hz); 10,63(b, 1H). (DMSO-d6)

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13f		H	H	H	H	H	H	2		178-181	1,55(m, 4H); 2,33(m, 4H); 2,61(m, 2H); 4,11(m, 2H); 6,30(d, 1H, J=2,8 Hz); 6,79(dd, 1H, J=8,2, J'=1,6 Hz); 7,09(s, 1H); 7,28(d, 1H, J=2,8 Hz); 7,34-7,49(m, 4H); 7,67(d, 2H, J=7,0 Hz); 7,76(AB sys, 2H, J=8,7 Hz); 7,80(AB sys, 2H, J=8,7 Hz); 10,05(bs, 1H). (DMSO-d6)
14f		H	H	H	H	H	H	2		oil	1,49(m, 4H); 2,31(m, 4H); 2,66(t, 2H, J=6,5 Hz); 3,3 (m, 4H); 4,16(t, 2H, J=6,5 Hz); 6,40(dd, 1H, J=3,1 J'=0,7 Hz); 7,04(dd, 1H, J=8,4, J'=1,8 Hz); 7,13(m, 1H); 7,33-7,44(m, 5H); 7,48(d, 1H, J=8,6 Hz); 7,52(d, 1H, J=8,4 Hz); 7,75(t, 1H, J=4,8 Hz); 7,85(d, 1H, J=8,1 Hz); 9,84(s, 1H). (DMSO-d6)
15f		H	H	H	H	H	H	2		59-62	1,61(m, 4H); 2,41(m, 4H); 2,66(t, 2H, J=6,5 Hz); 4,12(t, 2H, J=6,5 Hz); 6,30(d, 1H, J=2,8 Hz); 6,75(dd, 1H, J=8,4, J'=1,4 Hz); 6,99(d, 2H, J=8,8 Hz); 7,04(d, 2H, J=7,9 Hz); 7,10(s, 1H); 7,21(t, 1H, J=7,4 Hz); 7,29(d, 1H, J=3,1 Hz); 7,36(d, 1H, J=8,5 Hz); 7,41(t, 2H, J=7,9 Hz); 7,69(d, 2H, J=8,8 Hz); 9,98(bs, 1H). (DMSO-d6)
16f		H	H	H	H	H	H	2		145-157	1,62(m, 4H); 2,39(m, 4H); 2,64(t, 2H, J=6,7 Hz); 4,15(t, 2H, J=6,7 Hz); 6,32(d, 1H, J=3,1 Hz); 6,73(dd, 1H, J=8,4, J'=1,8 Hz); 7,10(s, 1H); 7,33(d, 1H, J=3,2 Hz); 7,40(d, 1H, J=8,5 Hz); 7,63(d, 2H, J=1,9 Hz); 7,90(t, 1H, J=1,9 Hz); 10,20(bs, 1H). (DMSO-d6)



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**Examples:**

Example 1g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide.

- 5 149.5 mg (0.66 mMol) of naphthalene-1-sulfonyl chloride were added to a solution of 122 mg (0.6 mMol) of 7-amino-3-(2-dimethylaminoethyl)-1H-indole in 2 ml of dimethylformamide and 116 mg of N-ethyl-diisopropylamine. The reaction mixture was stirred at the room temperature for 20 hours. Then it was evaporated to dryness, slightly alkalinized with sodium bicarbonate solution and
- 10 extracted with chloroform. The organic phase was repeatedly washed with water and saturated solution of sodium bicarbonate, it was separated and dried with anhydrous sodium sulfate. The organic solution was evaporated to dryness and the resulting solid was purified by chromatography, obtaining 120 mg (51%) of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-naphthalene-1-sulfonamide as a
- 15 solid cream.

Example 2g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulfonamide

- 80 mg (30%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 166 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl chloride, by means of the process described in the Example 1g, as a yellowish solid.
- 20

Example 3g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-4-phenylbenzenesulfonamide

- 27 mg (11%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 167 mg (0.66 mMol) of 4-phenylbenzenesulfonyl chloride, by means of the process described in the Example 1g, as a solid cream.
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Example 4g.- Preparation of N-[1-(2-dimethylaminoethyl)-1H-indole-7-yl]-6-chloroimidazo[2,1-b]thiazole-5-sulfonamide

69 mg (27%) of the mentioned compound are obtained from 122 mg (0.6 mMol) of 7-amino-1-(2-dimethylaminoethyl)-1H-indole and 170 mg (0.66 mMol) of 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride, by means of the process described in the Example 1g, as a solid cream.

Example 5g.- Preparation of 5-chloro-3-methyl-N-(1-(2-(pyrrolidinyl)ethyl)-1H-indol-7-yl)-benzo[b]thiophen-2-sulfonamide

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146 mg (51%) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 186 mg (0.66 mMol) of 5-chloro-3-methyl-benzo[b]thiophen-2-sulfonyl chloride via the process described in Example 1, as a solid.

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Example 6g.-Preparation of N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)naphthalene-1-sulfonamide

120 mg (48 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 150 mg (0.66 mMol) of naphthalene-1-sulfonyl chloride via the process described in Example 1, as a solid.

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The yields are indicative and no added effort was made to improve them.

25 The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.

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Example 7g. Preparation of 6-chloro-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)imidazo[2,1-b]thiazole-5-sulfonamide

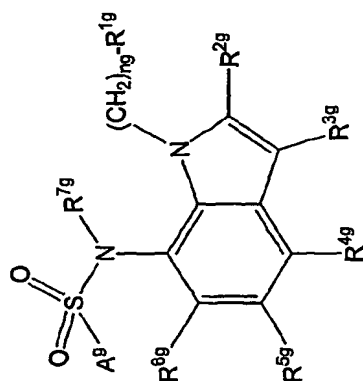
100 mg (37 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 170 mg (0.66 mMol) 6-chloro-imidazo[2,1-b]thiazole-5-sulfonyl chloride via the process described in Example 1, as a solid.

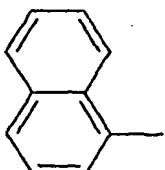
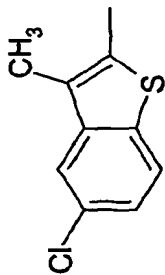
Example 8g. Preparation of 2-(naphth-1-yl)-N-(1-(2-(pyrrolidin-1-yl)ethyl)-1H-indol-7-yl)ethansulfonamide

130 mg (49 %) of the mentioned compound were obtained from 137 mg (0.6 mMol) of 7-amino-1-(2-pyrrolidin-1-yl)ethyl)-1H-indole and 168 mg (0.66 mMol) of 2-(naphth-1-yl)ethansulfonyl chloride via the process described in Example 1, as a solid.

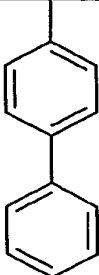
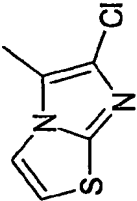
The yields are indicative and no added effort was made to improve them.


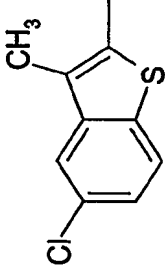

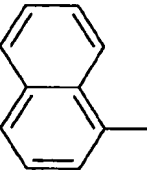
The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.

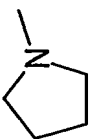
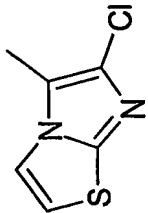
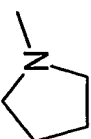
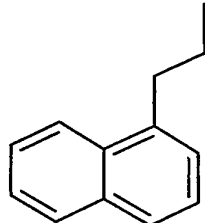


Ex	R <sup>1g</sup>	R <sup>2g</sup>	R <sup>3g</sup>	R <sup>4g</sup>	R <sup>5g</sup>	R <sup>6g</sup>	R <sup>7g</sup>	ng	A <sup>g</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
1g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		54-58	3422, 3057, 2943, 1489, 1315, 1158, 1132, 772, 581.	2.42(s, 6H); 2.89(t, 2H, J=6.4 Hz); 4.88(t, 2H, J=6.4 Hz); 6.17(d, 1H, J=7.6 Hz); 6.44(d, 1H, J=3.1 Hz); 6.60(t, 1H, J=7.8 Hz); 7.16(d, 1H, J=3.3 Hz); 7.32(dd, 1H, J=7.9 Hz, J'=0.9 Hz); 7.53(m, 1H); 7.63-7.67(m, 2H); 8.04-8.09(m, 2H); 8.17(d, 1H, J=8.4 Hz); 8.75(m, 1H). (CD <sub>3</sub> OD)
2g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H	2		57-65	3448, 2951, 1488, 1315, 1278, 1150, 1113, 1079, 861, 728, 648, 559.	2.40(s, 6H); 2.52(s, 3H); 3.08(t, 2H, J=5.7 Hz); 4.66(t, 2H, J=5.7 Hz); 6.36(d, 1H, J=3.1 Hz); 6.70(m, 2H); 7.15(dd, 1H, J=7.0 Hz, J'=1.7 Hz); 7.24(d, 1H, J=3.1 Hz); 7.49(dd, 1H, J=8.6 Hz, J'=2.0 Hz); 7.91(d, 1H, J=2.0 Hz); 8.00(d, 1H, J=8.8 Hz). (DMSO-d <sub>6</sub> )

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Ex	R <sup>19</sup>	R <sup>29</sup>	R <sup>39</sup>	R <sup>49</sup>	R <sup>59</sup>	R <sup>69</sup>	R <sup>79</sup>	A <sup>9</sup>	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300 MHz), δ (solvent)
3g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		137-140	2943, 1481, 1332, 1316, 1158, 1096, 764, 729, 688, 581.	2,33(s, 6 H); 2,78(m, 2 H); 4,24(m, 2 H); 6,46(d, 1H, J=3,1 Hz); 6,88(d, 1H, J=3,1 Hz); 7,00(t, 1H, J=7,8 Hz); 7,17(d, 1H, J=7,5 Hz); 7,40-7,49(m, 4H); 7,58 (m, 2H); 7,64(AB sys, 2H, J=8,4 Hz); 7,86(AB sys, 2H, J=8,4 Hz). (CDCl <sub>3</sub> ).
4g	(CH <sub>3</sub> ) <sub>2</sub> N-	H	H	H	H	H	H		73-76	3448, 3110, 2928, 1485, 1459, 1316, 1270, 1238, 1182, 1124, 1091, 723, 622.	2,66(s, 6 H); 3,28(t, 2 H, J=5,4 Hz); 4,74(t, 2 H); 6,30(d, 1H, J=3,1 Hz); 6,64-6,70(m, 2H); 7,01(dd, 1H, J=6,5 Hz, J'=2,4 Hz); 7,19(d, 1H, J=3,1 Hz); 7,45(d, 1H, J=4,5 Hz); 7,89(d, 1H, J=4,5 Hz). (DMSO-d <sub>6</sub> )

5g		H	H	H	H	H	H		82-85		1,87(m, 4H); 2,41(s, 3H); 3,02(m, 4H); 3,34(m, 2H); 4,70(m, 2H); 6,34(d, 1H, J=0,9 Hz); 6,62-6,80(m, 2H); 7,09(d, 1H, J=7,47 Hz); 7,21(s, 1H); 7,46(d, 1H, J=8,2 Hz); 7,87(s, 1H); 7,97(d, 1H, J=8,6 Hz). (DMSO-d <sub>6</sub> )
6g		H	H	H	H	H	H		196-199		1,79(m, 4H); 2,79(m, 4H); 3,18(m, 2H); 4,66(m, 2H); 6,30(d, 1H, J=8,3 Hz); 6,35(d, 1H, J=1,6 Hz); 6,60(m, 1H); 7,14(d, 1H, J=8,1 Hz); 7,25(m, 1H); 7,56(m, 1H); 7,60-7,74(m, 2H); 8,05(m, 2H); 8,16(d, 1H, J=8,2 Hz); 8,79(d, 1H, J=8,64 Hz). (DMSO-d <sub>6</sub> )

7g		H	H	H	H	H	H	H		92-95		1,84(m, 2H); 1,98(m, 2H); 3,04(m, 2H); 3,58(m, 4H); 4,87(t, 2H, J=6,7 Hz); 6,15(d, 1H, J=7,8 Hz); 6,49(d, 1H, J=2,6 Hz); 6,73(t, 1H, J=7,6 Hz); 7,33-7,43(m, 3H, J=5,3 Hz); 7,46(d, 1H, J=7,9 Hz); 9,83(bs, 1H); 10,32(s, 1H). (DMSO-d6 + TFA)
8g		H	H	H	H	H	H	H		46-49		1,69(m, 4H); 2,59(m, 4H); 2,90(m, 2H); 3,53(m, 4H); 4,65(t, 2H, J=6,2 Hz); 6,45(d, 1H, J=3,1 Hz); 6,94(t, 1H, J=7,6 Hz); 7,05(m, 1H); 7,35(d, 1H, J=3,1 Hz); 7,39-7,56(m, 5H); 7,83(d, 1H, J=7,6 Hz); 7,94(m, 2H). (DMSO-d6)

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**Examples:**

Example 1h.- Preparation of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole

468 mg (9.8 mMol) of 50% sodium hydride in oil were added at 0°C to a solution of 1.0 g (3.9 mMol) of 3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole in 50 ml of anhydrous dimethylformamide, and the mixture was left to stir for 30 minutes. Then 2.14 g of cyclohexanesulfonyl chloride were added, and the stirring continued for 3 hours at room temperature. Water was added and evaporated to dryness. The resulting crude was treated with sodium bicarbonate and was extracted with chloroform. The organic phase was dried with anhydrous sodium sulfate and evaporated to dryness; the resulting solid was purified by chromatography, obtaining 900 mg (57%) of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole as a yellow solid.

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Example 2h.- 5-chloro-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole

900 mg (74%) of the mentioned compound were obtained from 770 mg (3.12 mMol) of 5-chloro-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole, and 1.7 g (9.36 mMol) of cyclohexanesulfonyl chloride by means of the process described in Example 1h, as a yellow solid.

20

Example 3h.- 5-amino-1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-1H-indole

200 mg of 50% Pd/C with a humidity of 5% were added to a solution of 403 mg (1 mMol) of 1-cyclohexanesulfonyl-3-(1-methyl-1,2,3,6-tetrahydropyridine-4-yl)-5-nitro-1H-indole in 200 ml of ethanol. The resulting suspension was hydrogenized at 25 psi of overpressure for 20 hours. Then the catalyst was filtered and evaporated to drying. The resulting crude was purified by chromatography and 150 mg (40%) of the mentioned compound were obtained as a solid cream.

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Example 4h.- Preparation of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole

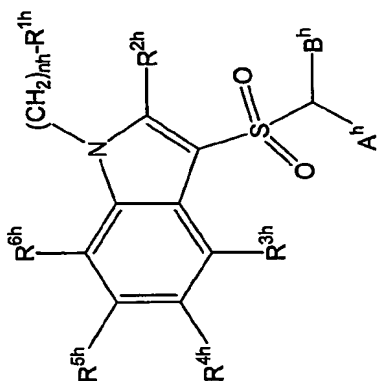
1.95 g (78%) of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole were obtained as an oil from 1.6 g (6.25 mMol) of 5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole and 3.42 g (18.76 mMol) of cyclohexanesulfonyl chloride by means of the process described in Example 1. Then 2 ml of a 6N ethanol/HCl solution were added to a solution of 1.95 g (4.85 mMol) of 1-cyclohexanesulfonyl-5-fluoro-3-(1,2,3,5,8,8a-hexahydro-indolizine-7-yl)-1H-indole in 20 ml of ethanol, precipitating a solid which was recrystallized from ethanol, obtaining 1.5 g (71%) of the mentioned compound as a white solid.

The yields are indicative and no added effort was made to improve them.

The melting point and spectroscopic data for identifying some of the compounds object of the present invention are indicated in the following table.



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Ex	R <sup>1h</sup>	R <sup>2h</sup>	R <sup>3h</sup>	R <sup>4h</sup>	R <sup>5h</sup>	R <sup>6h</sup>	n	A	B	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
1h		H	H	H	NO <sub>2</sub>	H	0			-	155-160	3433, 2938, 2859, 1522, 1371, 1340, 1158, 1126, 988, 612.	1.00-1.90 (m, 10H); 2.56 (s, 3H); 2.68 (m, 2H); 2.98 (m, 2H); 3.47 (m, 2H); 3.78 (m, 1H); 6.35 (s, 1H); 7.87 (s, 1H); 8.08 (d, 1H, J=9.2 Hz); 8.26 (dd, 1H, J=9.2 Hz, J'=1.9 Hz); 8.69 (d, 1H, J=1.8 Hz). (DMSO-d6)
2h		H	H	H	Cl	H	0			-	88-90	3433, 2941, 2858, 2787, 1447, 1364, 1158, 1128, 1116, 614, 557.	1.00-1.90 (m, 10H); 2.41 (s, 3H); 2.55 (m, 2H); 2.67 (m, 2H); 3.15 (m, 3H); 6.18 (m, 1H); 7.27 (dd, 1H, J=8.9 Hz, J'=2.0 Hz); 7.32 (s, 1H); 7.79 (d, 1H, J=2.0 Hz); 7.82 (d, 1H, J=1.8 Hz). (CDCl <sub>3</sub> )

ET0025PCT

-390-

Ex	R1	R	R3	R	R4	R5	R6	n	<div> <div>A</div> <div>B</div> </div>	Salt	m.p. °C	IR cm <sup>-1</sup>	<sup>1</sup> H-NMR (300MHz), δ (solvent)
3h		H	H	H	NH	H	O	0		-	75 (dec)	3376, 2937, 2857, 2784, 1455, 1363, 1342, 1158, 1127, 987, 617, 565.	1.00-1.90 (m, 10H); 2.40 (s, 3H); 2.54 (m, 2H); 2.66 (m, 2H); 3.13 (m, 3H); 6.16 (m, 1H); 6.71 (dd, 1H, J=8.8 Hz, J'=2.4 Hz); 7.09 (d, 1H, J=2.2 Hz); 7.23 (s, 1H); 7.67 (d, 1H, J=8.8 Hz). (CDCl <sub>3</sub> )
4h		H	H	H	F	H	O	0		HCl	263 (dec)	3424, 2941, 2499, 2451, 1466, 1445, 1371, 1348, 1188, 1157, 1127, 649, 619.	1.18 (m, 3H); 1.38 (m, 2H); 1.54 (m, 1H); 1.73 (m, 5H); 2.01 (m, 2H); 2.31 (m, 1H); 2.80 (m, 1H); 3.09 (m, 2H); 3.44 (m, 1H); 3.68 (m, 2H); 3.76 (m, 1H); 4.10 (m, 1H); 6.39 (s, 1H); 7.28 (m, 1H); 7.78 (m, 2H); 7.90 (dd, 1H, J=9.0 Hz, J'=4.6 Hz); (DMSO-d <sub>6</sub> )

**Pharmacological Data:****(Compounds of general formula Ia)**

According to the methods given above Neuropeptide Y<sub>5</sub> and Y<sub>2</sub> Binding of the 1,4-disubstituted piperidine compounds of general formula (Ia) has been determined. Some of the Y<sub>5</sub> values are given in the following table 1a.

**Table 1a:**

<b>Compound according to Example</b>	<b>Neuropeptide Y<sub>5</sub> Binding</b>
1a	50
2a	80,9
3a	36,3
5a	40,1

**(Compounds of general formula Ib)**

The binding of the benzoxazinone derived sulphonamide compounds of general formula (Ib) was determined as described above.

The binding results of some these compounds are given in the following table 2b:

Table 2b:		
Compound according to example:	% Inhibition $10^{-6}$ M	$K_i$ (nM)
1b	98.1 $\pm$ 4.0	51.7
3b		107.4
4b		246
5b		152
6b		165.9
7b	88	
8b	68	

**(Compounds of general formula Ic)**

The binding of the inventively used sulphonamide derivatives of general formula (Ic) used inventively was determined as described above.

The binding results of some sulphonamide derivatives are given in the following table 1c:

**Table 1c:**

<b>Compound according to example:</b>	<b>% Inhibition 10<sup>-6</sup> M</b>	<b>K<sub>i</sub> (nM)</b>
1c	98.1 ± 4.0	0.28
3c	96.6 ± 5.2	3.5
4c	96.2 ± 0.6	9.3
5c	101.2 ± 0.1	1.0
6c	97.6 ± 1.8	8.7
7c	103.0 ± 7.9	0.13
8c	94.5 ± 7.0	0.76
9c	96.8 ± 3.7	2.2
11c	101.3	0.98
13c	98.3	4.7
14c	95.7 ± 3.4	24.3
15c	97.4 ± 0.8	6.8
16c	94.4 ± 8.6	21.2
17c	102.0	5.3

**(Compounds of general formula 1d)**

Binding of the new compounds of general Formula (1d) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1d:

<b>Table 1d</b>	
<b>Example</b>	<b>% Inhibition</b>
	<b>10<sup>-6</sup> M</b>
<b>1d</b>	<b>83.9</b>
<b>2d</b>	<b>104.3</b>
<b>3d</b>	<b>94.8</b>
<b>4d</b>	<b>46.6</b>
<b>5d</b>	<b>98.1</b>
<b>6d</b>	<b>55.8</b>
<b>7</b>	<b>72.3</b>

**(Compounds of general formula 1e)**

Binding of the new compounds of general formula (1e) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1e:

**Table 1e**

Example	K <sub>i</sub> (nM)
<b>3e</b>	<b>94,2</b>
<b>4e</b>	<b>112,4</b>
<b>11e</b>	<b>1,89</b>
<b>12e</b>	<b>104,6</b>
<b>13e</b>	<b>82,5</b>
<b>20e</b>	<b>84,8</b>

**(Compounds of general formula If)**

Pharmacological data:

Binding of the new compounds of general Formula (If) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1f:

<b>Table 1f</b>		
<b>Example</b>	<b>% Inhibition</b>	<b>K<sub>i</sub> (nM)</b>
	<b>10<sup>-6</sup> M</b>	
<b>1f</b>	<b>98.6</b>	<b>90.2</b>
<b>2f</b>	<b>97.7</b>	<b>41.2</b>
<b>3f</b>	<b>95.3</b>	<b>19.8</b>
<b>4f</b>	<b>90.8</b>	<b>55.2</b>
<b>5f</b>	<b>93.4</b>	<b>129.4</b>
<b>6f</b>	<b>94.5</b>	<b>74.5</b>
<b>7f</b>	<b>95.1</b>	<b>118.6</b>
<b>8f</b>	<b>86.9</b>	<b>159.1</b>



**(Compounds of general formula 1h)**

Pharmacological data:

Binding of the new compounds of general Formula (1h) to the 5-HT<sub>6</sub> receptor was determined as previously described.

The binding results for some of the compounds object of the present invention are indicated in the following table 1h:

<b>Table 1h</b>		
<b>Example</b>	<b>% Inhibition 10<sup>-6</sup> M</b>	<b>K<sub>i</sub> (nM)</b>
<b>1h</b>	<b>59.8 ± 3.0</b>	
<b>2h</b>		<b>98.2</b>
<b>3h</b>		<b>55.1</b>
<b>4h</b>		<b>191</b>